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PLEASE PRINT CLEARLY

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner # : 59193 Date: 12/2/05

Art Unit: 1624 Phone Number: 2-0663 Serial Number: 10728270

Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

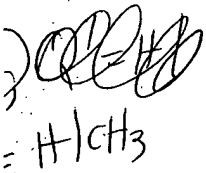
Inventors (please provide full names): _____

Earliest Priority Date: _____

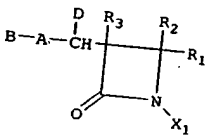
Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



= H/CH3



A= 0-20 atoms C/N/O/S, except that only O or S must be in ring, and

~~A= 0-20 atoms of any~~

any N must be in a ring or as part of $-\overset{O}{\overset{\|}{N}}-C$ group

D is H or OR^a

wherein R^a is H or alkyl;

B = N(alkyl) | Hy | O | $-C(=O)-(CH_2)_b$ b = 2-10

= H | C (chain) | $S(=O)_2$-(N/C) R_2, R_3 = H/alkyl

= $-C(=O)-$(C/N) or $-S(=O)_2-$(N/C)

****

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Phone #: _____

Location: _____

Picked Up: _____

Picked: 12-6-05

Pickup & Review Time: _____

: _____

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

_____ Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

_____ STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

____ In-house sequence systems

____ Commercial ____ Oligomer ____ Score/Length

____ Interference ____ SPDI ____ Encode/Transl

_____ Other (specify)

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=> d his ful

     (FILE 'HOME' ENTERED AT 11:14:23 ON 06 DEC 2005)

     FILE 'REGISTRY' ENTERED AT 11:17:19 ON 06 DEC 2005
L1             STR
L2          50 SEA SSS SAM L1
L3             STR L1
L4          28 SEA SSS SAM L3
L5         433 SEA SSS FUL L3

     FILE 'HCAPLUS' ENTERED AT 11:47:30 ON 06 DEC 2005
L6          38 SEA ABB=ON  PLU=ON  L5


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:    5 DEC 2005  HIGHEST RN 869333-72-2
     DICTIONARY FILE UPDATES:   5 DEC 2005  HIGHEST RN 869333-72-2

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     ************************************************************
     *                                                          *
     * The CA roles and document type information have been removed from *
     * the IDE default display format and the ED field has been added,   *
     * effective March 20, 2005.  A new display format, IDERL, is now    *
     * available and contains the CA role and document type information. *
     *                                                          *
     ************************************************************

     Structure search iteration limits have been increased.  See HELP SLIMITS
     for details.

     REGISTRY includes numerically searchable data for experimental and
     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
     on property searching in REGISTRY, refer to:

     http://www.cas.org/ONLINE/UG/regprops.html

     FILE HCAPLUS

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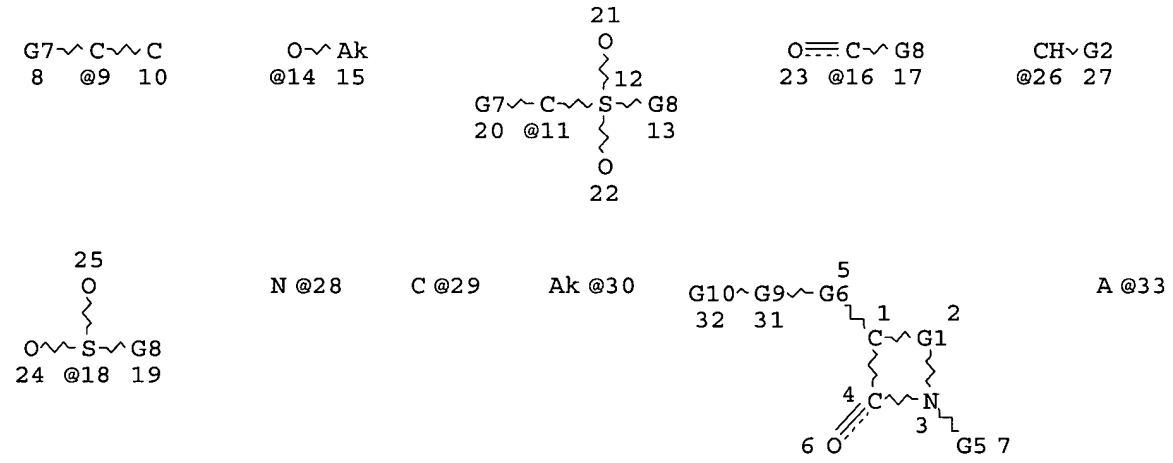
FILE COVERS 1907 - 6 Dec 2005 VOL 143 ISS 24
FILE LAST UPDATED: 5 Dec 2005 (20051205/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l6 que stat
L3 STR



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VAR G6=CH2/26
VAR G7=H/30
VAR G8=28/29
REP G9=(0-20) 33
VAR G10=34/37/CY
NODE ATTRIBUTES:
NSPEC IS RC AT 28
NSPEC IS RC AT 29
NSPEC IS RC AT 33
CONNECT IS E1 RC AT 15
CONNECT IS E1 RC AT 30
CONNECT IS E1 RC AT 35
CONNECT IS E1 RC AT 38
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 38

STEREO ATTRIBUTES: NONE
L5 433 SEA FILE=REGISTRY SSS FUL L3
L6 38 SEA FILE=HCAPLUS ABB=ON PLU=ON L5

=> d l6 ibib abs hitstr 1-38

L6 ANSWER 1 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:23523 HCAPLUS
DOCUMENT NUMBER: 142:279963
TITLE: Bifunctional Lewis Acid-Nucleophile-Based Asymmetric Catalysis: Mechanistic Evidence for Imine Activation Working in Tandem with Chiral Enolate Formation in the Synthesis of β-Lactams
AUTHOR(S): France, Stefan; Shah, Meha H.; Weatherwax, Anthony; Wack, Harald; Roth, Justine P.; Lectka, Thomas
CORPORATE SOURCE: Department of Chemistry, Johns Hopkins University, Baltimore, MD, 21218, USA
SOURCE: Journal of the American Chemical Society (2005), 127(4), 1206-1215
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:279963
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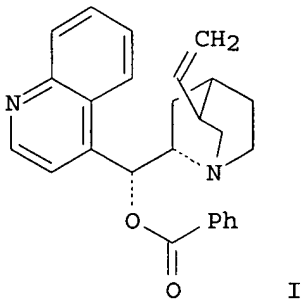

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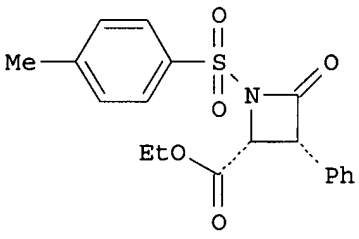


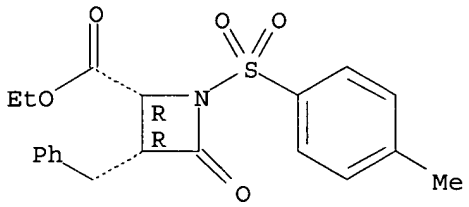
II

AB We report a mechanistically based study of bifunctional catalyst systems in which chiral nucleophiles work in conjunction with Lewis acids to produce β-lactams in high chemical yield, diastereoselectivity, and enantioselectivity. For example, reacting PhCH2COCl with CH:C(CO2Et)NSO2C6H4Me-4 in the presence of 1,4-bis(dimethylamino)naphthalene as proton sponge and quinine catalyst I gave β-lactam II in 95% yield using In(OTf)3 with 98% ee. Chiral cinchona alkaloid derivs. work best when paired with Lewis acids based on Al(III), Zn(II), Sc(III), and, most notably, In(III). Homogeneous bifunctional catalysts, in which the catalyst contains both Lewis acidic and Lewis basic sites, were also studied in detail. Mechanistic evidence allows us to conclude that the chiral nucleophiles form zwitterionic enolates that react with metal-coordinated imines. Alternative scenarios, which postulated metal-bound enolates, were disfavored on the basis of our observations.
IT **404589-81-7P 433712-95-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. and diastereoselective synthesis of β-lactams from imines under Lewis acid-alkaloid catalysis and mechanistic study)

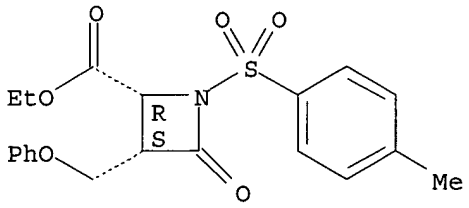
RN 404589-81-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-methylphenyl)sulfonyl]-4-oxo-3-(phenylmethyl)-, ethyl ester, (2R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 433712-95-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-methylphenyl)sulfonyl]-4-oxo-3-(phenoxymethyl)-, ethyl ester, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:902129 HCAPLUS
DOCUMENT NUMBER: 141:388640
TITLE: Nitrogen containing integrin targeting compounds
INVENTOR(S): Tamiz, Amir; Bradshaw, Curt W.
PATENT ASSIGNEE(S): Covx Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091542	A2	20041028	WO 2004-US12034	20040415
WO 2004091542	A3	20050414		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-463456P P 20030415
US 2003-507887P P 20030930

OTHER SOURCE(S): MARPAT 141:388640

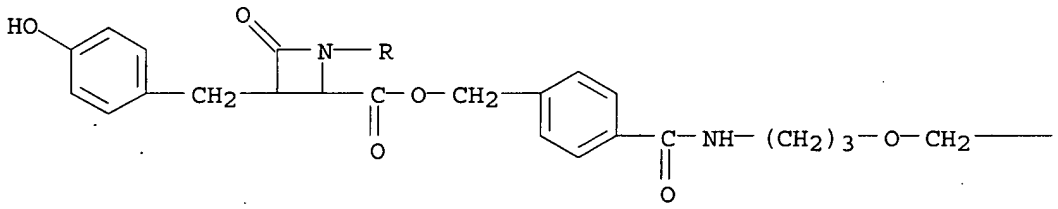
AB The present invention provides integrin targeting compds. which comprise small mol. weight integrin targeting agent-linker conjugates which are linked to a polymer such as a protein. The integrin targeting compds. of the invention comprise an RGD peptidomimetic integrin targeting agent covalently linked to a polymer such as the combining site of an antibody. Various uses of the invention compds. are provided, including methods to prevent or treat cancer or other disease.

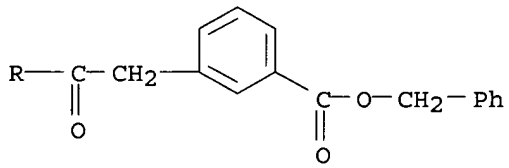
IT **782475-41-6D**, conjugates with mouse monoclonal 38C2 antibody
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prostate-specific antigen-targeting; nitrogen containing integrin targeting compds. linked to polymers such as proteins and antibodies for treatment of cancer and other diseases)

RN 782475-41-6 HCAPLUS

CN 2-Azetidinecarboxylic acid, 3-[(4-hydroxyphenyl)methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, [4-[21-[[4-(3,5-dioxohexyl)phenyl]amino]-1,17,21-trioxo-6,9,12-trioxa-2,16-diazaheneicos-1-yl]phenyl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A





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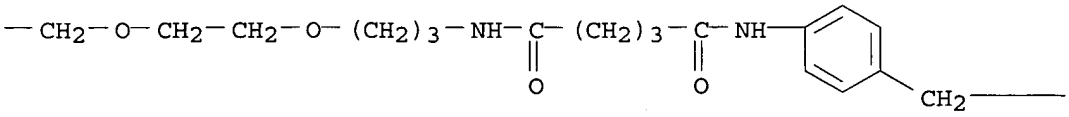

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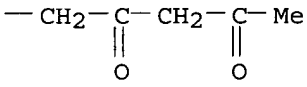

L6 ANSWER 3 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:612492 HCAPLUS
DOCUMENT NUMBER: 141:156959
TITLE: Preparation of β-lactam compounds as inhibitors of tryptase
INVENTOR(S): Bisacchi, Gregory S.; Sutton, James C.; Slusarchyk, William A.; Treuner, Uwe; Zhao, Guohua
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 109 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004147502	A1	20040729	US 2003-728276		20031204
PRIORITY APPLN. INFO.:			US 2002-434060P	P	20021217

OTHER SOURCE(S): MARPAT 141:156959
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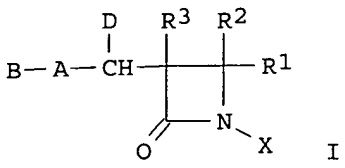

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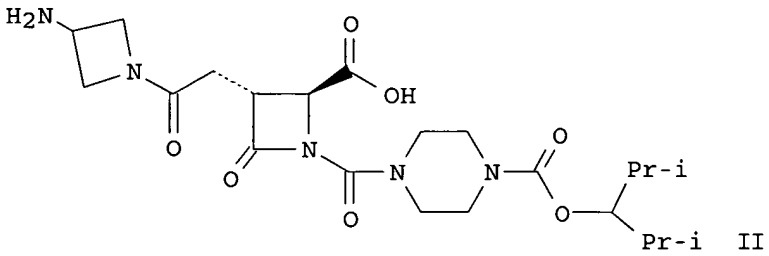

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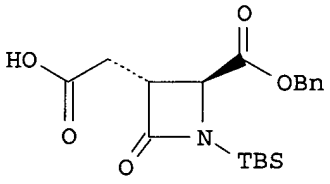
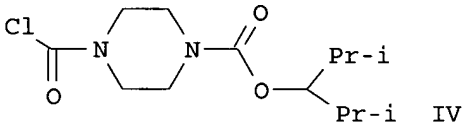


III



IV

AB Beta lactam compds., such as I [R1 = H, carboxy, alkoxycarbonyl, alkenylaryl, CO-heterocyclyl, etc.; R2, R3 = H, alkyl; D = H, ORa; Ra = H, alkyl; A = CO-heterocyclyl, cycloheterocyclyl-CO, substituted amido, cycloalkyl, aryl, heteroaryl, cycloheteroalkyl; B = amino, aminoalkyl, aminocycloalkyl, cycloheteroalkyl, aryl, heteroaryl, alkylamino, carboxamido], are prepared Thus, II was prepared via a multistep synthetic sequence starting from [1-(diphenylmethyl)-3-azetidinyl]-carbamic acid-1,1-dimethylethyl ester, III, and piperazinyl derivative IV. These compds. are useful as inhibitors of tryptase, thrombin, trypsin, Factor Xa, Factor VIIa, and urokinase-type plasminogen activator and may be employed in preventing and/or treating asthma and allergic rhinitis.

IT **705950-84-1P 705950-95-4P 705950-97-6P**
705962-17-0P 705962-18-1P 705962-19-2P
705962-20-5P 705962-21-6P 705962-22-7P
705962-23-8P 705962-25-0P 705962-26-1P
705962-27-2P 705962-28-3P 705962-29-4P
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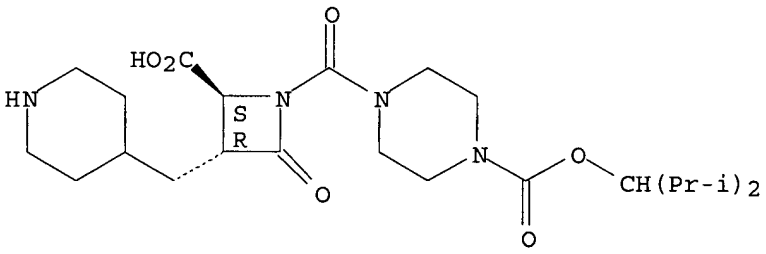
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-lactam compds. as tryptase inhibitors)

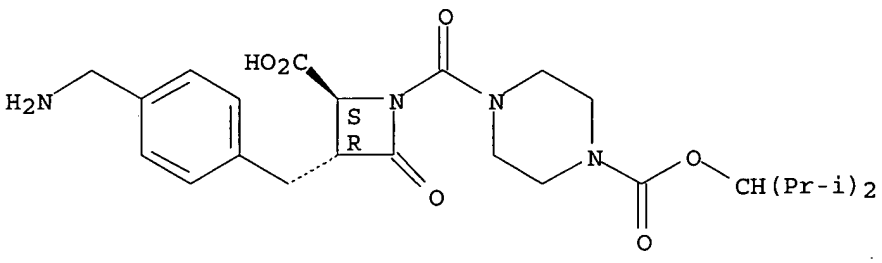
RN 705950-84-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-3-(4-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



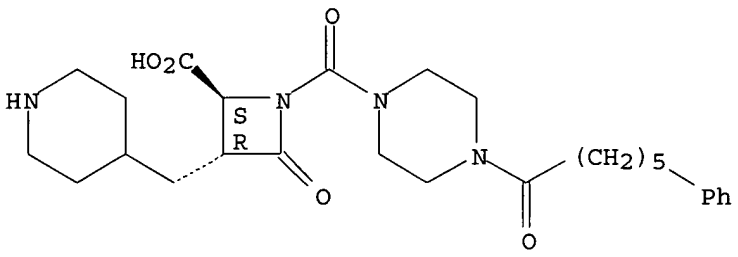
RN 705950-95-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[4-(aminomethyl)phenyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



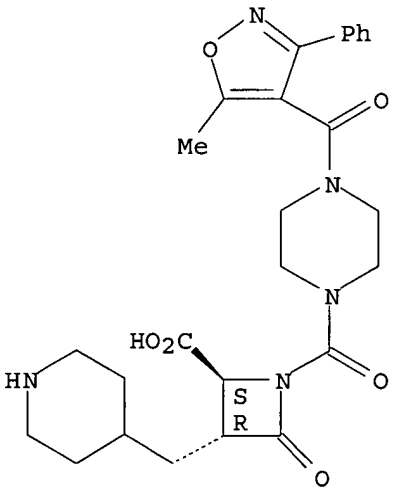
RN 705950-97-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 705962-17-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(5-methyl-3-phenyl-4-isoxazolyl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

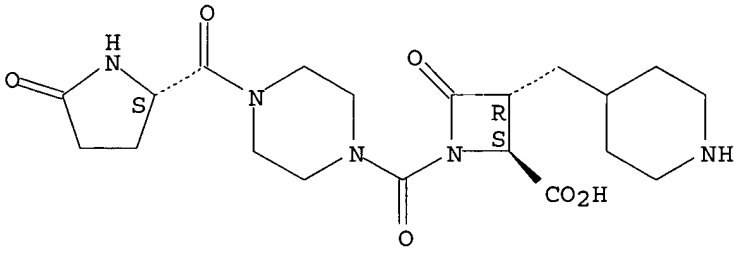
Absolute stereochemistry.



RN 705962-18-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[(2S)-5-oxo-2-pyrrolidinyl]carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-,

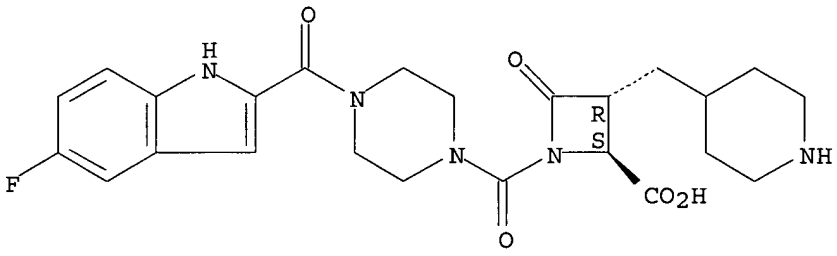
(2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



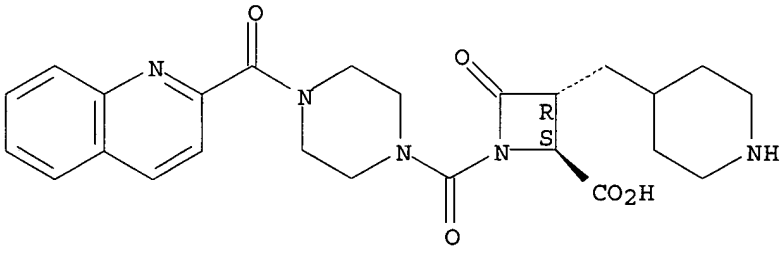
RN 705962-19-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(5-fluoro-1H-indol-2-yl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



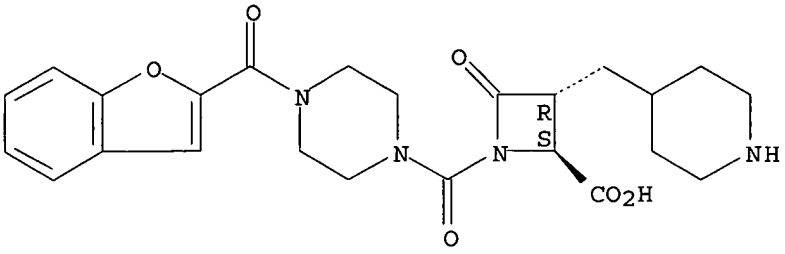
RN 705962-20-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(2-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



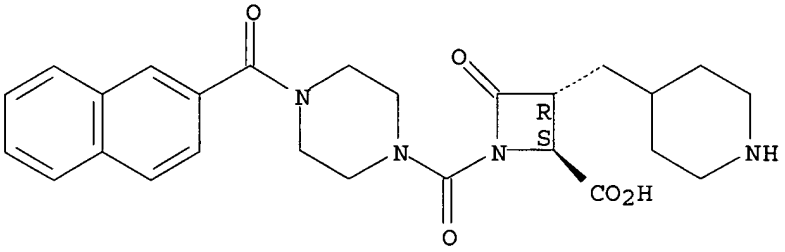
RN 705962-21-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(2-benzofuranylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



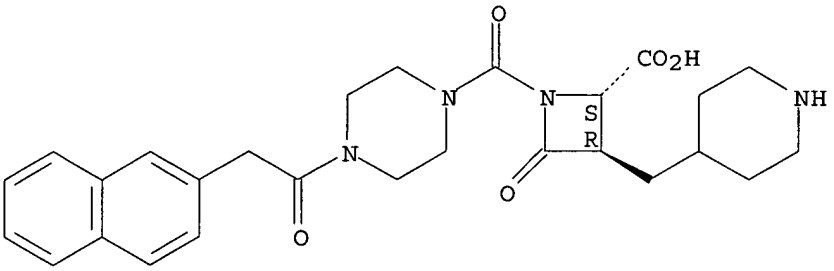
RN 705962-22-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(2-naphthalenylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



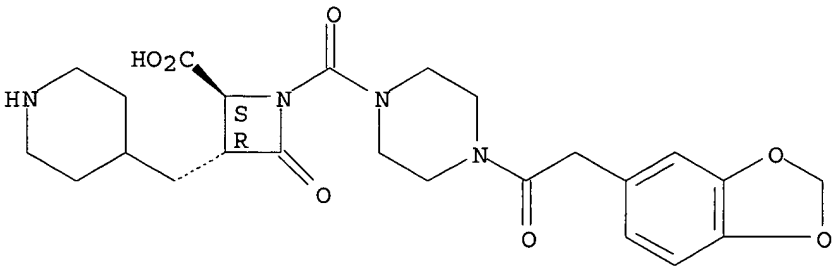
RN 705962-23-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(2-naphthalenylacetyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



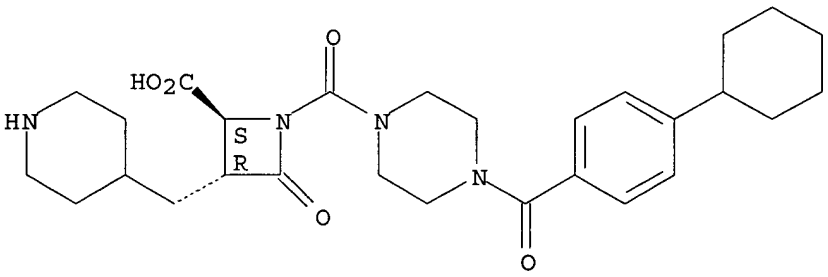
RN 705962-25-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(1,3-benzodioxol-5-ylacetyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



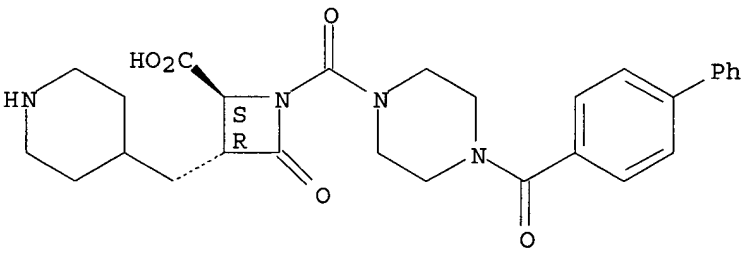
RN 705962-26-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(4-cyclohexylbenzoyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



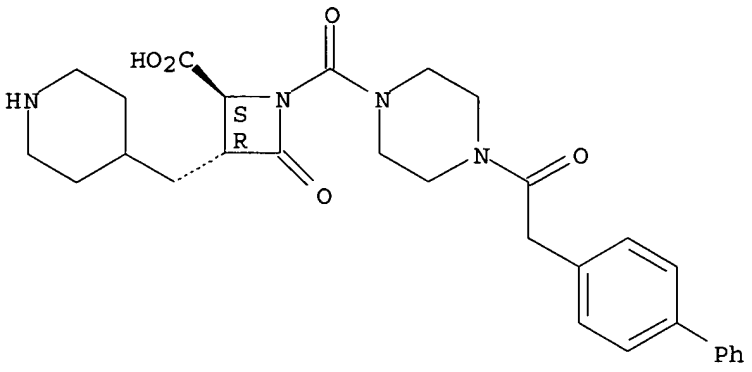
RN 705962-27-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-([1,1'-biphenyl]-4-ylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



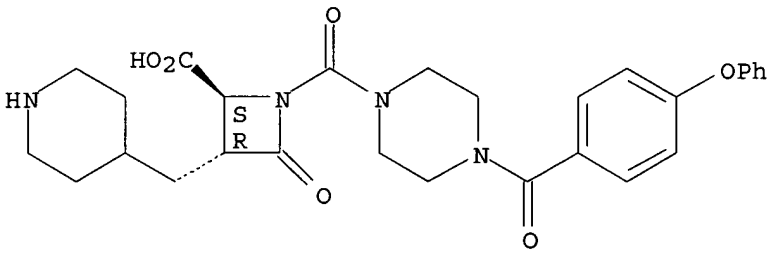
RN 705962-28-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-([1,1'-biphenyl]-4-ylacetyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



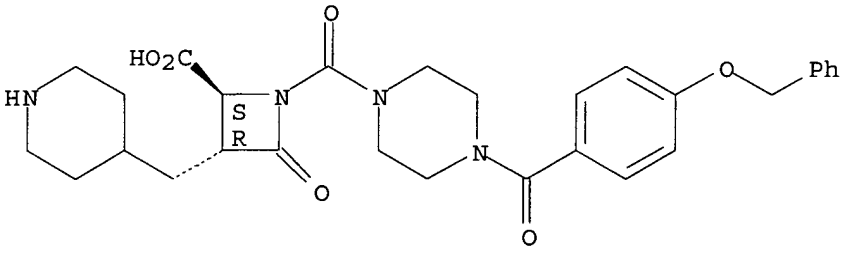
RN 705962-29-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(4-phenoxybenzoyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



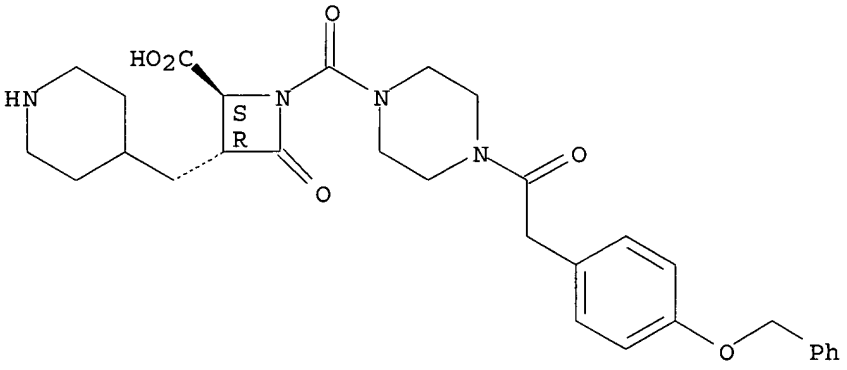
RN 705962-31-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[4-(phenylmethoxy)benzoyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



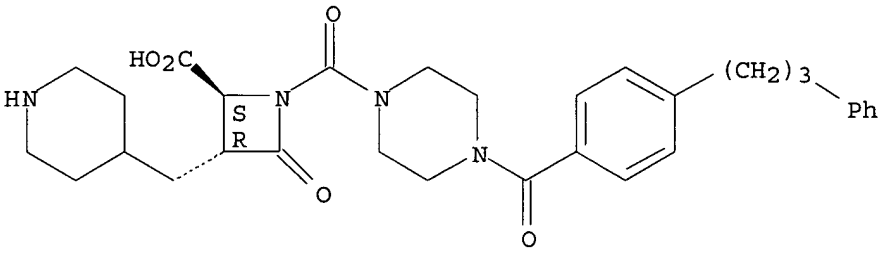
RN 705962-32-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[4-(phenylmethoxy)phenyl]acetyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



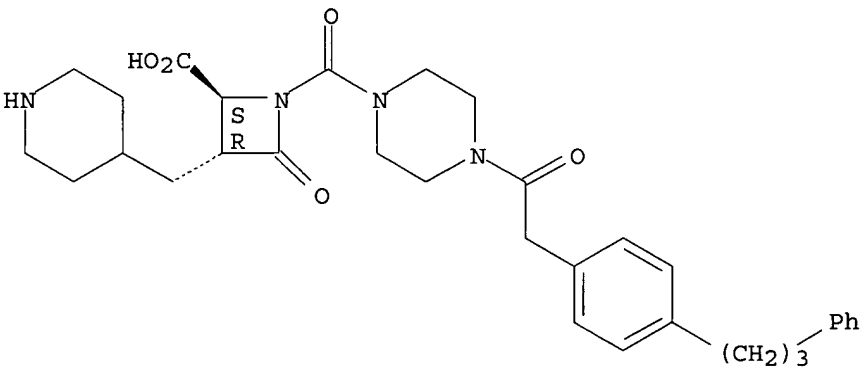
RN 705962-33-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[4-(3-phenylpropyl)benzoyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



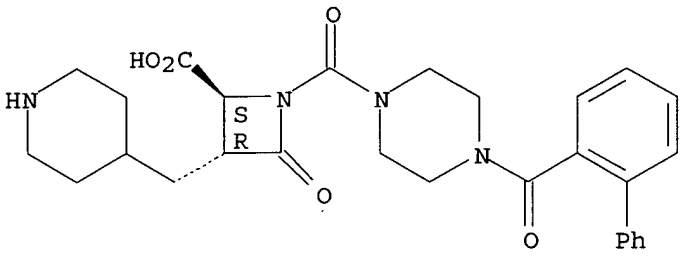
RN 705962-34-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[4-(3-phenylpropyl)phenyl]acetyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



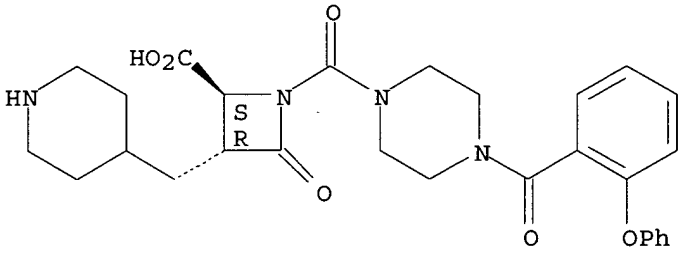
RN 705962-35-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-([1,1'-biphenyl]-2-ylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



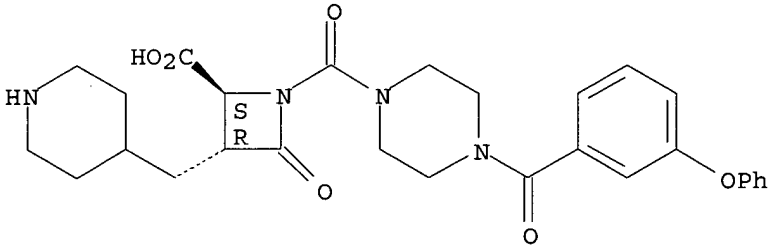
RN 705962-36-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(2-phenoxybenzoyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



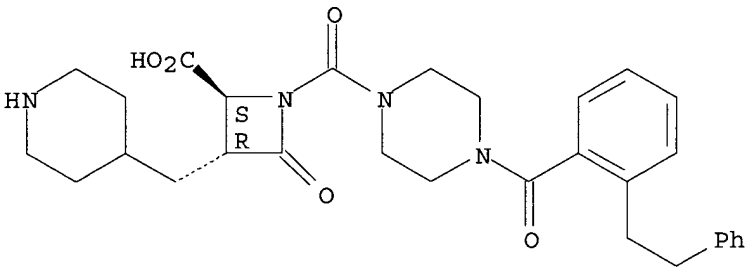
RN 705962-37-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(3-phenoxybenzoyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



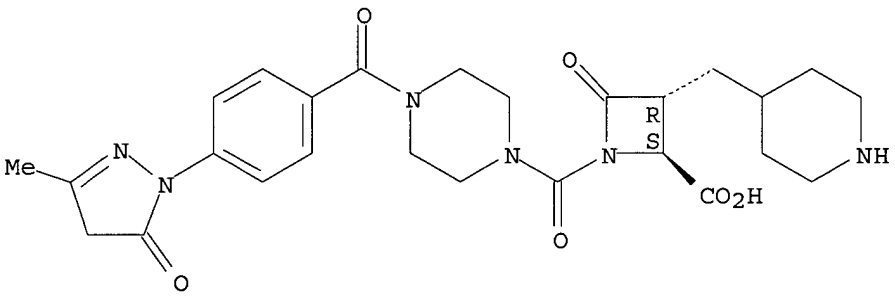
RN 705962-39-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[2-(2-phenylethyl)benzoyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



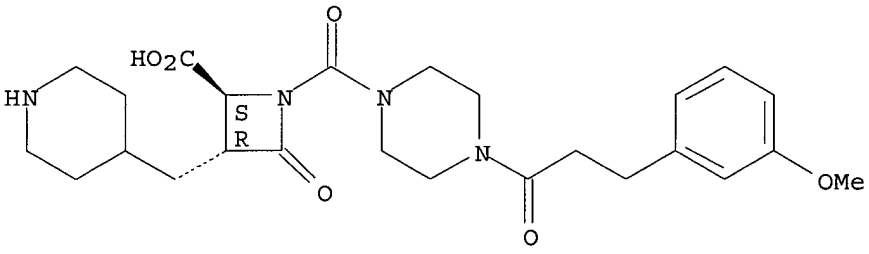
RN 705962-41-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[4-(4,5-dihydro-3-methyl-5-oxo-1H-pyrazol-1-yl)benzoyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



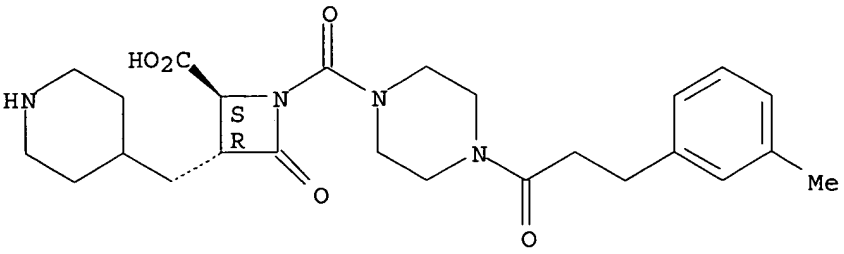
RN 705962-42-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[3-(3-methoxyphenyl)-1-oxopropyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



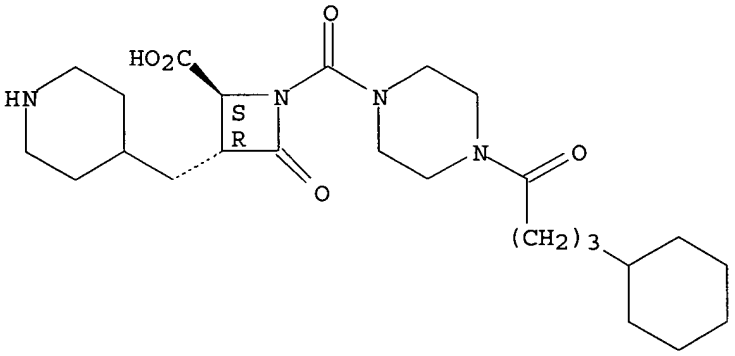
RN 705962-43-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[3-(3-methylphenyl)-1-oxopropyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



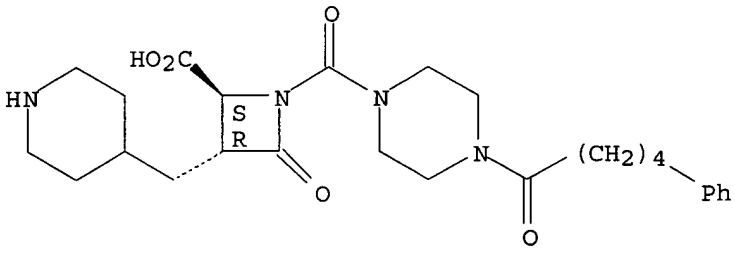
RN 705962-44-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(4-cyclohexyl-1-oxobutyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



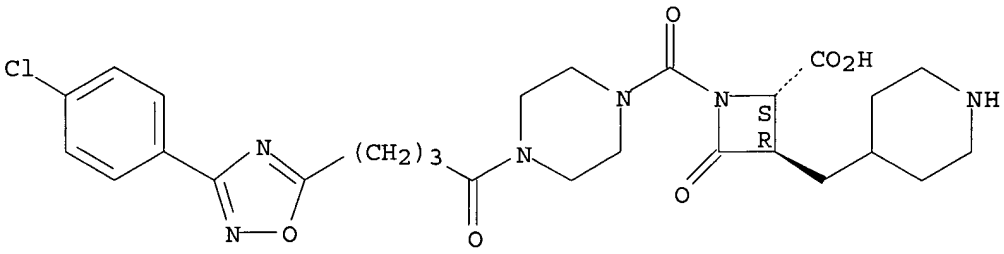
RN 705962-45-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-5-phenylpentyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



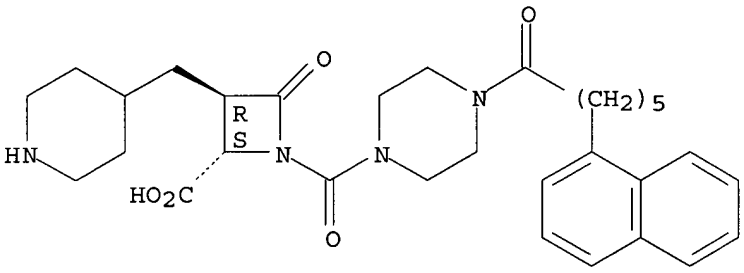
RN 705962-52-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[4-[3-(4-chlorophenyl)-1,2,4-oxadiazol-5-yl]-1-oxobutyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



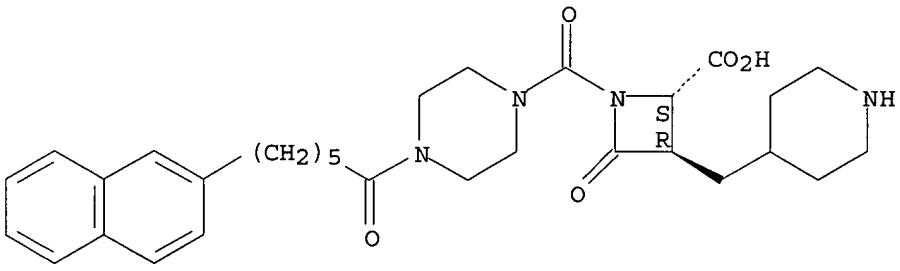
RN 705962-54-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[6-(1-naphthalenyl)-1-oxohexyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



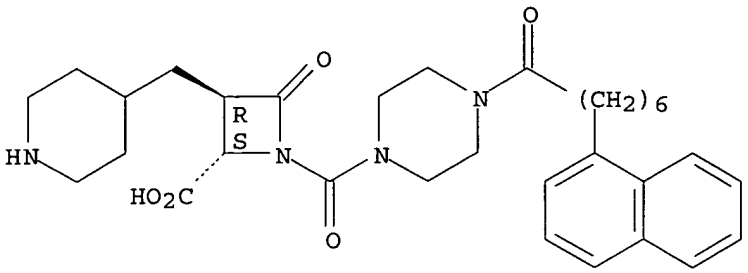
RN 705962-55-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[6-(2-naphthalenyl)-1-oxohexyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



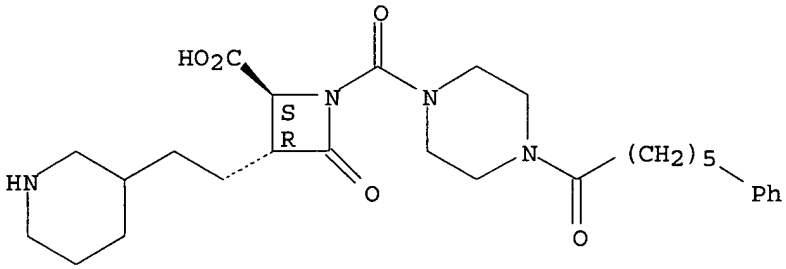
RN 705962-56-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[7-(1-naphthalenyl)-1-oxoheptyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



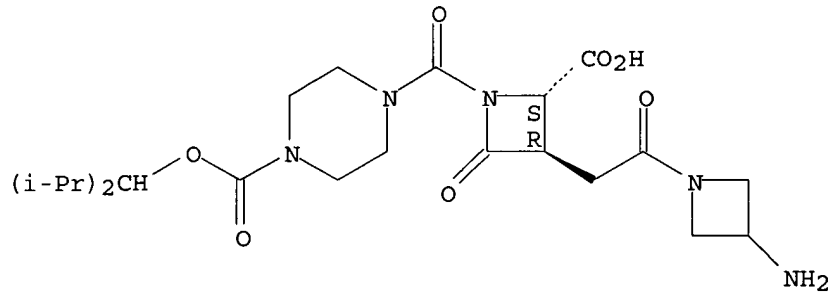
RN 708258-16-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-[2-(3-piperidinyl)ethyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



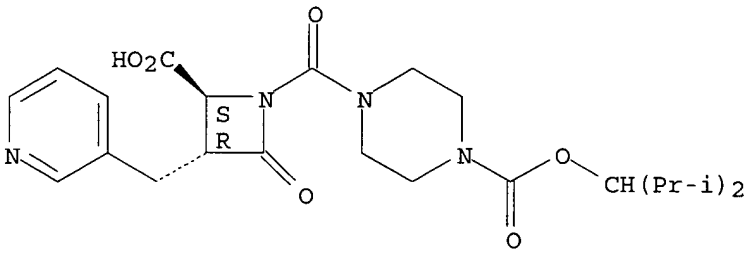
RN 727724-70-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[2-(3-amino-1-azetidinyl)-2-oxoethyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



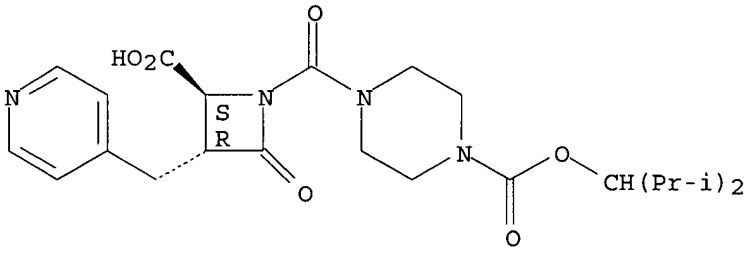
RN 727724-71-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-3-(3-pyridinylmethyl)-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



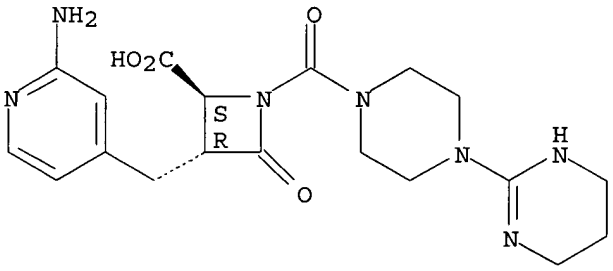
RN 727724-72-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-3-(4-pyridinylmethyl)-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727724-73-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[(2-amino-4-pyridinyl)methyl]-4-oxo-1-[[4-(1,4,5,6-tetrahydro-2-pyrimidinyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

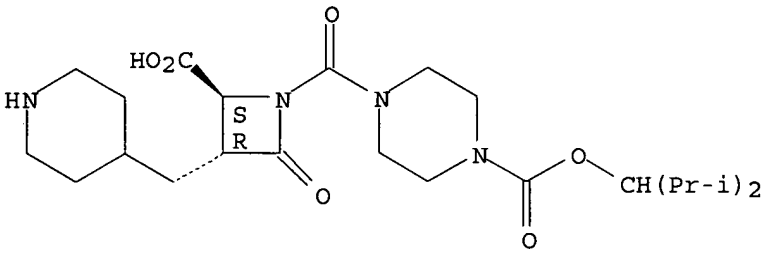


RN 727724-74-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-3-(4-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

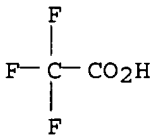
CRN 705950-84-1
CMF C23 H38 N4 O6

Absolute stereochemistry.



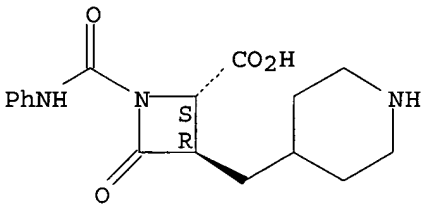
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 727724-75-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[(phenylamino)carbonyl]-3-(4-piperidinylmethyl)-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

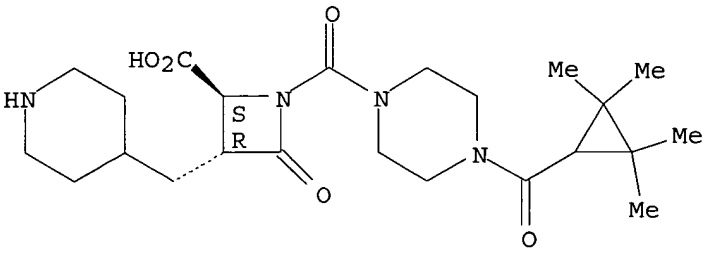
Absolute stereochemistry.



● HCl

RN 727724-76-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-[(2,2,3,3-tetramethylcyclopropyl)carbonyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

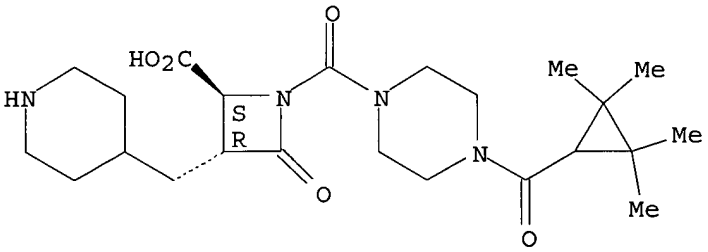


RN 727724-77-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-[(2,2,3,3-tetramethylcyclopropyl)carbonyl]-1-piperazinyl]carbonyl]-, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

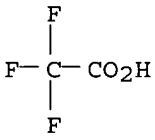
CRN 727724-76-7
CMF C23 H36 N4 O5

Absolute stereochemistry.



CM 2

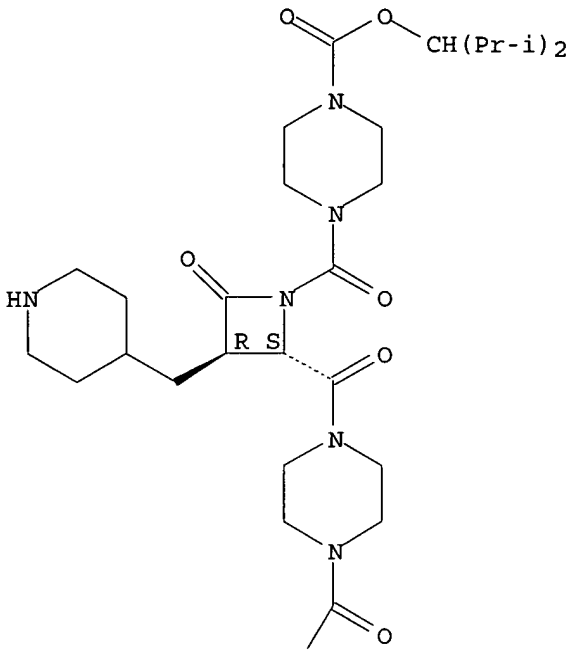
CRN 76-05-1
CMF C2 H F3 O2



RN 727724-78-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-[[4-(aminocarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

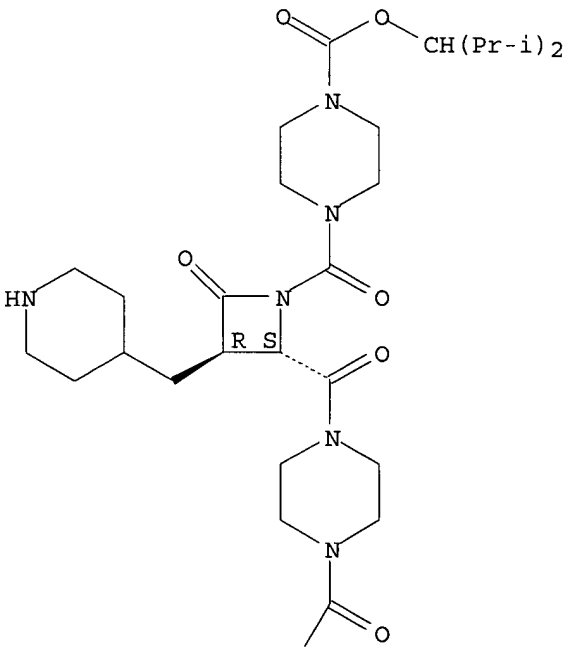
RN 727724-79-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-[[4-(aminocarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 727724-78-9
CMF C28 H47 N7 O6

Absolute stereochemistry.

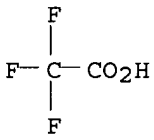
PAGE 1-A



PAGE 2-A

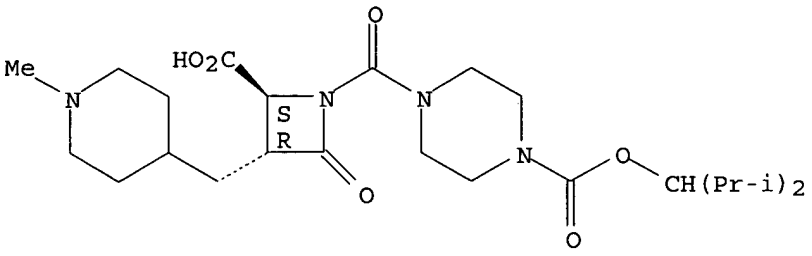
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 727724-80-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-3-[(1-methyl-4-piperidinyl)methyl]-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

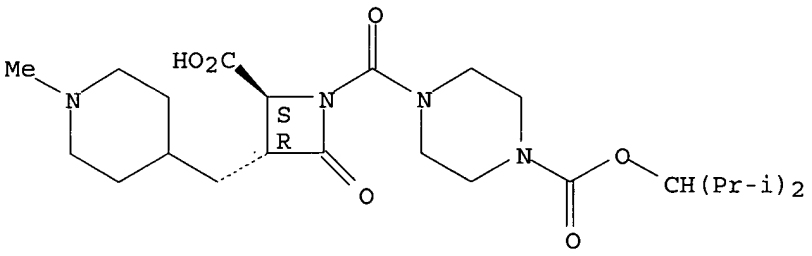


RN 727724-81-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-3-[(1-methyl-4-piperidinyl)methyl]-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

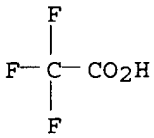
CRN 727724-80-3
CMF C24 H40 N4 O6

Absolute stereochemistry.



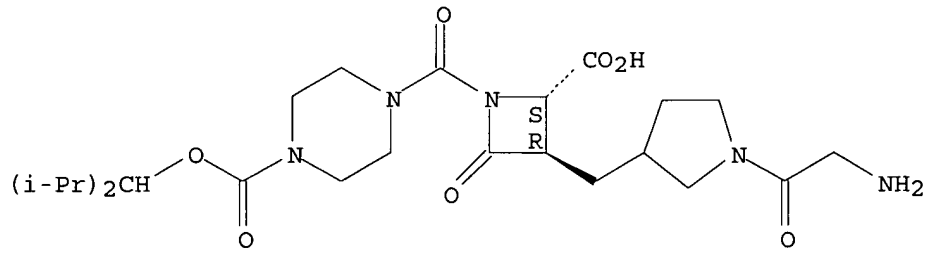
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 727724-82-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoacetyl)-3-pyrrolidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester, monohydrochloride (9CI) (CA INDEX NAME)

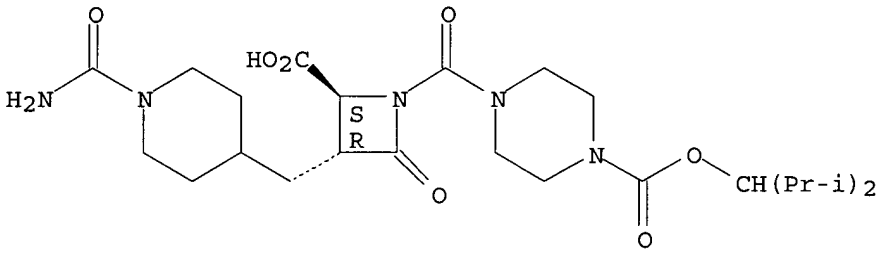
Absolute stereochemistry.



● HCl

RN 727724-83-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminocarbonyl)-4-piperidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

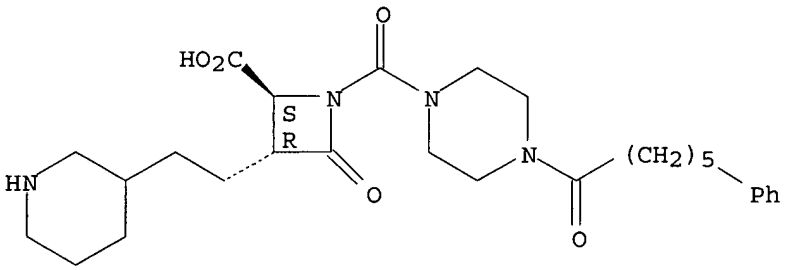


RN 727724-84-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-[2-(3-piperidinyl)ethyl]-, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

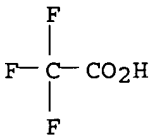
CRN 708258-16-6
CMF C28 H40 N4 O5

Absolute stereochemistry.



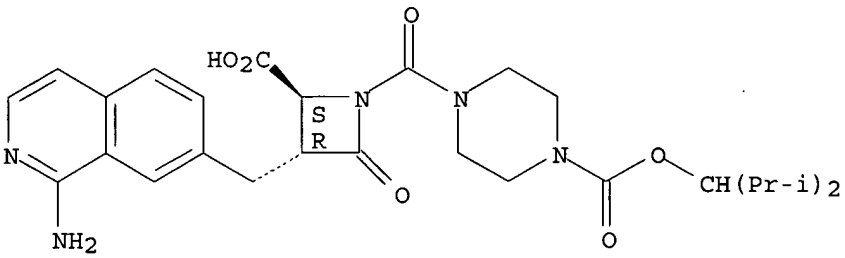
CM 2

CRN 76-05-1
CMF C2 H F3 O2



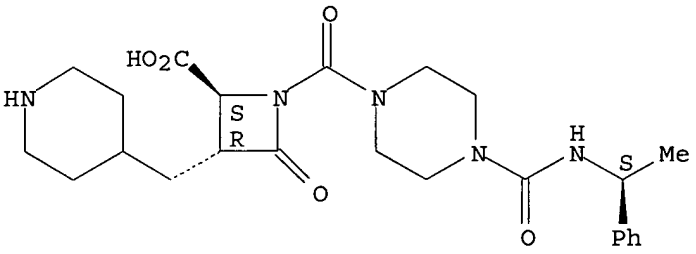
RN 727724-85-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[(1-amino-7-isoquinolinyl)methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



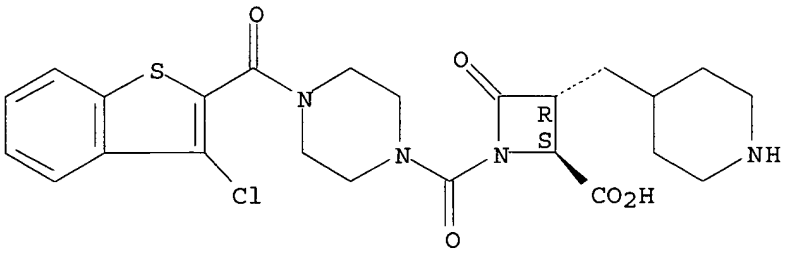
RN 727724-88-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[[(1S)-1-phenylethyl]amino]carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



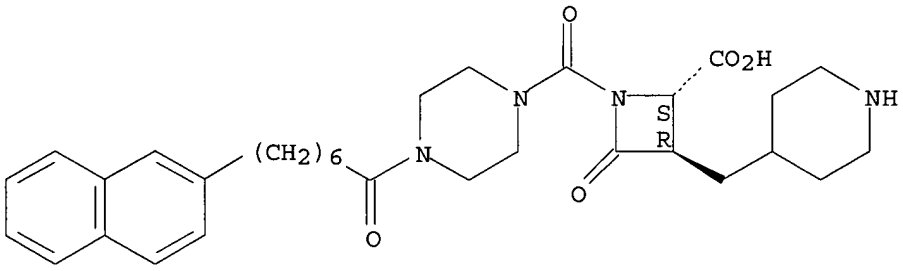
RN 727724-89-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(3-chlorobenzo[b]thien-2-yl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



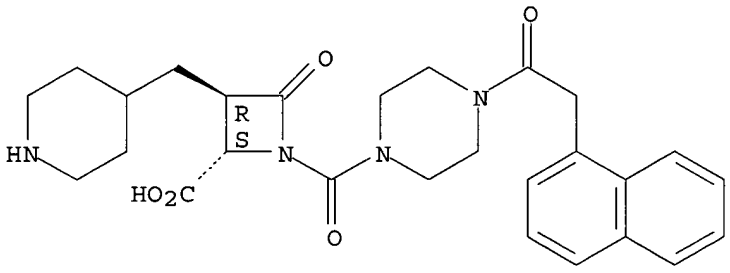
RN 727724-90-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[7-(2-naphthalenyl)-1-oxoheptyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



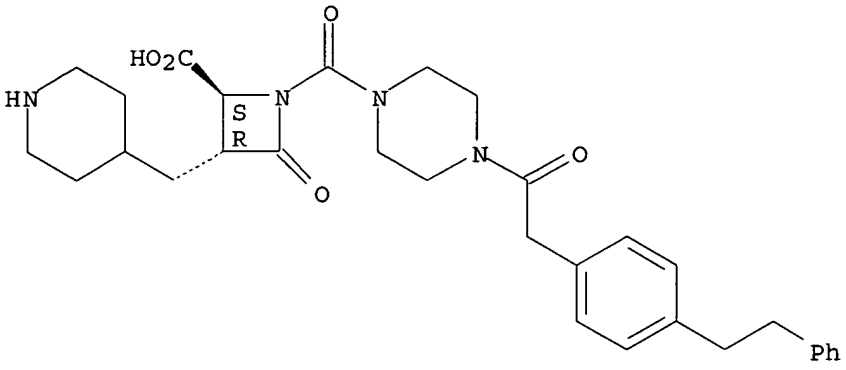
RN 727724-91-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(1-naphthalenylacetyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



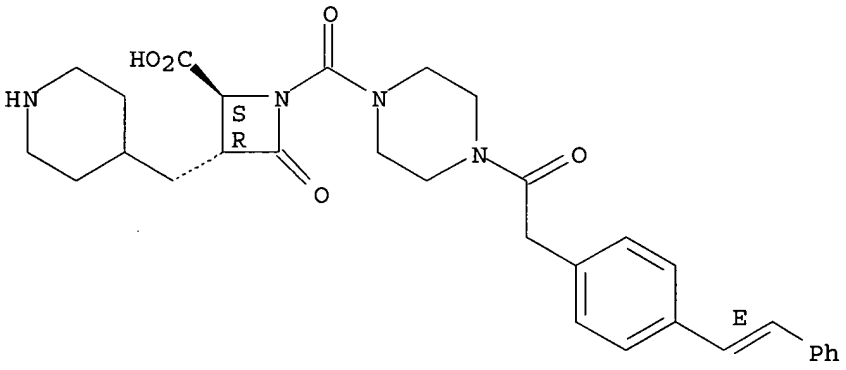
RN 727724-92-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[4-(2-phenylethyl)phenyl]acetyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



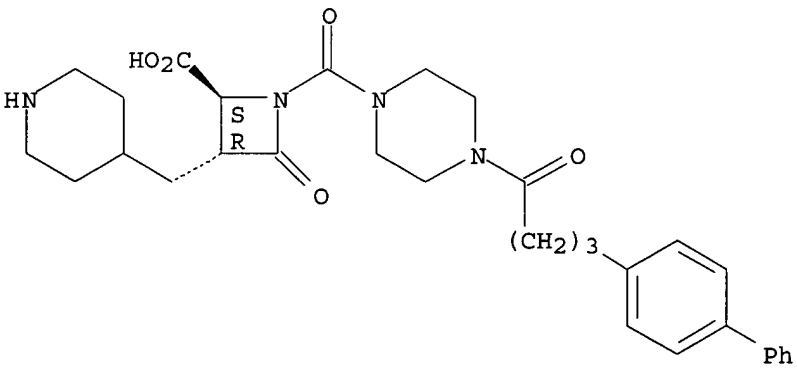
RN 727724-93-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[4-[(1E)-2-phenylethenyl]phenyl]acetyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



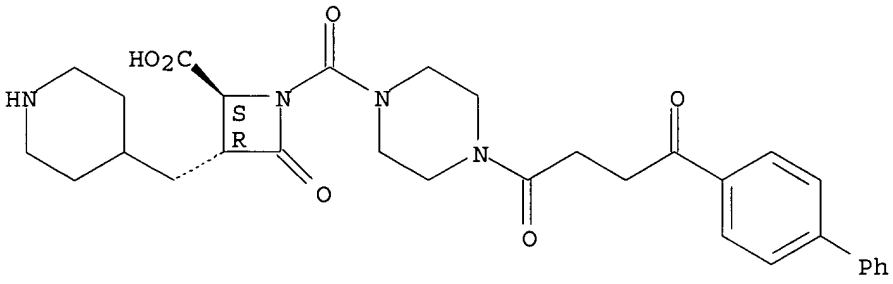
RN 727724-94-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(4-[1,1'-biphenyl]-4-yl-1-oxobutyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



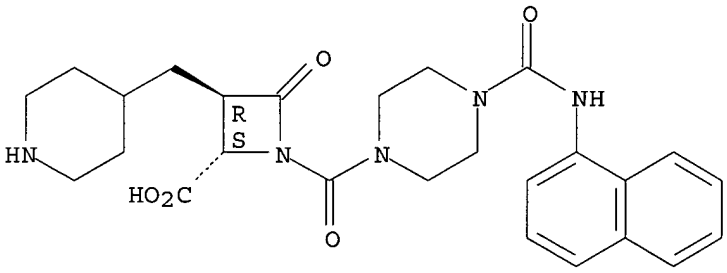
RN 727724-95-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(4-[1,1'-biphenyl]-4-yl-1,4-dioxobutyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



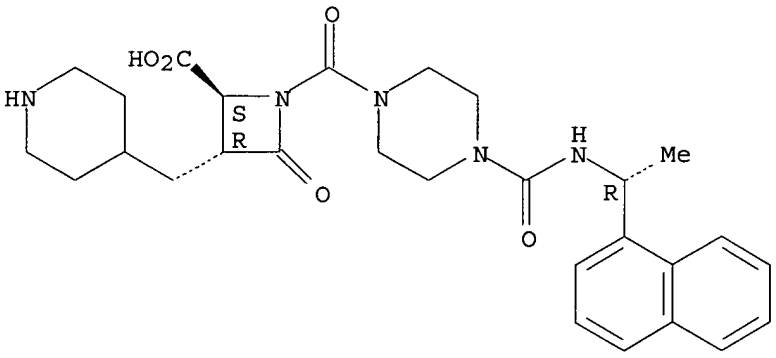
RN 727724-96-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(1-naphthalenylamino)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



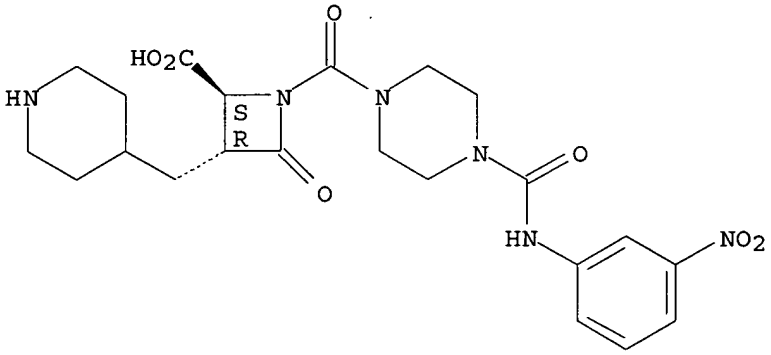
RN 727724-97-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



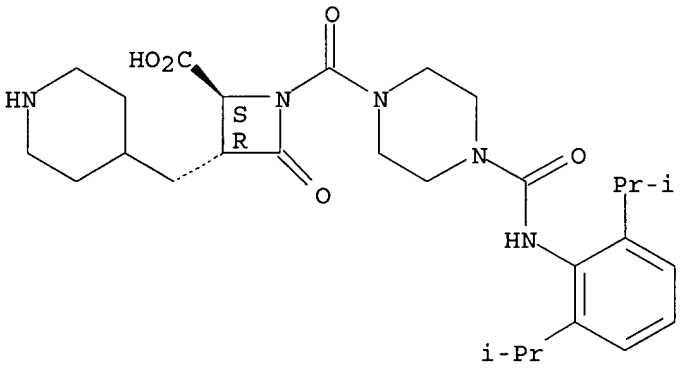
RN 727724-98-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[(3-nitrophenyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



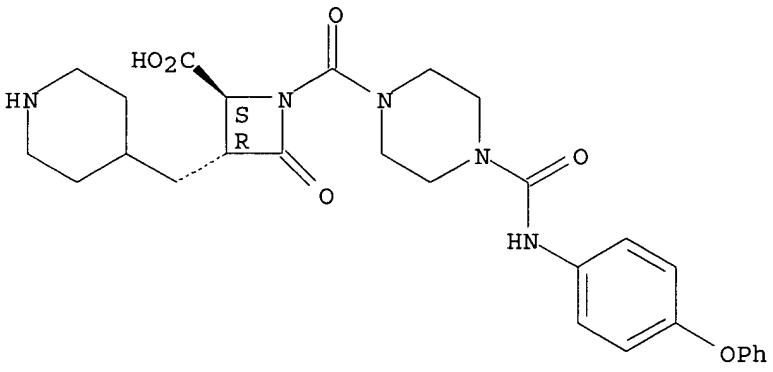
RN 727724-99-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



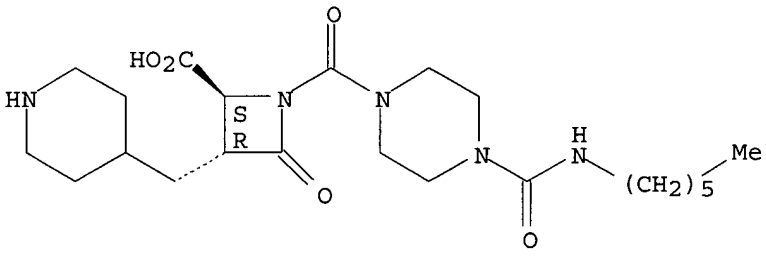
RN 727725-00-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[(4-phenoxyphenyl)amino]carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



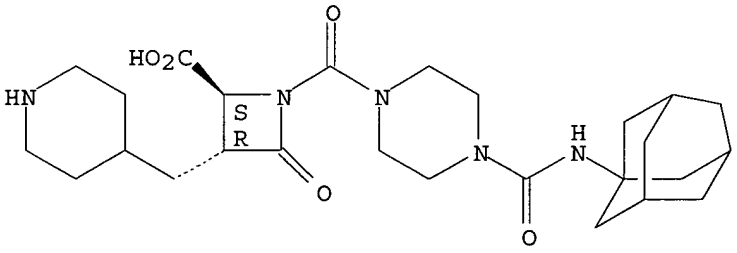
RN 727725-01-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(hexylamino)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



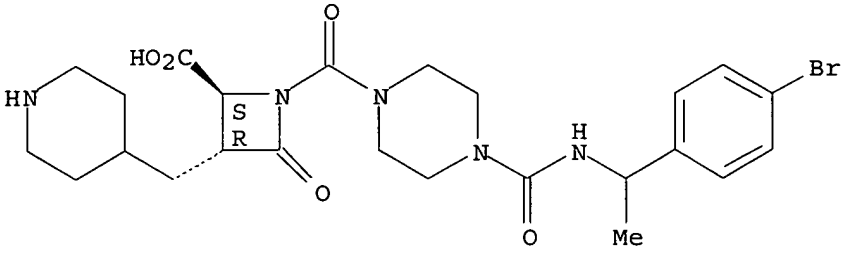
RN 727725-02-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



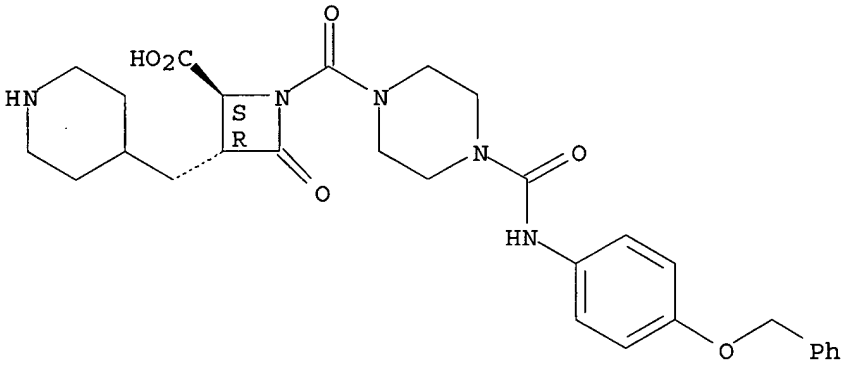
RN 727725-03-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[[1-(4-bromophenyl)ethyl]amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



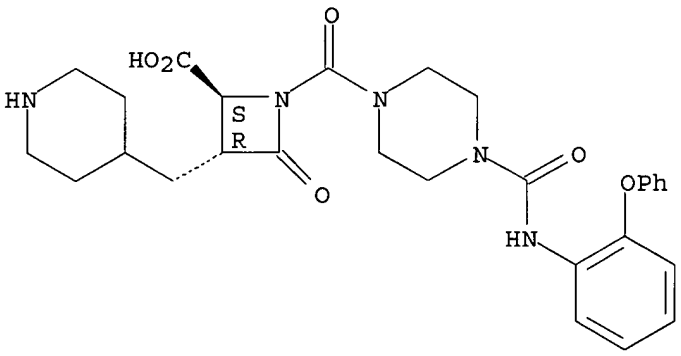
RN 727725-04-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[[4-(phenylmethoxy)phenyl]amino]carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



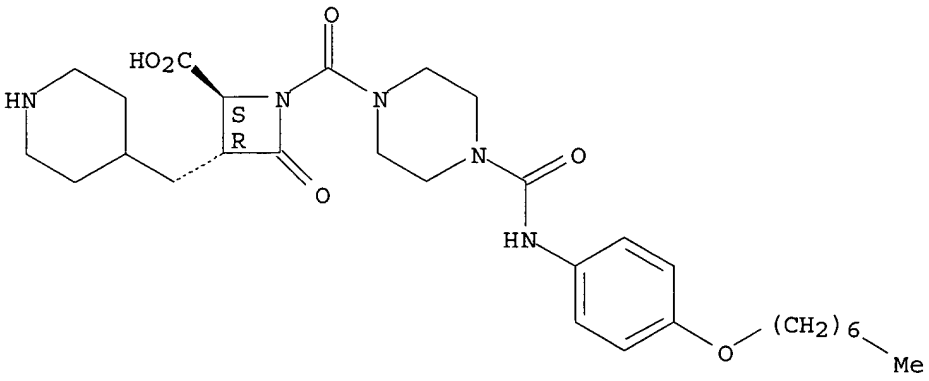
RN 727725-05-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[(2-phenoxyphenyl)amino]carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



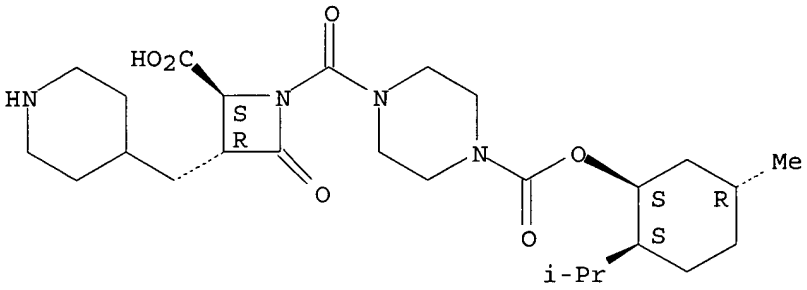
RN 727725-06-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[[4-(heptyloxy)phenyl]amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



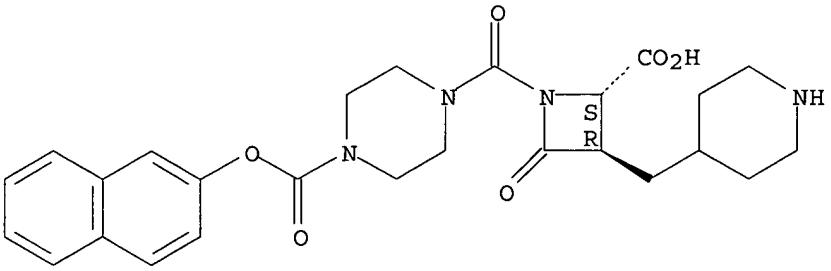
RN 727725-07-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-3-(4-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 1-[(1S,2S,5R)-5-methyl-2-(1-methylethyl)cyclohexyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727725-08-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-3-(4-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 1-(2-naphthalenyl) ester (9CI) (CA INDEX NAME)

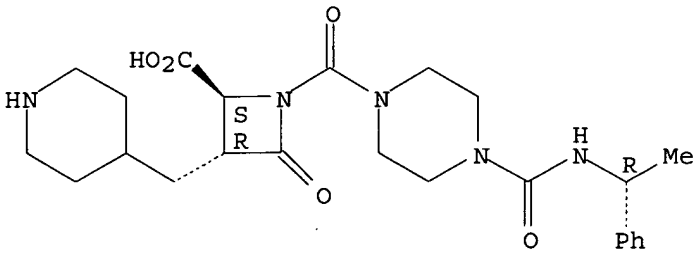
Absolute stereochemistry.



RN 727725-09-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[[(1R)-1-phenylethyl]amino]carbonyl]-1-piperazinyl]carbonyl]-3-(4-

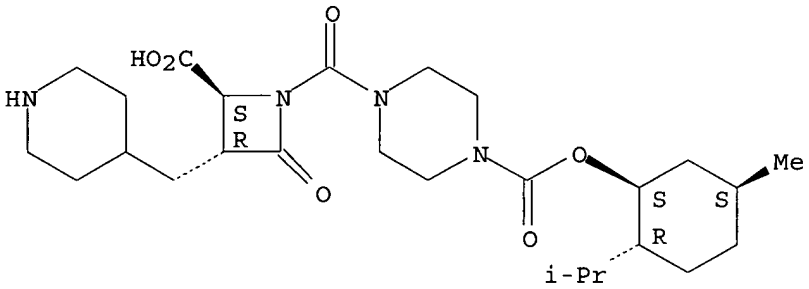
piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



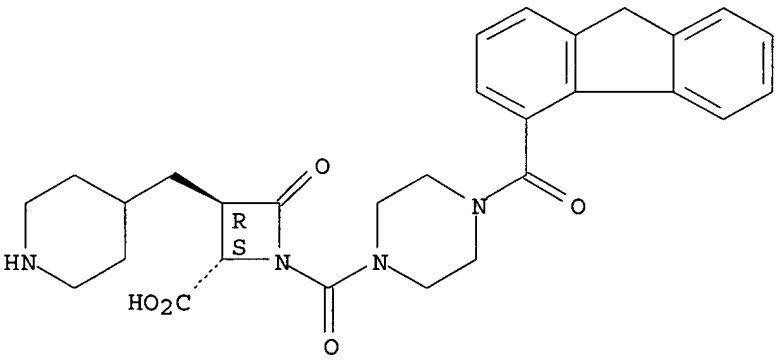
RN 727725-10-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-3-(4-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 1-[(1S,2R,5S)-5-methyl-2-(1-methylethyl)cyclohexyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727725-11-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(9H-fluoren-4-ylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

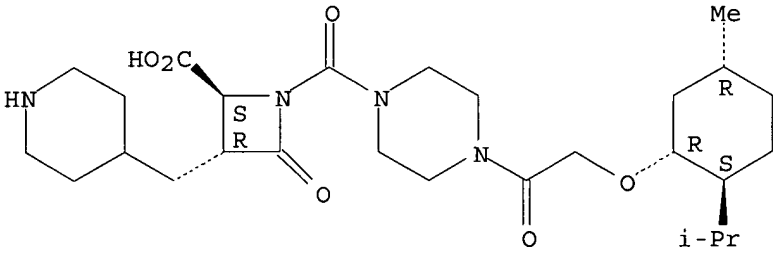
Absolute stereochemistry.



RN 727725-12-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[[(1R,2S,5R)-5-methyl-2-(1-methylethyl)cyclohexyl]oxy]acetyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-

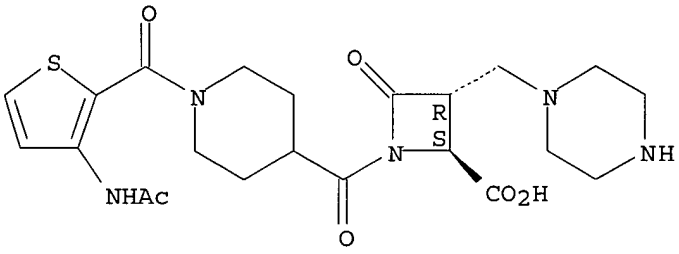
piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



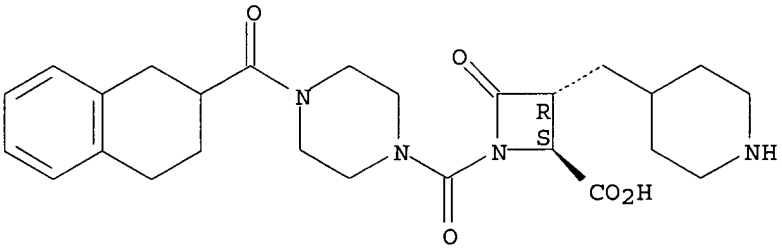
RN 727725-13-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[1-[[3-(acetylamino)-2-thienyl]carbonyl]-4-piperidinyl]carbonyl]-4-oxo-3-(1-piperazinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



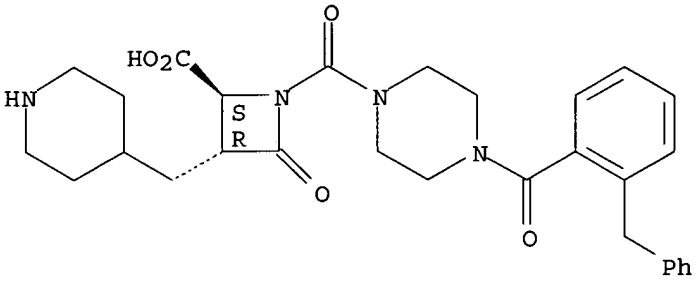
RN 727725-14-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



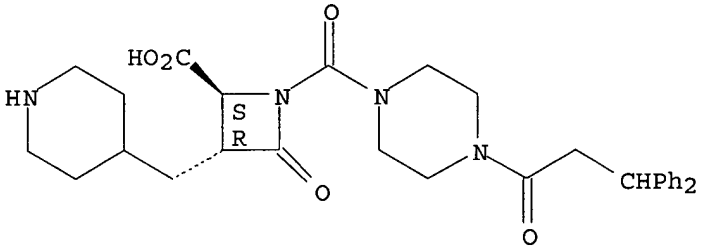
RN 727725-15-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[2-(phenylmethyl)benzoyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



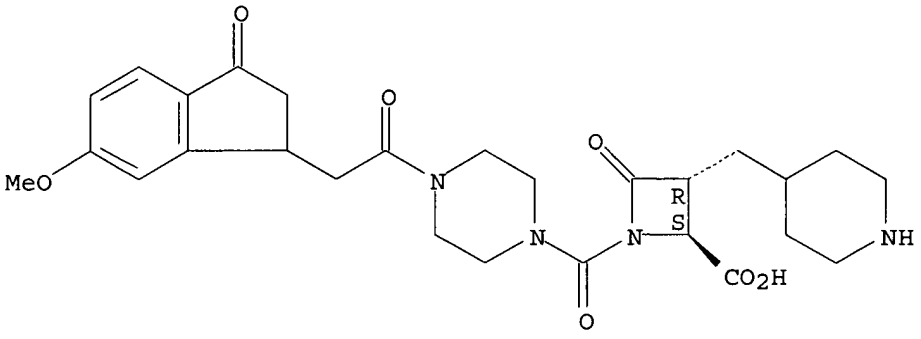
RN 727725-16-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-3,3-diphenylpropyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



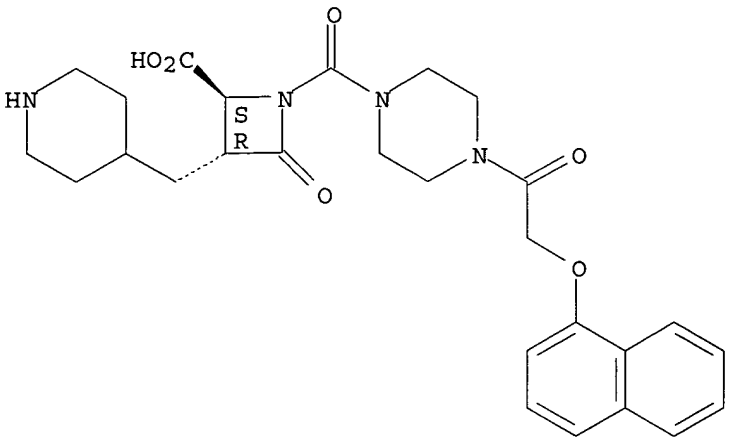
RN 727725-17-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2,3-dihydro-6-methoxy-3-oxo-1H-inden-1-yl)acetyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



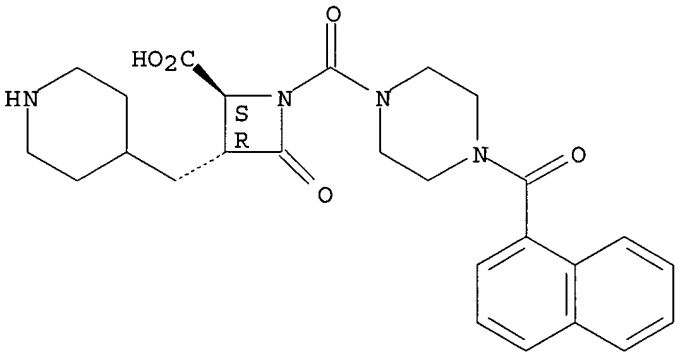
RN 727725-18-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(1-naphthalenyloxy)acetyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



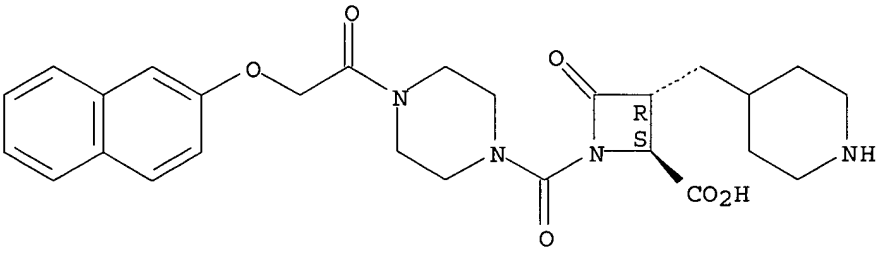
RN 727725-19-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(1-naphthalenylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727725-20-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2-naphthalenyloxy)acetyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

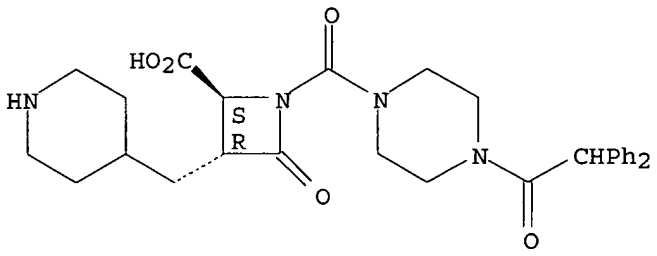
Absolute stereochemistry.



RN 727725-21-5 HCAPLUS

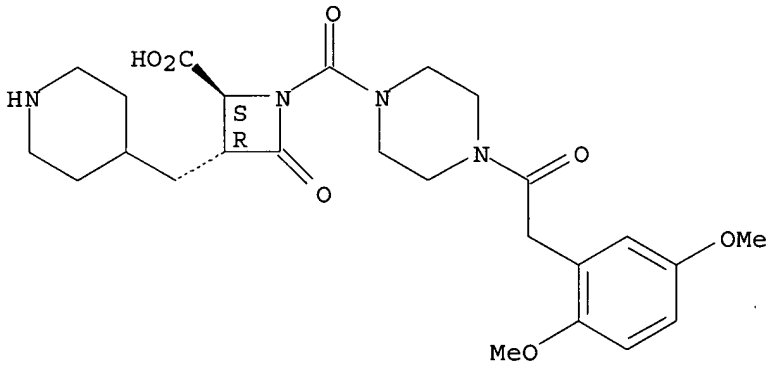
CN 2-Azetidinecarboxylic acid, 1-[[4-(diphenylacetyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



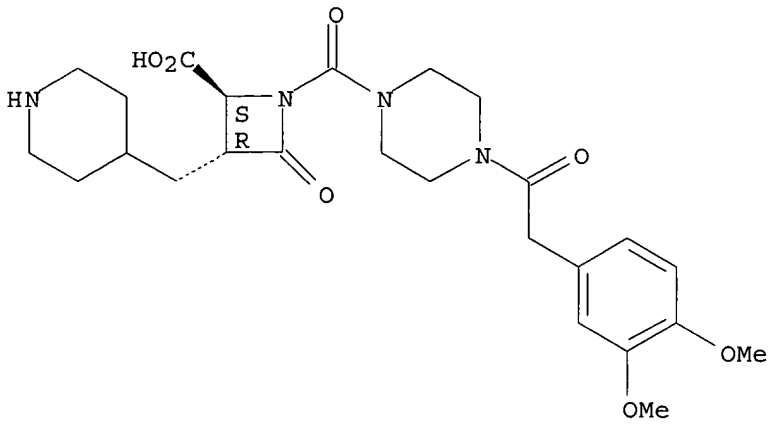
RN 727725-22-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2,5-dimethoxyphenyl)acetyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



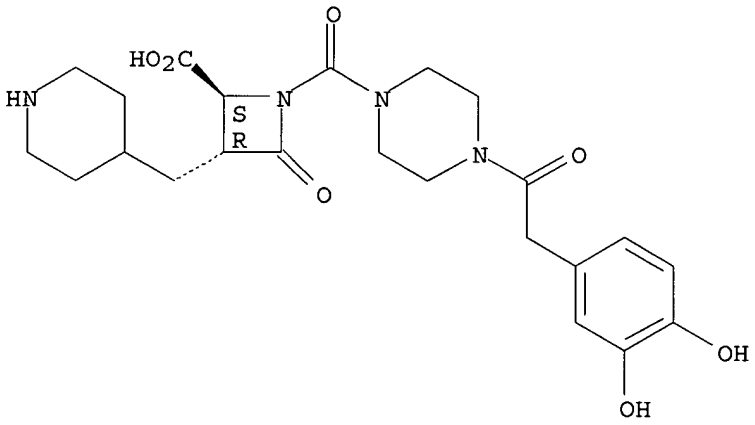
RN 727725-23-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(3,4-dimethoxyphenyl)acetyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



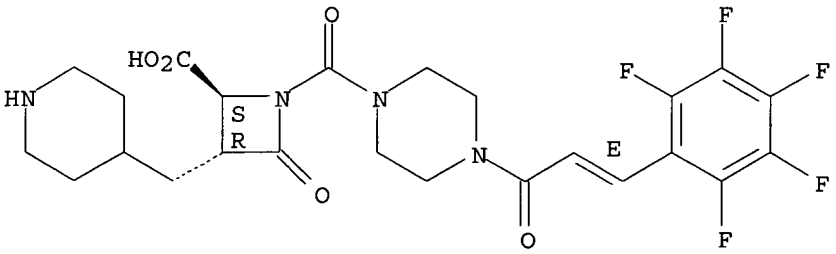
RN 727725-24-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(3,4-dihydroxyphenyl)acetyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



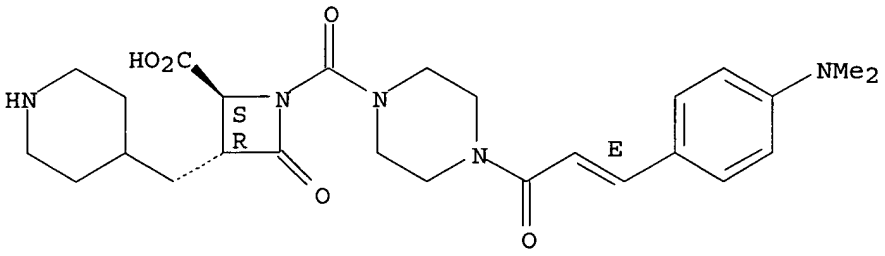
RN 727725-25-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(2E)-1-oxo-3-(pentafluorophenyl)-2-propenyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



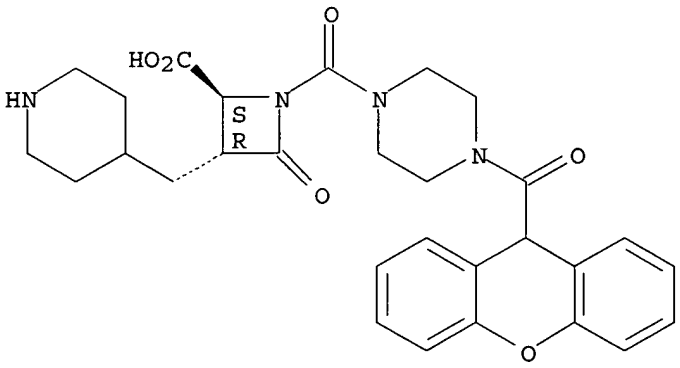
RN 727725-26-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2E)-3-[4-(dimethylamino)phenyl]-1-oxo-2-propenyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



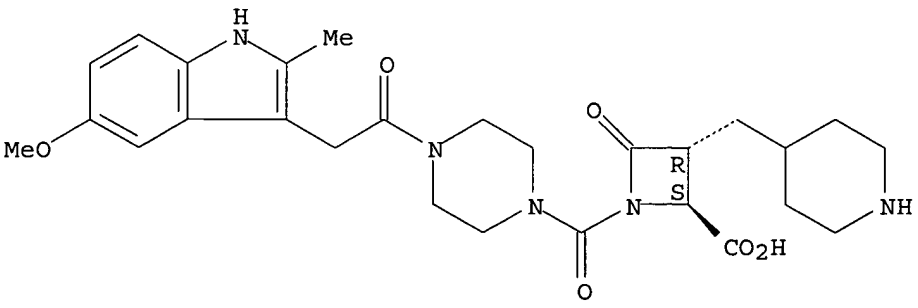
RN 727725-27-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(9H-xanthen-9-ylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



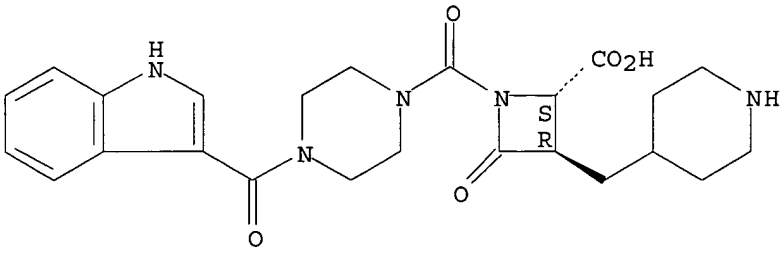
RN 727725-28-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



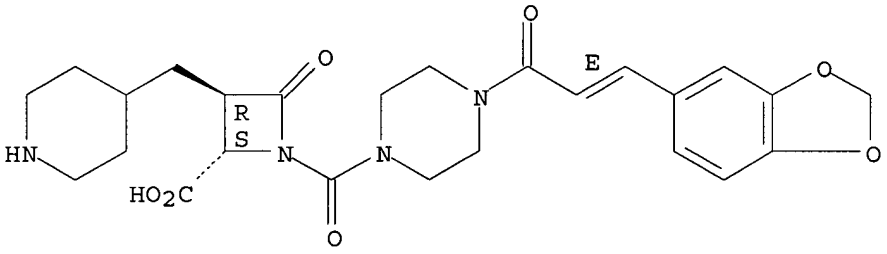
RN 727725-29-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(1H-indol-3-ylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



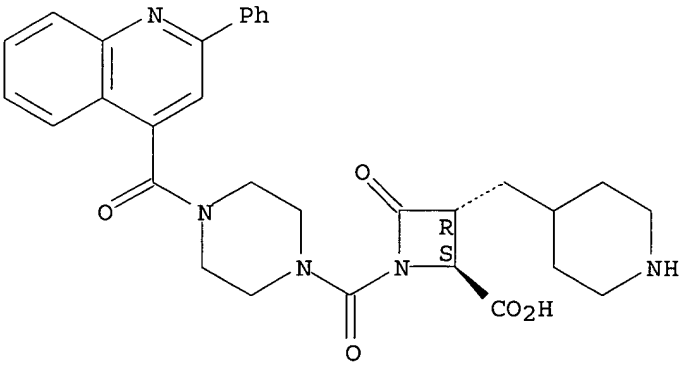
RN 727725-30-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2E)-3-(1,3-benzodioxol-5-yl)-1-oxo-2-propenyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



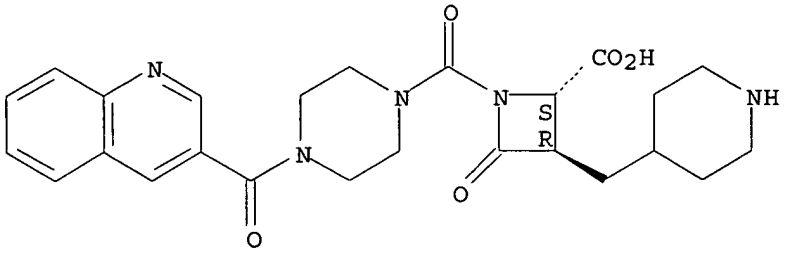
RN 727725-31-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(2-phenyl-4-quinolinyl)carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



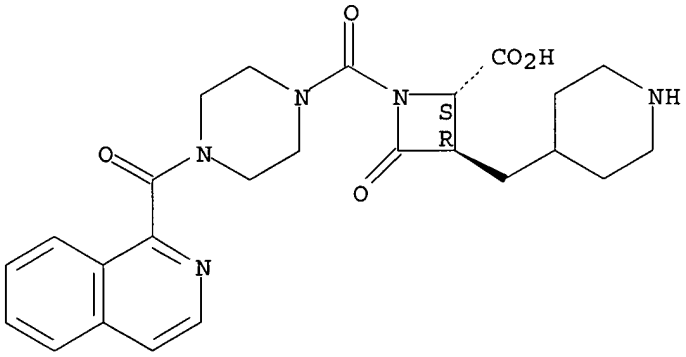
RN 727725-32-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(3-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



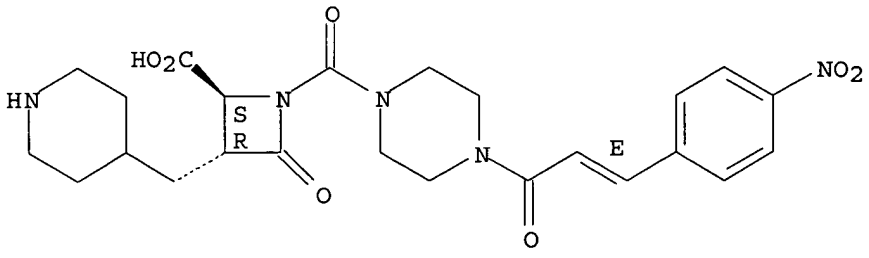
RN 727725-33-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(1-isoquinolinylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



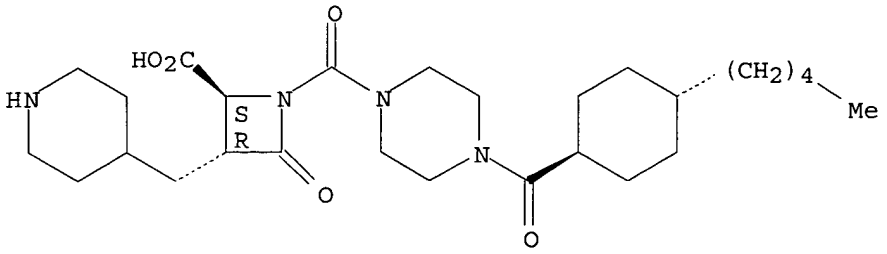
RN 727725-34-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2E)-3-(4-nitrophenyl)-1-oxo-2-propenyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



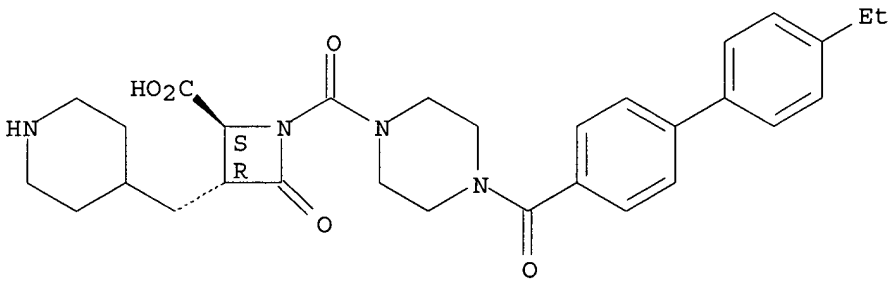
RN 727725-35-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(trans-4-pentylcyclohexyl)carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



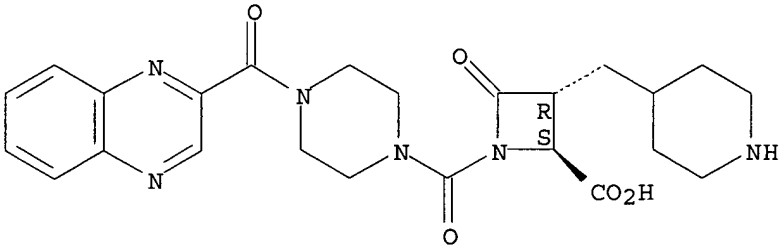
RN 727725-36-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(4'-ethyl[1,1'-biphenyl]-4-yl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



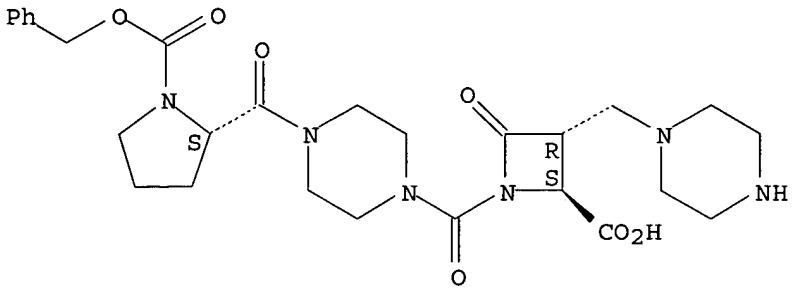
RN 727725-37-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(2-quinoxalinylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



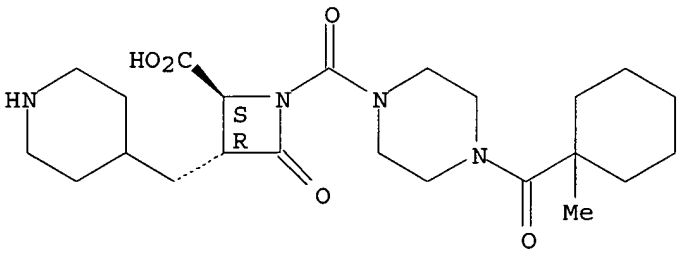
RN 727725-38-4 HCAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[4-[[(2S,3R)-2-carboxy-4-oxo-3-(1-piperazinylmethyl)-1-azetidinyl]carbonyl]-1-piperazinyl]carbonyl]-, 1-(phenylmethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



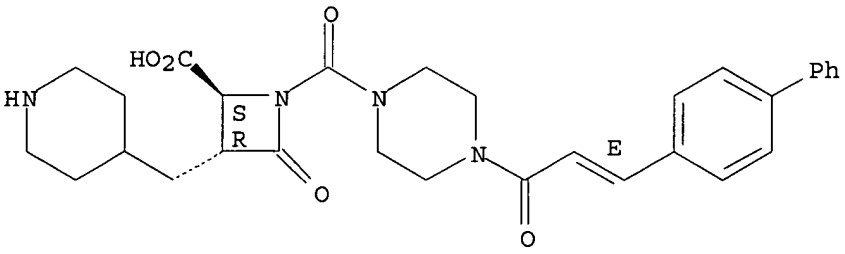
RN 727725-39-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(1-methylcyclohexyl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



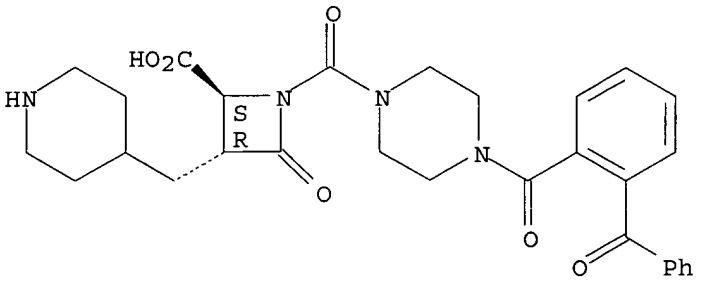
RN 727725-40-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2E)-3-[1,1'-biphenyl]-4-yl-1-oxo-2-propenyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



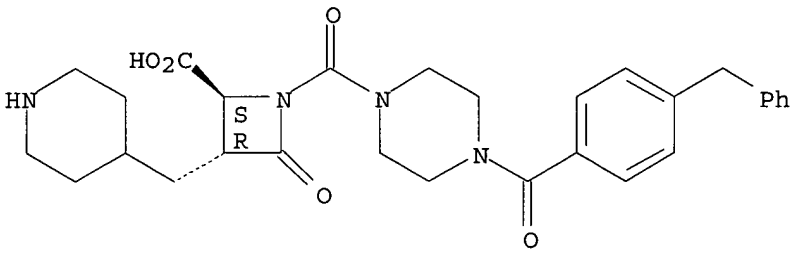
RN 727725-41-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(2-benzoylbenzoyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



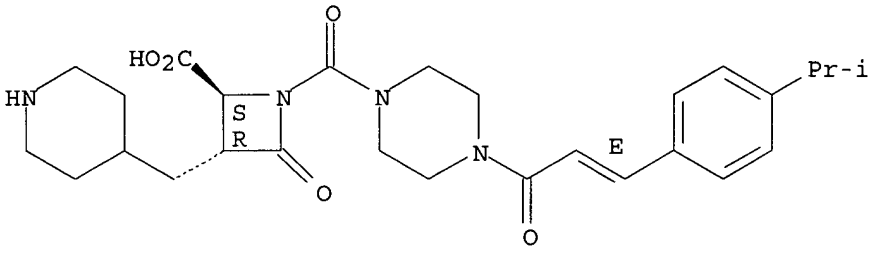
RN 727725-43-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[4-(phenylmethyl)benzoyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



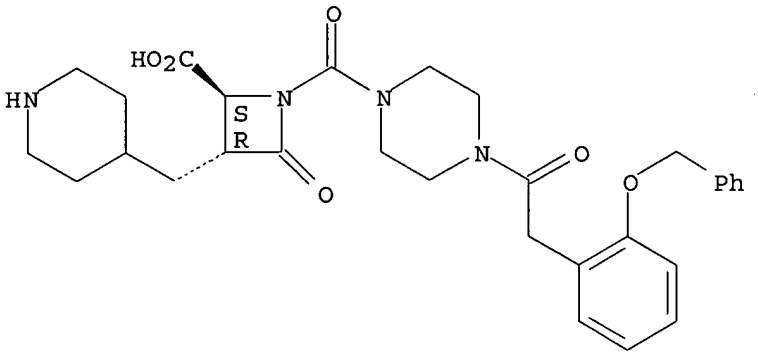
RN 727725-44-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2E)-3-[4-(1-methylethyl)phenyl]-1-oxo-2-propenyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



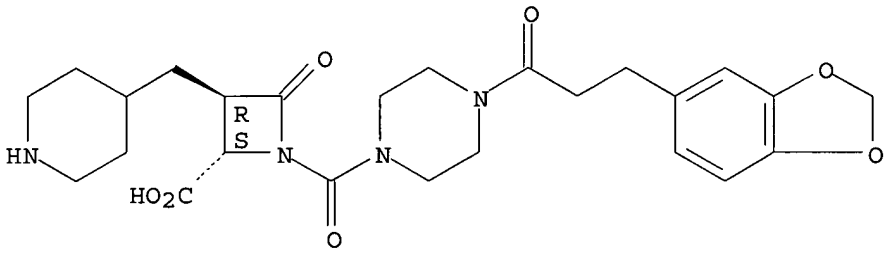
RN 727725-45-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[2-(phenylmethoxy)phenyl]acetyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



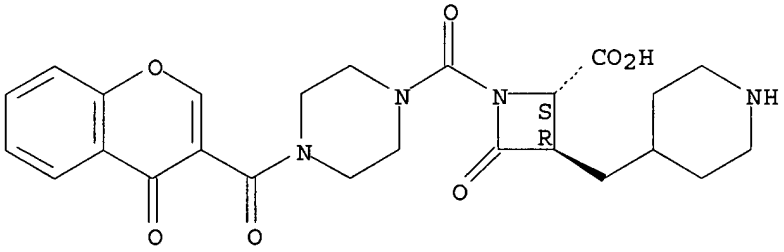
RN 727725-46-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[3-(1,3-benzodioxol-5-yl)-1-oxopropyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



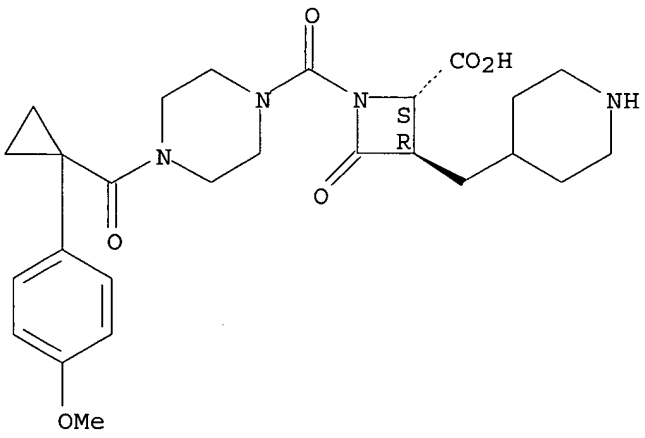
RN 727725-47-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(4-oxo-4H-1-benzopyran-3-yl)carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



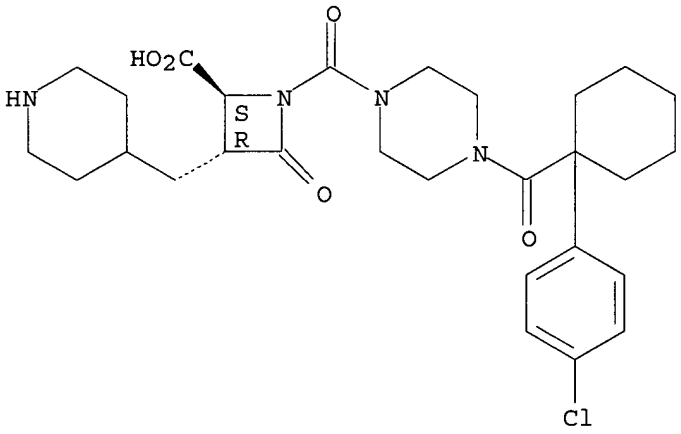
RN 727725-48-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[1-(4-methoxyphenyl)cyclopropyl]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



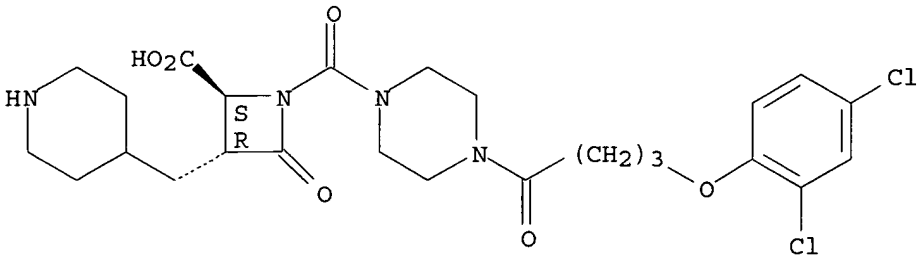
RN 727725-49-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[1-(4-chlorophenyl)cyclohexyl]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



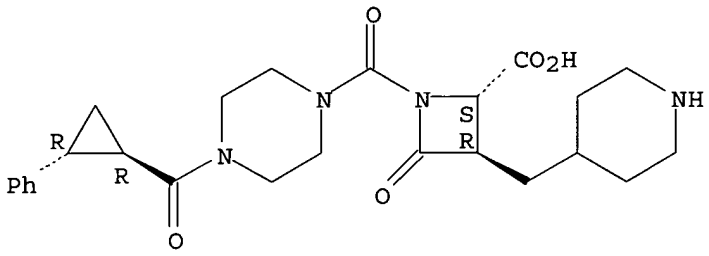
RN 727725-50-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[4-(2,4-dichlorophenoxy)-1-oxobutyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



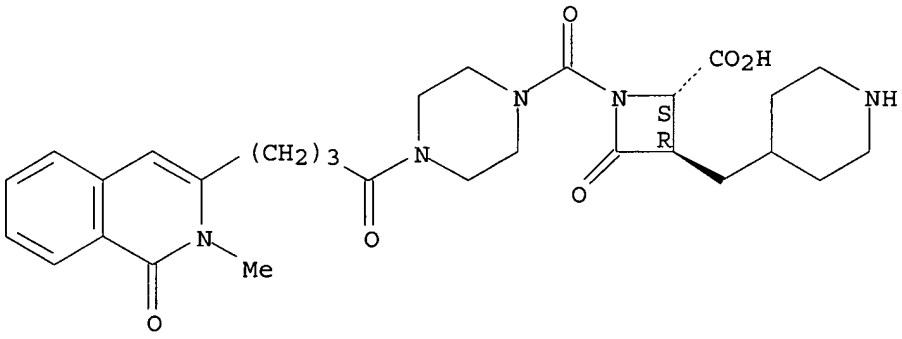
RN 727725-51-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



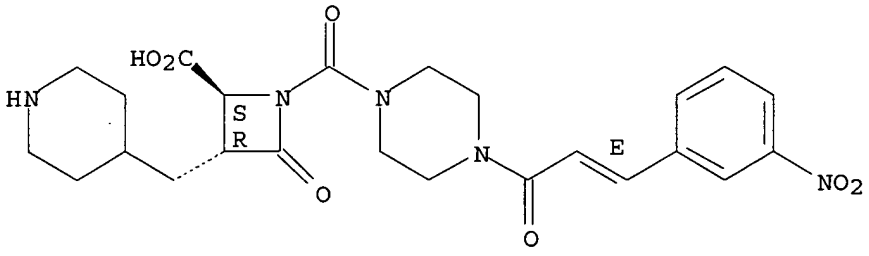
RN 727725-52-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[4-(1,2-dihydro-2-methyl-1-oxo-3-isoquinolinyl)-1-oxobutyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727725-53-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2E)-3-(3-nitrophenyl)-1-oxo-2-propenyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

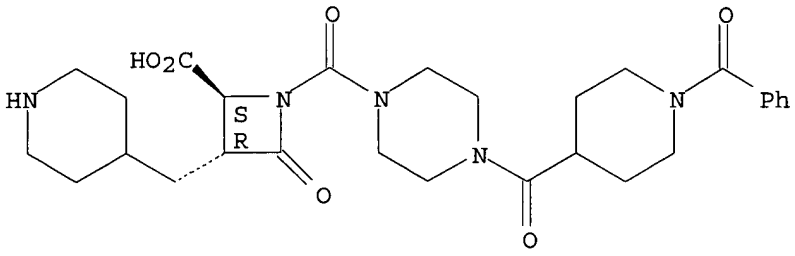
Absolute stereochemistry.
Double bond geometry as shown.



RN 727725-54-4 HCAPLUS

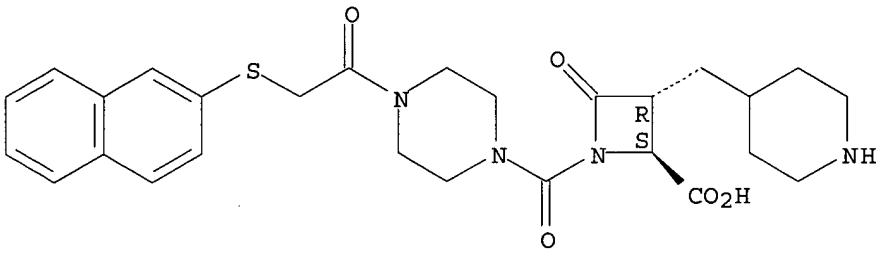
CN 2-Azetidinecarboxylic acid, 1-[[4-[(1-benzoyl-4-piperidinyl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



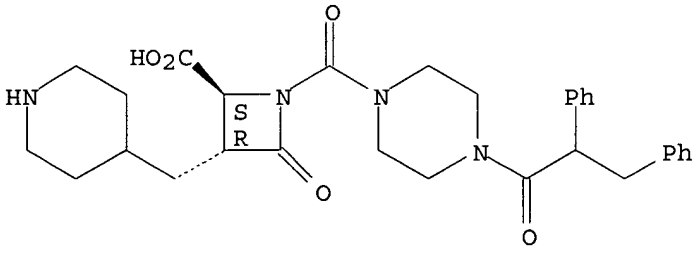
RN 727725-55-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2-naphthalenylthio)acetyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



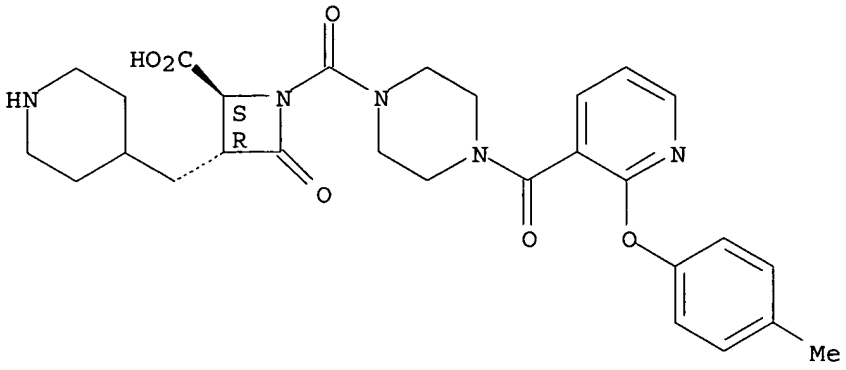
RN 727725-56-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-2,3-diphenylpropyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



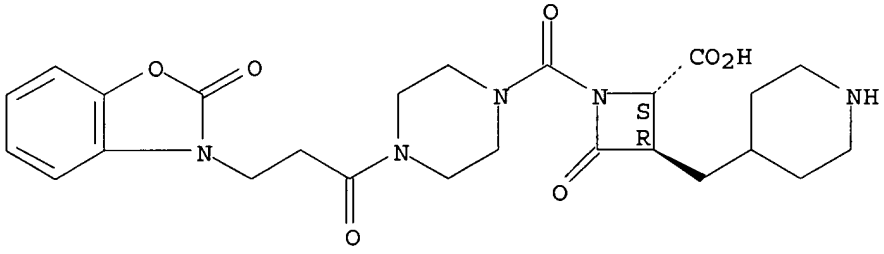
RN 727725-57-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[2-(4-methylphenoxy)-3-pyridinyl]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



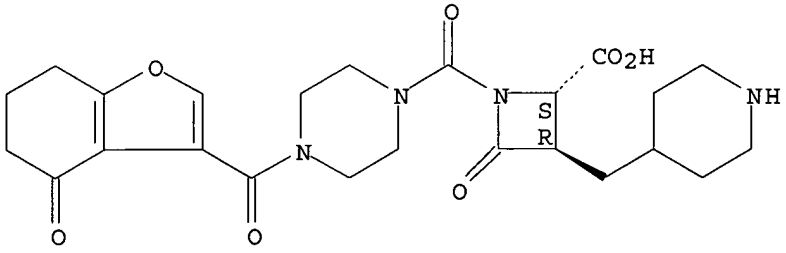
RN 727725-58-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[1-oxo-3-(2-oxo-3(2H)-benzoxazolyl)propyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



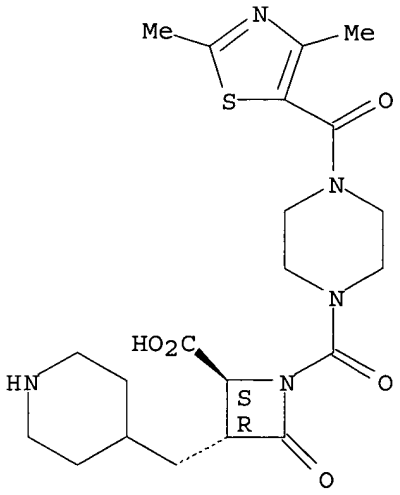
RN 727725-59-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-[(4,5,6,7-tetrahydro-4-oxo-3-benzofuranyl)carbonyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



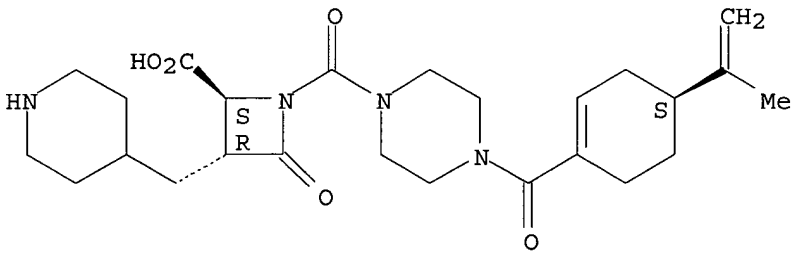
RN 727725-60-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2,4-dimethyl-5-thiazolyl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



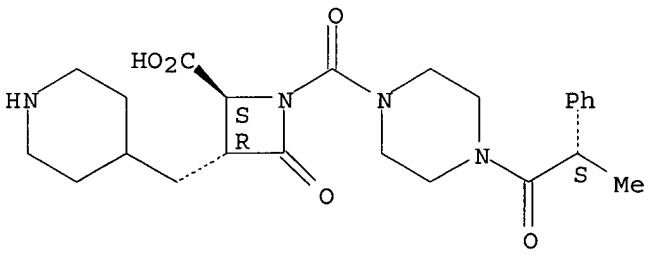
RN 727725-62-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[(4S)-4-(1-methylethenyl)-1-cyclohexen-1-yl]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727725-63-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(2S)-1-oxo-2-phenylpropyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

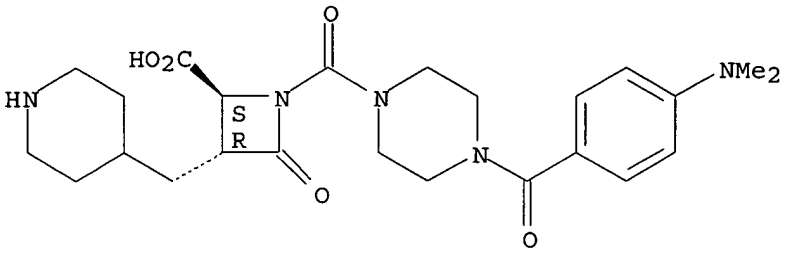
Absolute stereochemistry.



RN 727725-64-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[4-(dimethylamino)benzoyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA

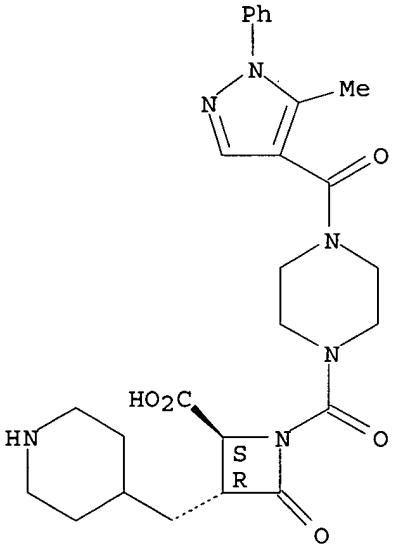
INDEX NAME)

Absolute stereochemistry.



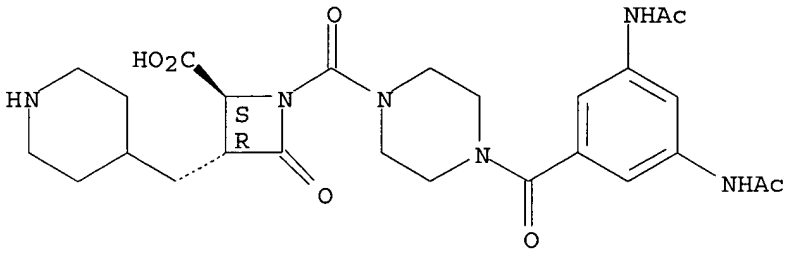
RN 727725-65-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(5-methyl-1-phenyl-1H-pyrazol-4-yl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



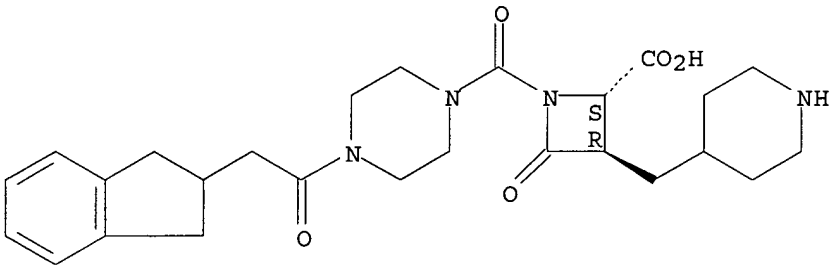
RN 727725-66-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[3,5-bis(acetylamino)benzoyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



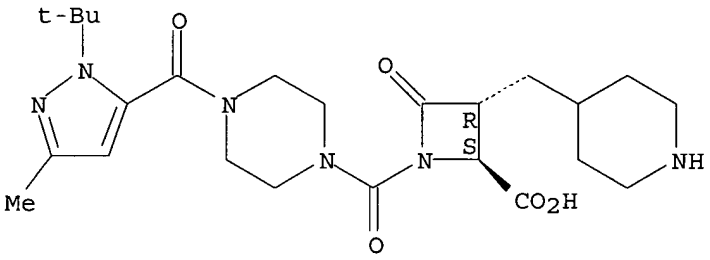
RN 727725-67-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2,3-dihydro-1H-inden-2-yl)acetyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



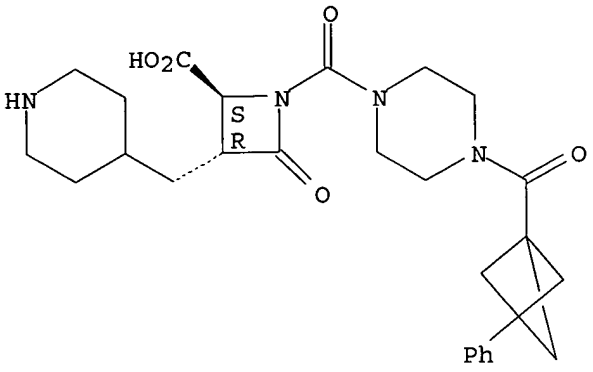
RN 727725-68-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[1-(1,1-dimethylethyl)-3-methyl-1H-pyrazol-5-yl]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



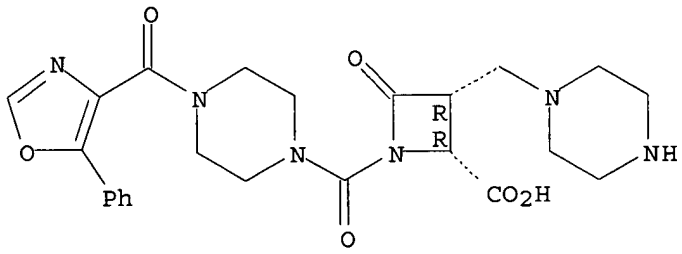
RN 727725-69-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(3-phenylbicyclo[1.1.1]pent-1-yl)carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



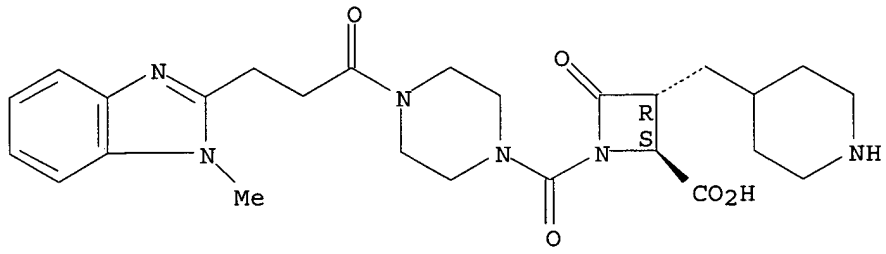
RN 727725-70-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(5-phenyl-4-oxazolyl)carbonyl]-1-piperazinyl]carbonyl]-3-(1-piperazinylmethyl)-, (2R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



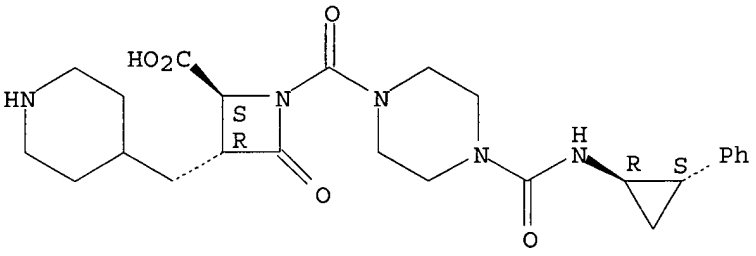
RN 727725-71-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[3-(1-methyl-1H-benzimidazol-2-yl)-1-oxopropyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



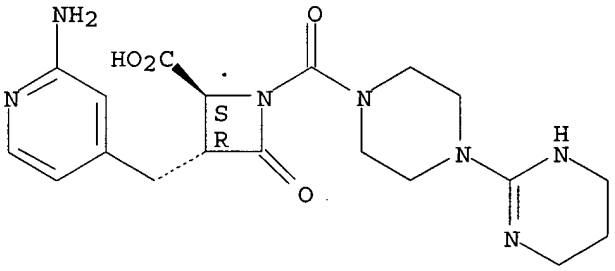
RN 727726-07-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727726-08-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[(2-amino-4-pyridinyl)methyl]-4-oxo-1-[[4-(1,4,5,6-tetrahydro-2-pyrimidinyl)-1-piperazinyl]carbonyl]-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



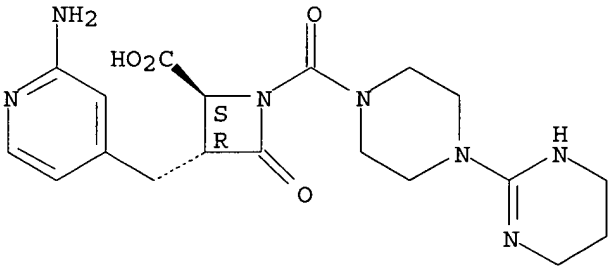
● HCl

RN 727726-09-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[(2-amino-4-pyridinyl)methyl]-4-oxo-1-[[4-(1,4,5,6-tetrahydro-2-pyrimidinyl)-1-piperazinyl]carbonyl]-, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

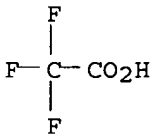
CRN 727724-73-4
CMF C19 H25 N7 O4

Absolute stereochemistry.



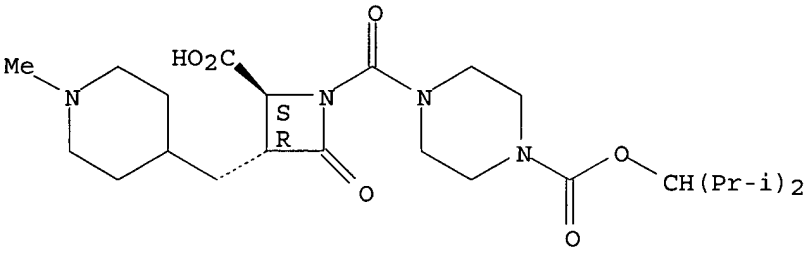
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 727726-10-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-3-[(1-methyl-4-piperidinyl)methyl]-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester, monohydrochloride (9CI) (CA INDEX NAME)

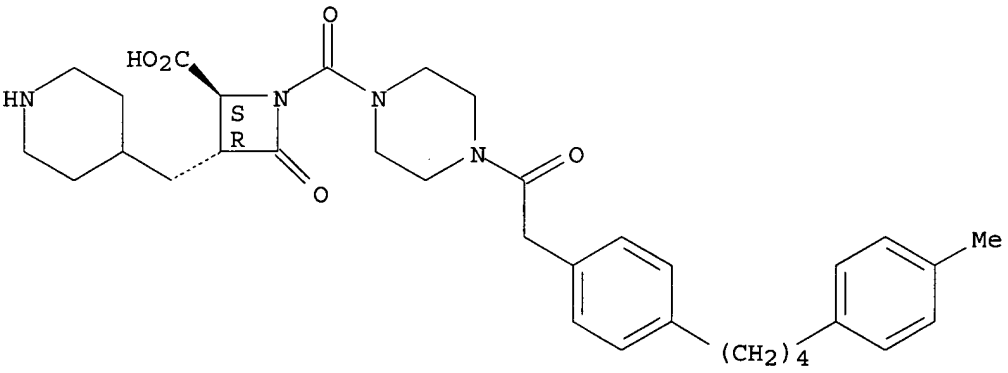
Absolute stereochemistry.



● HCl

RN 727726-11-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[4-[4-(4-methylphenyl)butyl]phenyl]acetyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

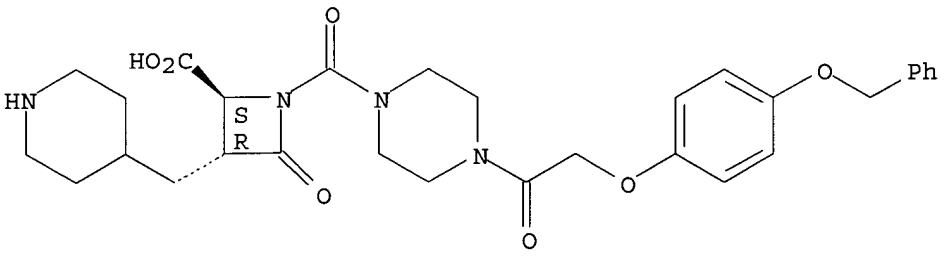
Absolute stereochemistry.



RN 727726-12-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[4-(phenylmethoxy)phenoxy]acetyl]-

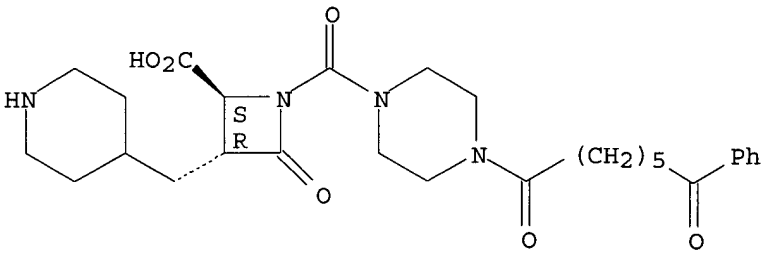
1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



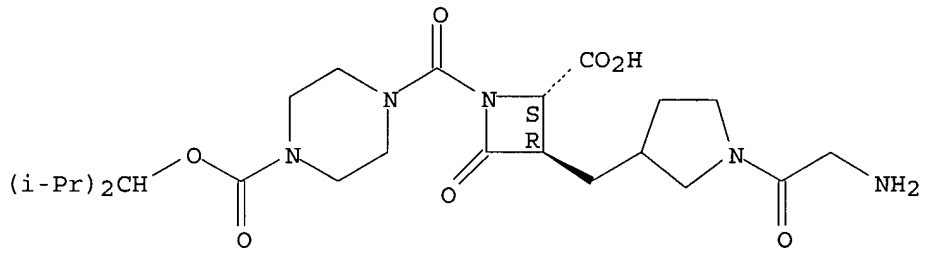
RN 727726-13-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(1,7-dioxo-7-phenylheptyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



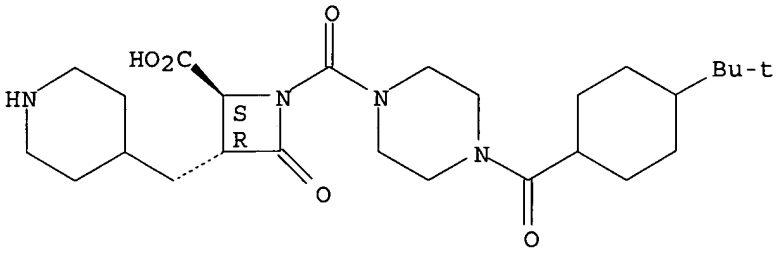
RN 727728-50-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoacetyl)-3-pyrrolidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



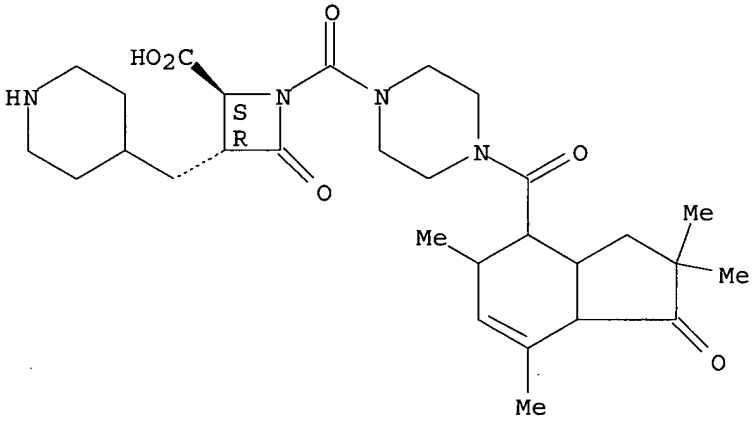
RN 728038-42-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[4-(1,1-dimethylethyl)cyclohexyl]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



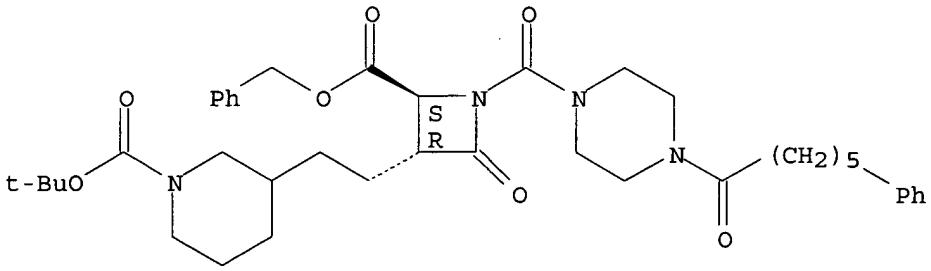
RN 728038-43-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(2,3,3a,4,5,7a-hexahydro-2,2,5,7-tetramethyl-1-oxo-1H-inden-4-yl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **384830-14-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of β-lactam compds. as tryptase inhibitors)
RN 384830-14-2 HCAPLUS
CN 1-Piperidinecarboxylic acid, 3-[2-[(3R,4S)-2-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-4-[(phenylmethoxy)carbonyl]-3-azetidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

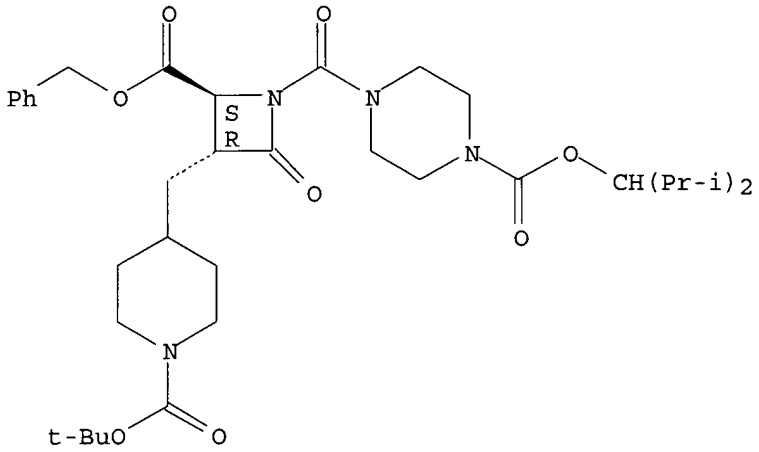


IT **705950-80-7P 727725-74-8P 727725-75-9P**
727725-79-3P 727725-84-0P 727725-86-2P

727725-88-4P 727725-91-9P 727725-95-3P
727725-96-4P 727725-98-6P 727725-99-7P
727726-00-3P 727726-02-5P 727726-03-6P
727726-04-7P 727726-05-8DP, Wang resin bound
727726-06-9DP, Wang resin bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β-lactam compds. as tryptase inhibitors)

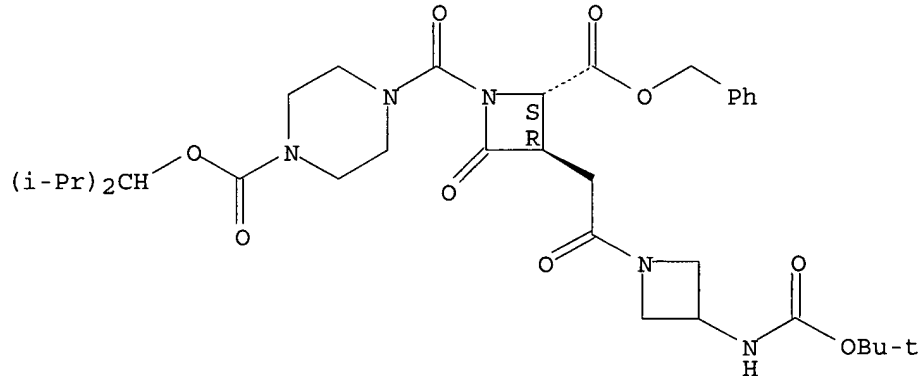
RN 705950-80-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727725-74-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[2-[3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-azetidinyl]-2-oxoethyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

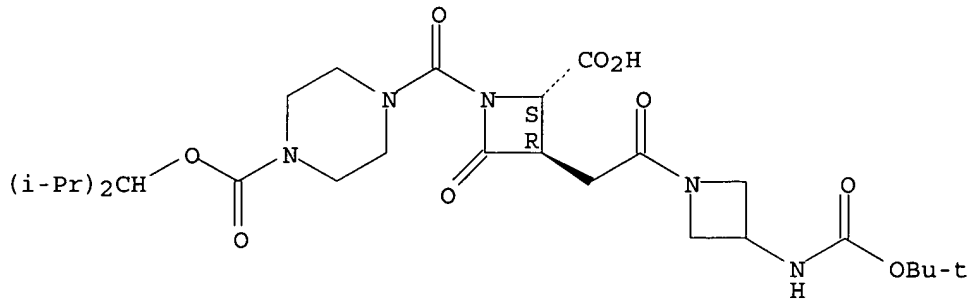
Absolute stereochemistry.



RN 727725-75-9 HCAPLUS

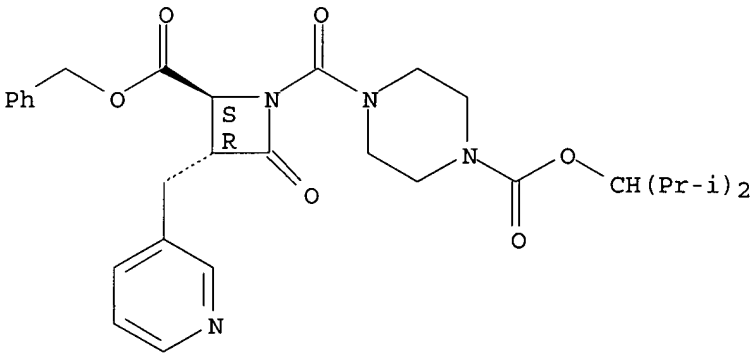
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-3-[2-[3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-azetidinyl]-2-oxoethyl]-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



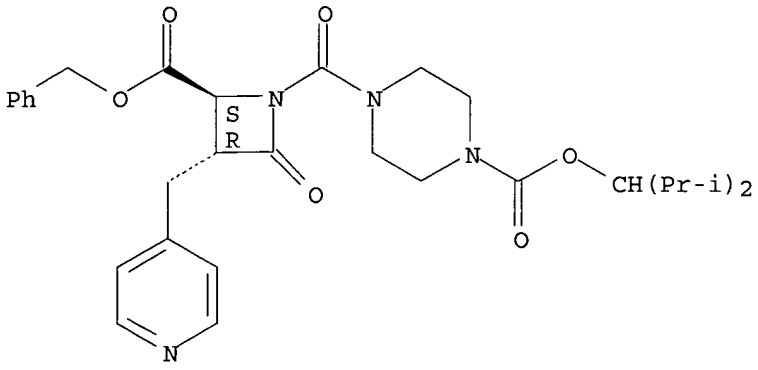
RN 727725-79-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-(3-pyridinylmethyl)-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



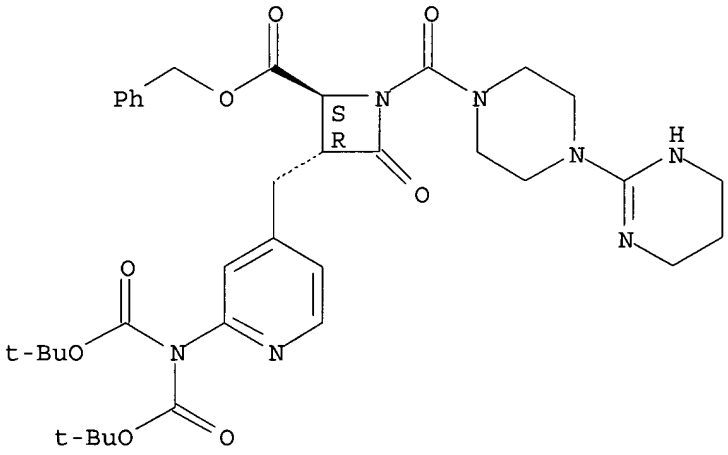
RN 727725-84-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-(4-pyridinylmethyl)-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



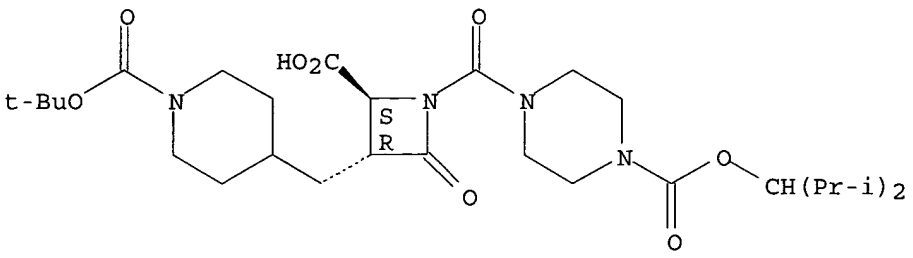
RN 727725-86-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[2-[bis[(1,1-dimethylethoxy)carbonyl]amino]-4-pyridinyl]methyl]-4-oxo-1-[[4-(1,4,5,6-tetrahydro-2-pyrimidinyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



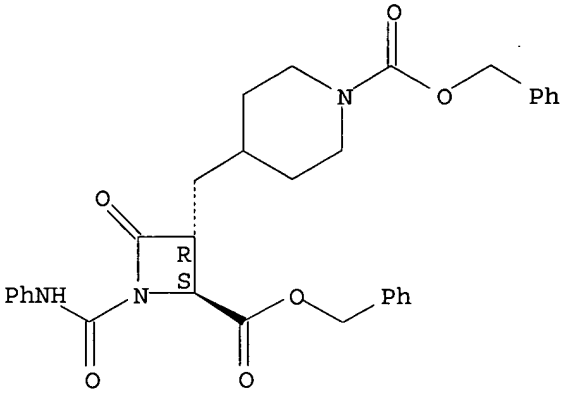
RN 727725-88-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-3-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



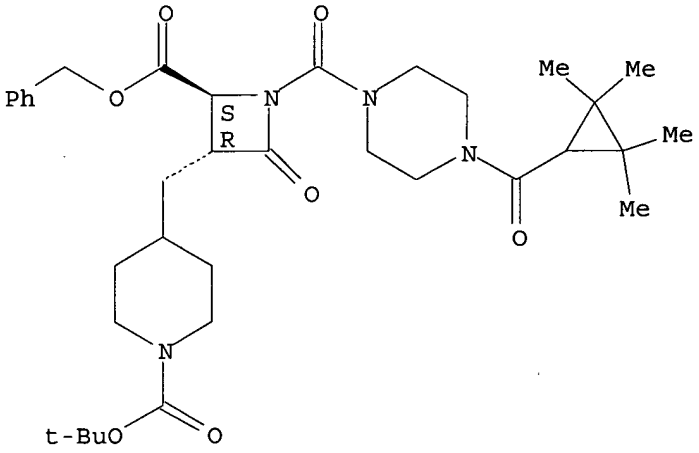
RN 727725-91-9 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3R,4S)-2-oxo-1-[(phenylamino)carbonyl]-4-[(phenylmethoxy)carbonyl]-3-azetidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



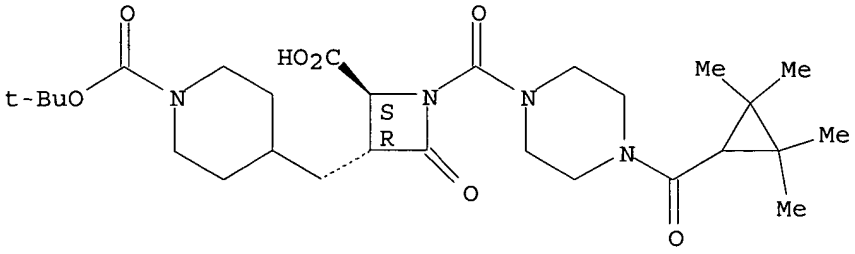
RN 727725-95-3 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-1-[[4-[(2,2,3,3-tetramethylcyclopropyl)carbonyl]-1-piperazinyl]carbonyl]-3-azetidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727725-96-4 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-1-[[4-[(2,2,3,3-tetramethylcyclopropyl)carbonyl]-1-piperazinyl]carbonyl]-3-azetidinyl]methyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

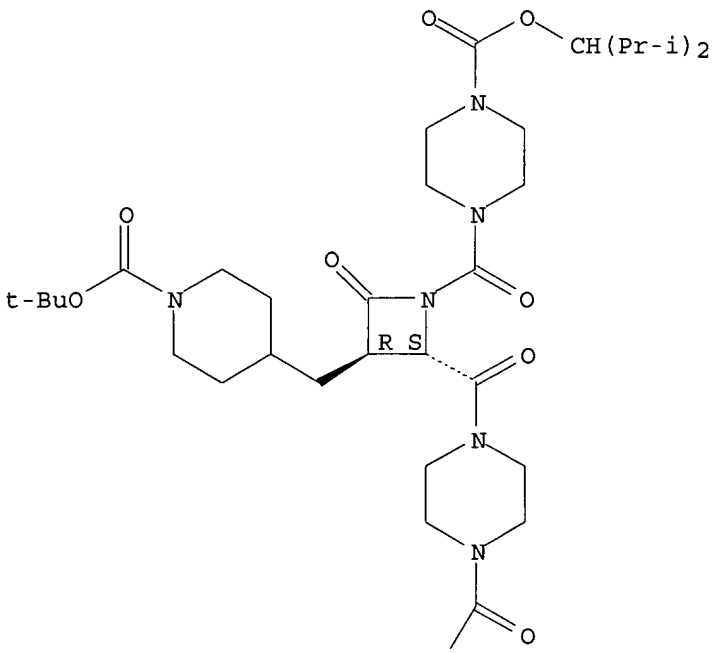
Absolute stereochemistry.



RN 727725-98-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-[[4-(aminocarbonyl)-1-piperazinyl]carbonyl]-3-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-4-oxo-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

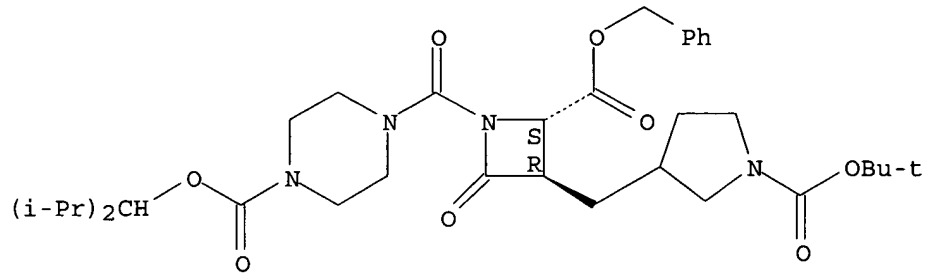
PAGE 1-A



PAGE 2-A

RN 727725-99-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[[1-[(1,1-dimethylethoxy)carbonyl]-3-pyrrolidinyl]methyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

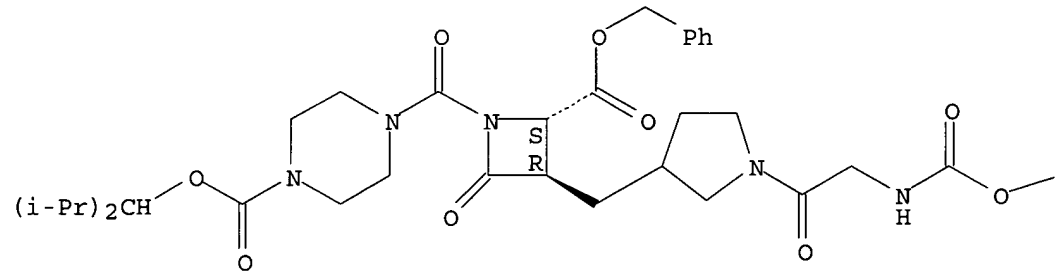
Absolute stereochemistry.



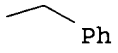
RN 727726-00-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino]acetyl]-3-pyrrolidinyl]methyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

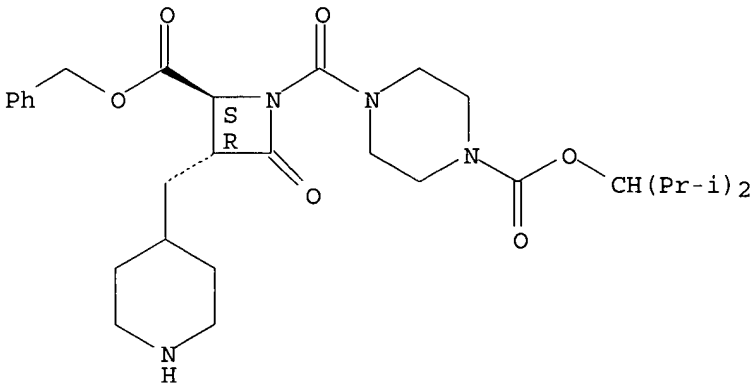


RN 727726-02-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-(4-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

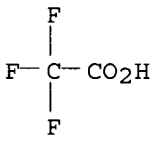
CRN 727726-01-4
CMF C30 H44 N4 O6

Absolute stereochemistry.



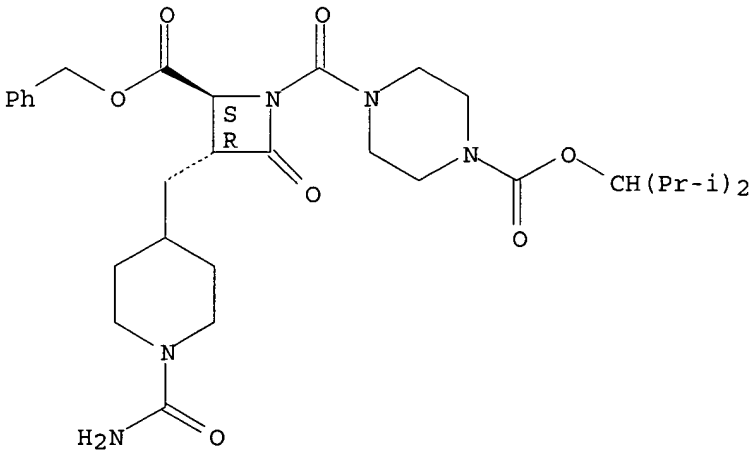
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 727726-03-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[[1-(aminocarbonyl)-4-piperidinyl]methyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

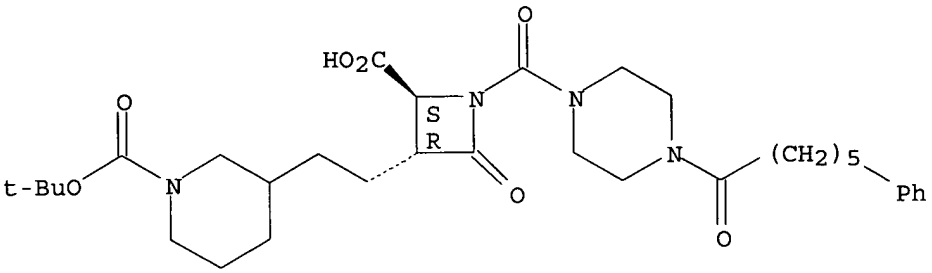
Absolute stereochemistry.



RN 727726-04-7 HCAPLUS
CN 1-Piperidinecarboxylic acid, 3-[2-[(2S,3R)-2-carboxy-4-oxo-1-[[4-(1-oxo-6-

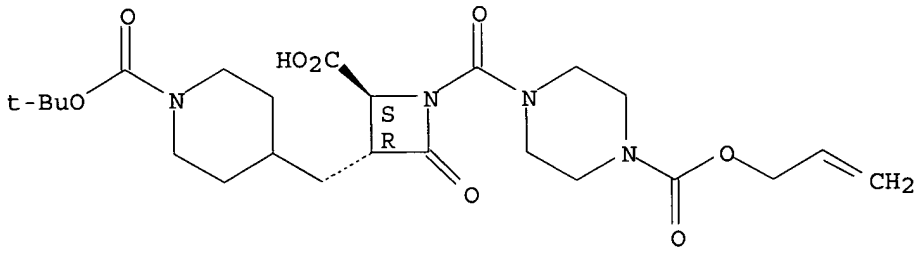
phenylhexyl)-1-piperazinyl]carbonyl]-3-azetidinyl]ethyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



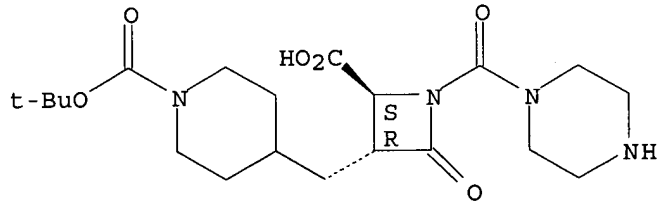
RN 727726-05-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-3-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-4-oxo-1-azetidinyl]carbonyl]-, 1-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727726-06-9 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-1-(1-piperazinylcarbonyl)-3-azetidinyl]methyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 4 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:431764 HCAPLUS
DOCUMENT NUMBER: 141:140210
TITLE: Development of a New Dimeric Cyclophane Ligand: Application to Enhanced Diastereo- and Enantioselectivity in the Catalytic Synthesis of β-Lactams

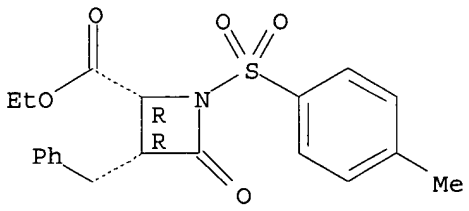
AUTHOR(S): Wack, Harald; France, Stefan; Hafez, Ahmed M.; Drury, William J., III; Weatherwax, Anthony; Lectka, Thomas
CORPORATE SOURCE: Department of Chemistry, Johns Hopkins University, Baltimore, MD, 21218, USA
SOURCE: Journal of Organic Chemistry (2004), 69(13), 4531-4533
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:140210

AB We detail the synthesis of a new C2-sym. bis(cyclophane) ligand system that can be thought of as electronically analogous to binol, but which possesses the added "third dimension" of cyclophane chirality. The ligand synthesis involves a spontaneous (but unexpected) atropisomerization to the desired product. We have employed this ligand to form a metal complex that is an effective cocatalyst for the highly enantio- and diastereoselective catalytic asym. synthesis of a β-lactam.

IT **404589-81-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of dimeric cyclophane ligands as cocatalysts for the asym. synthesis of β-lactams)

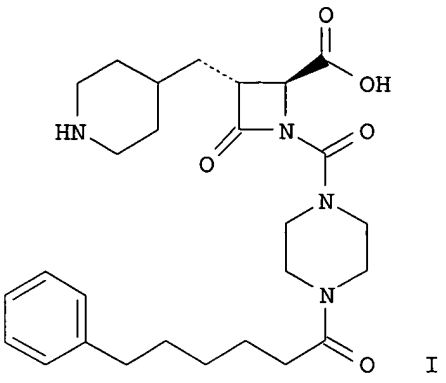
RN 404589-81-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-methylphenyl)sulfonyl]-4-oxo-3-(phenylmethyl)-, ethyl ester, (2R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:303297 HCAPLUS
DOCUMENT NUMBER: 141:54096
TITLE: Solid-phase synthesis and SAR of 4-carboxy-2-azetidinone mechanism-based tryptase inhibitors
AUTHOR(S): Sutton, James C.; Bolton, Scott A.; Davis, Malcolm E.; Hartl, Karen S.; Jacobson, Bruce; Mathur, Arvind; Ogletree, Martin L.; Slusarchyk, William A.; Zahler, Robert; Seiler, Steven M.; Bisacchi, Gregory S.
CORPORATE SOURCE: The Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2233-2239
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:54096
GI



I

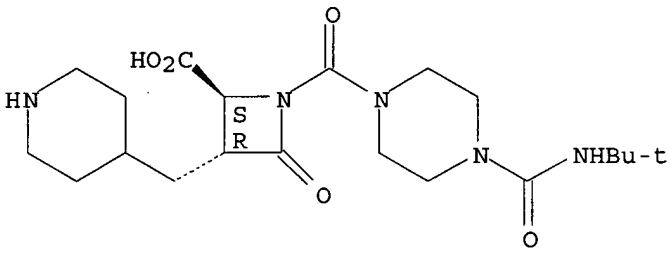
AB A series of non-guanidine N1-activated C4-carboxy azetidinone tryptase inhibitors, e.g. I, was prepared by solid-phase methodol. to quickly assess the SAR associated with distal functionality on the N1-activating group. From these studies, potent inhibitors with improved specificity were discovered.

IT **705950-83-0**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(solid-phase synthesis and SAR of 4-carboxy-2-azetidinone mechanism-based tryptase inhibitors)

RN 705950-83-0 HCAPLUS

CN 2-Azetidinecarboxylic acid, 1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



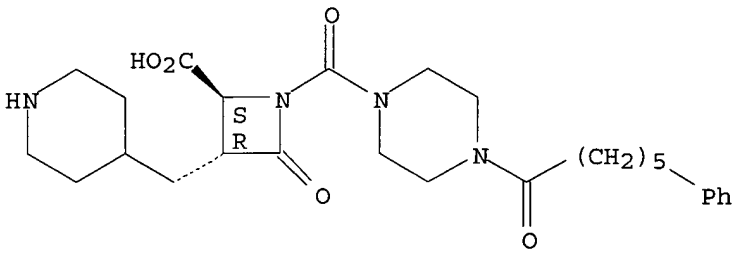
IT **705950-97-6P 705962-16-9P 705962-17-0P**
705962-18-1P 705962-19-2P 705962-20-5P
705962-21-6P 705962-22-7P 705962-23-8P
705962-24-9P 705962-25-0P 705962-26-1P
705962-27-2P 705962-28-3P 705962-29-4P
705962-30-7P 705962-31-8P 705962-32-9P
705962-33-0P 705962-34-1P 705962-35-2P
705962-36-3P 705962-37-4P 705962-38-5P
705962-39-6P 705962-40-9P 705962-41-0P
705962-42-1P 705962-43-2P 705962-44-3P
705962-45-4P 705962-46-5P 705962-47-6P
705962-48-7P 705962-49-8P 705962-50-1P
705962-51-2P 705962-52-3P 705962-53-4P
705962-54-5P 705962-55-6P 705962-56-7P
RL: SPN (Synthetic preparation); PREP (Preparation)

(solid-phase synthesis and SAR of 4-carboxy-2-azetidinone mechanism-based tryptase inhibitors)

RN 705950-97-6 HCAPLUS

CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

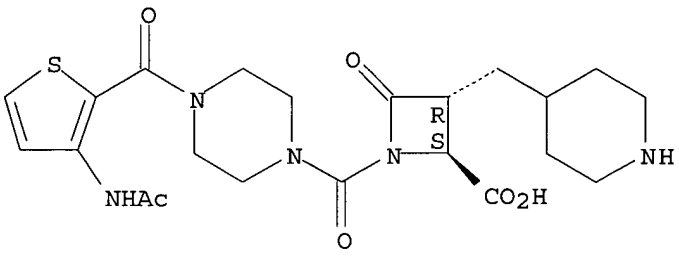
Absolute stereochemistry.



RN 705962-16-9 HCAPLUS

CN 2-Azetidinecarboxylic acid, 1-[[4-[[3-(acetylamino)-2-thienyl]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

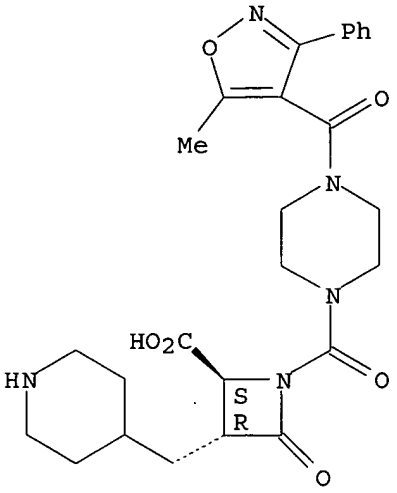
Absolute stereochemistry.



RN 705962-17-0 HCAPLUS

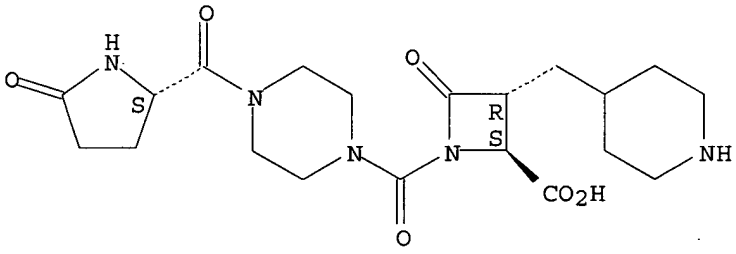
CN 2-Azetidinecarboxylic acid, 1-[[4-[(5-methyl-3-phenyl-4-isoxazolyl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



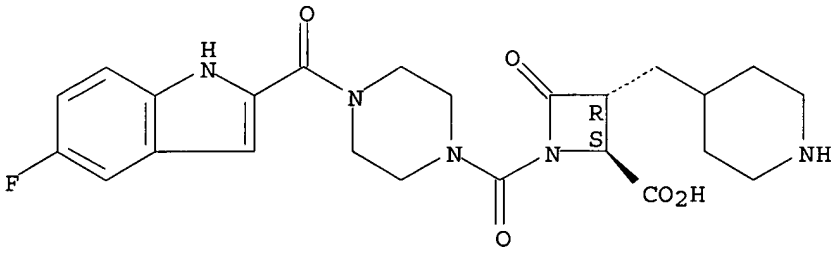
RN 705962-18-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[(2S)-5-oxo-2-pyrrolidinyl]carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 705962-19-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(5-fluoro-1H-indol-2-yl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

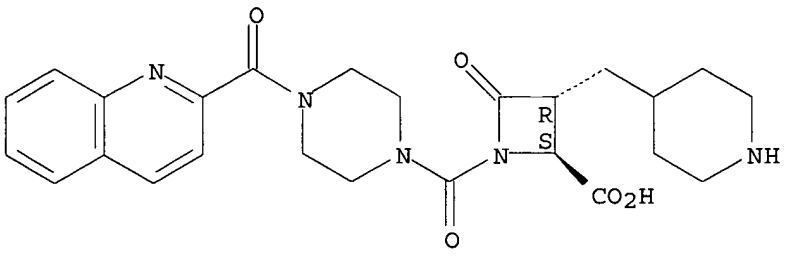
Absolute stereochemistry.



RN 705962-20-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(2-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX

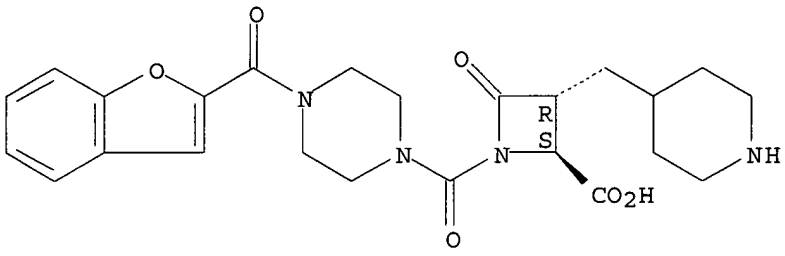
NAME)

Absolute stereochemistry.



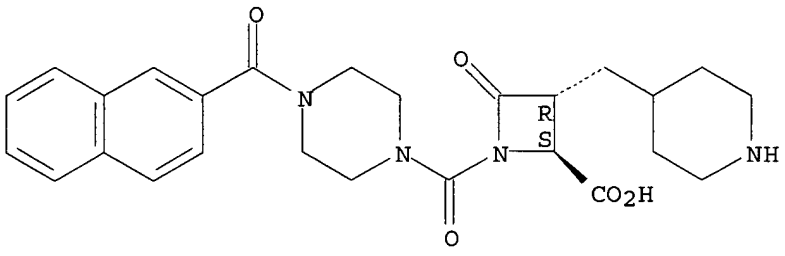
RN 705962-21-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(2-benzofuranylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



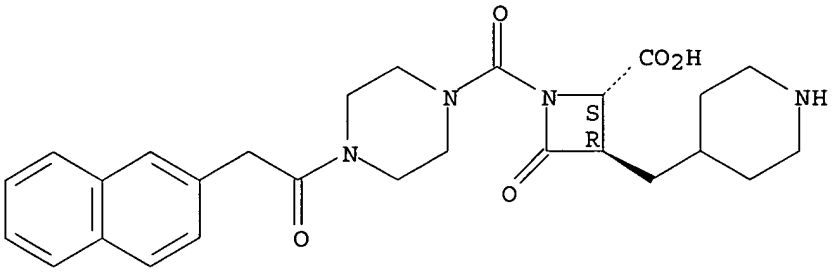
RN 705962-22-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(2-naphthalenylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



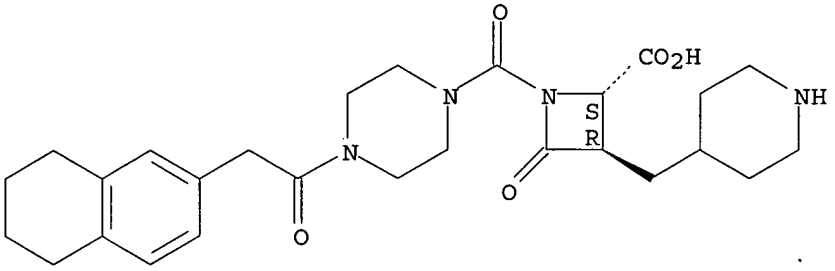
RN 705962-23-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(2-naphthalenylacetyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



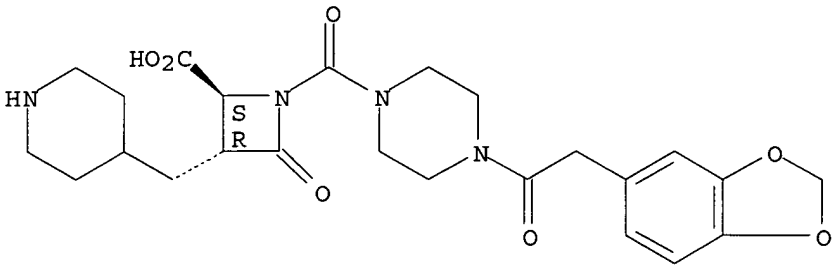
RN 705962-24-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-[(5,6,7,8-tetrahydro-2-naphthalenyl)acetyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



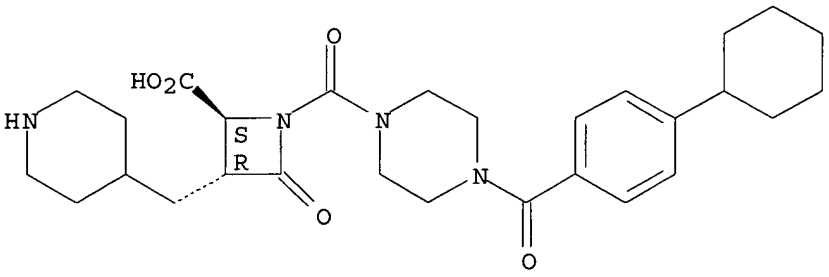
RN 705962-25-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(1,3-benzodioxol-5-ylacetyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



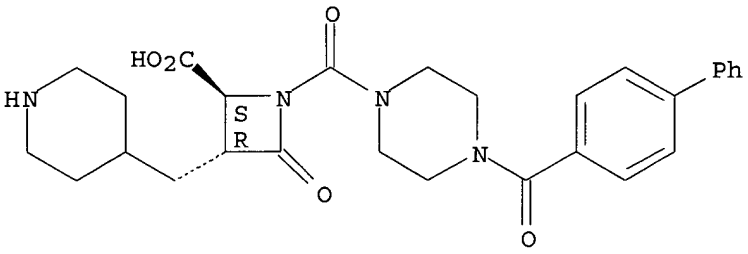
RN 705962-26-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(4-cyclohexylbenzoyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



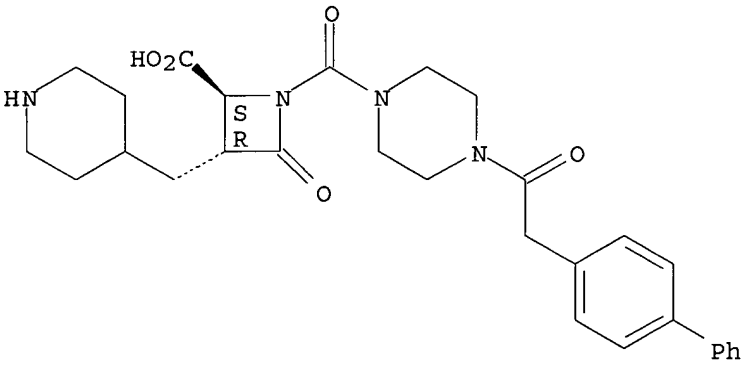
RN 705962-27-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-([1,1'-biphenyl]-4-ylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



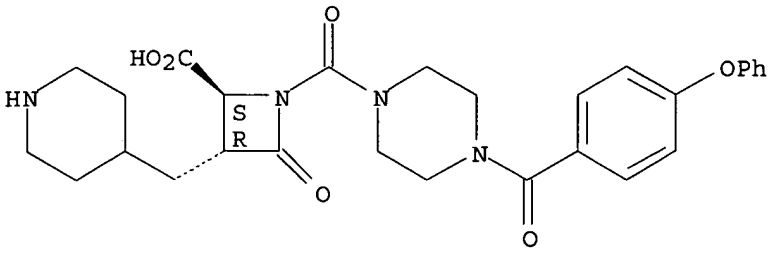
RN 705962-28-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-([1,1'-biphenyl]-4-ylacetyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



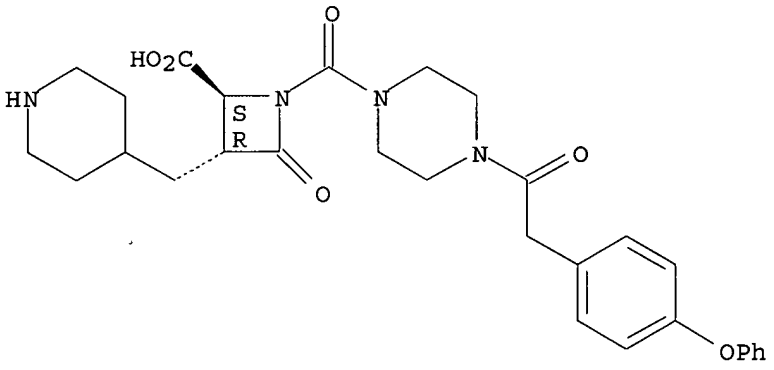
RN 705962-29-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(4-phenoxybenzoyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



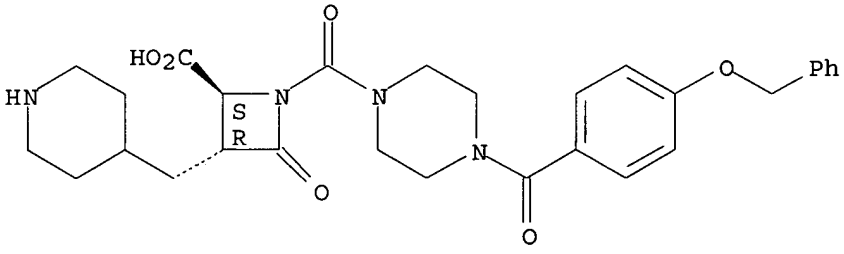
RN 705962-30-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(4-phenoxyphenyl)acetyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



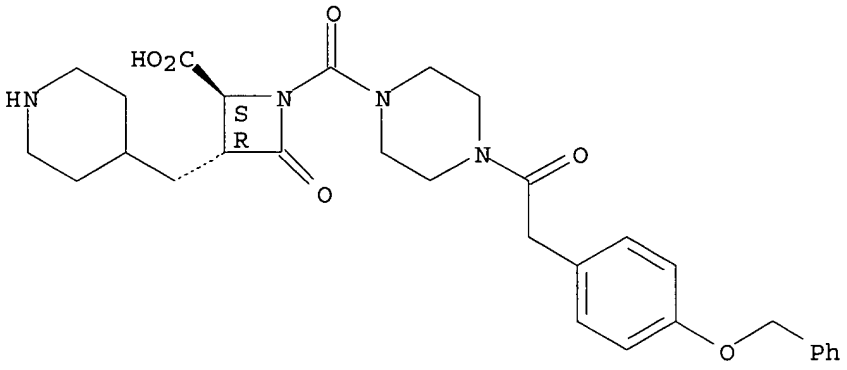
RN 705962-31-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[4-(phenylmethoxy)benzoyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



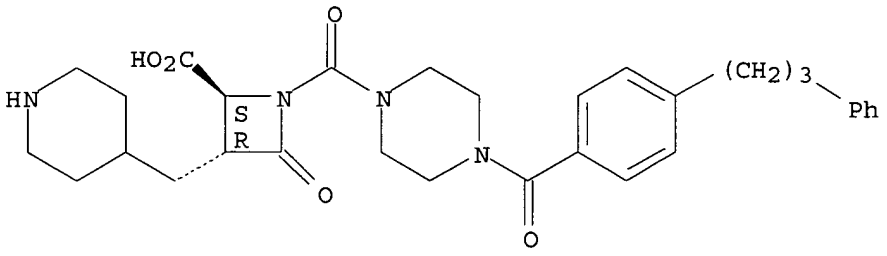
RN 705962-32-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[4-(phenylmethoxy)phenyl]acetyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



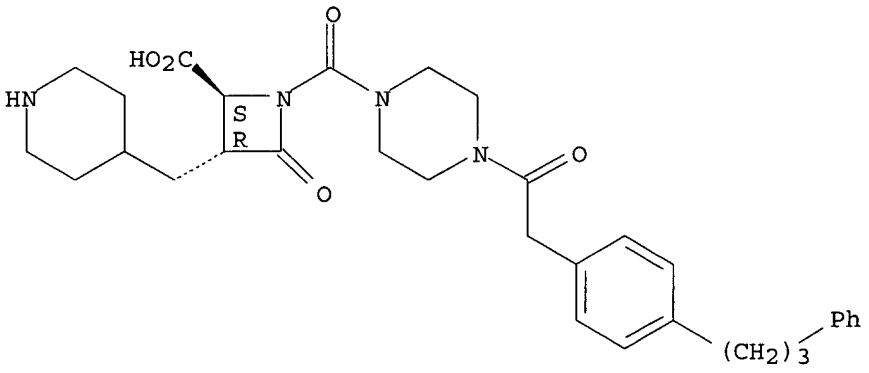
RN 705962-33-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[4-(3-phenylpropyl)benzoyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



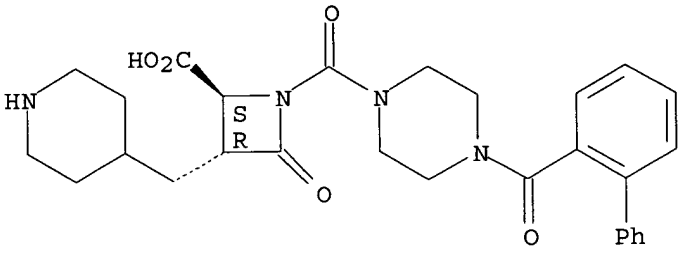
RN 705962-34-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[4-(3-phenylpropyl)phenyl]acetyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



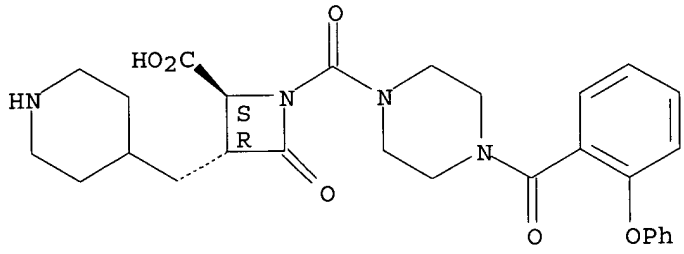
RN 705962-35-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-([1,1'-biphenyl]-2-ylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



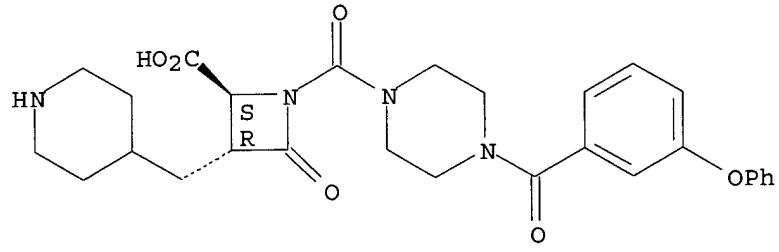
RN 705962-36-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(2-phenoxybenzoyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



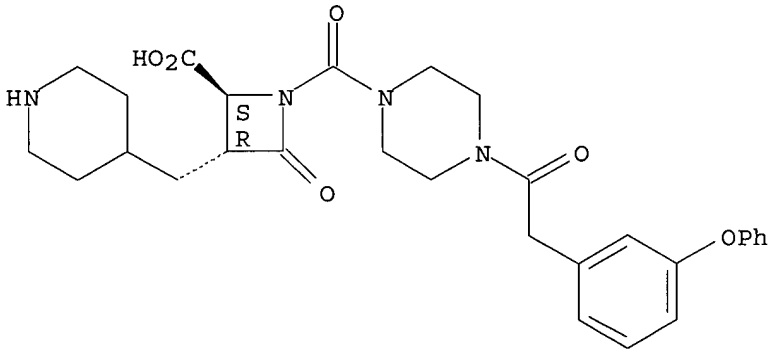
RN 705962-37-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(3-phenoxybenzoyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



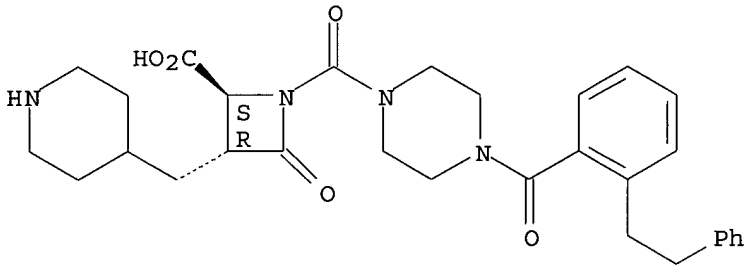
RN 705962-38-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(3-phenoxyphenyl)acetyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



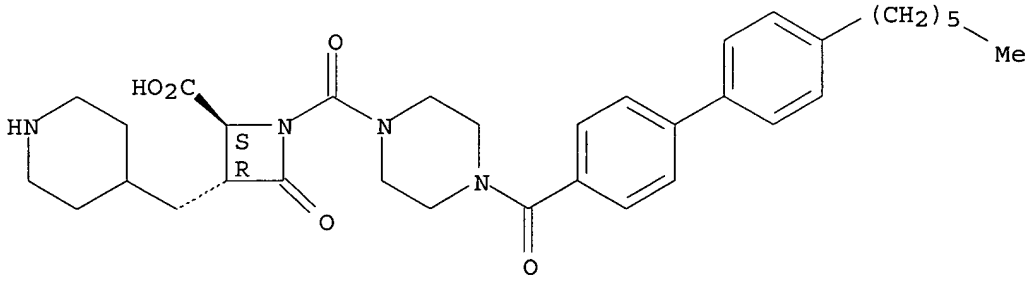
RN 705962-39-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[2-(2-phenylethyl)benzoyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



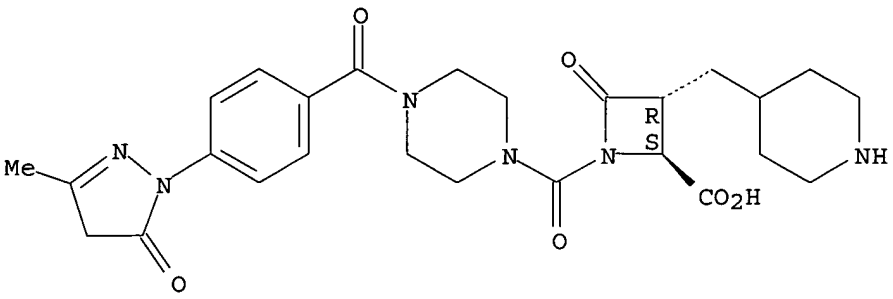
RN 705962-40-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(4'-hexyl[1,1'-biphenyl]-4-yl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



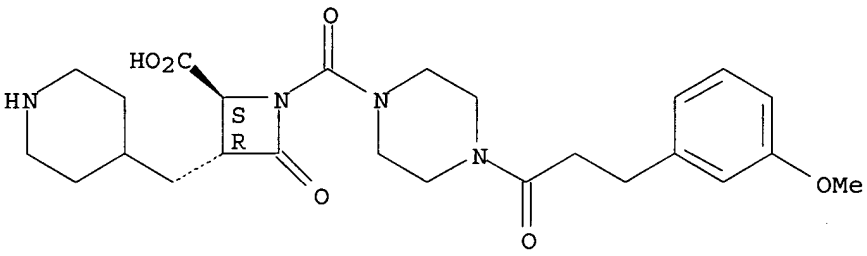
RN 705962-41-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[4-(4,5-dihydro-3-methyl-5-oxo-1H-pyrazol-1-yl)benzoyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



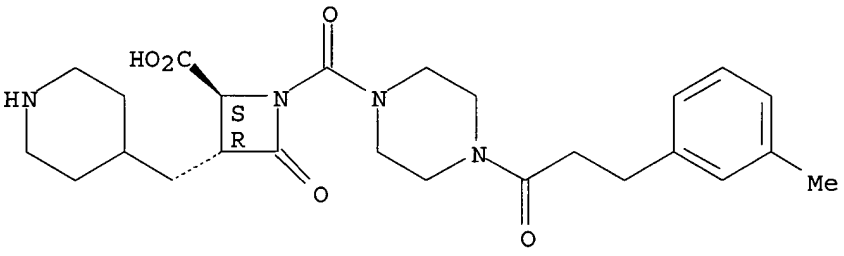
RN 705962-42-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[3-(3-methoxyphenyl)-1-oxopropyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



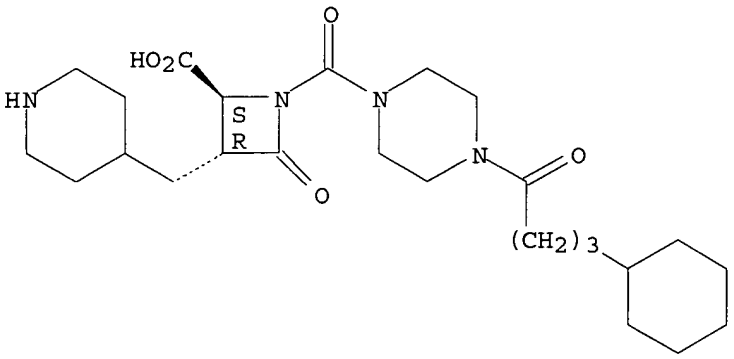
RN 705962-43-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[3-(3-methylphenyl)-1-oxopropyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



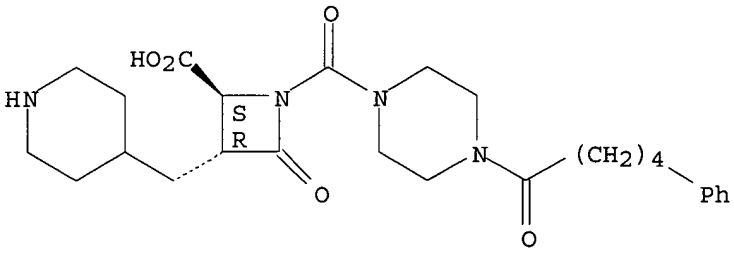
RN 705962-44-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(4-cyclohexyl-1-oxobutyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



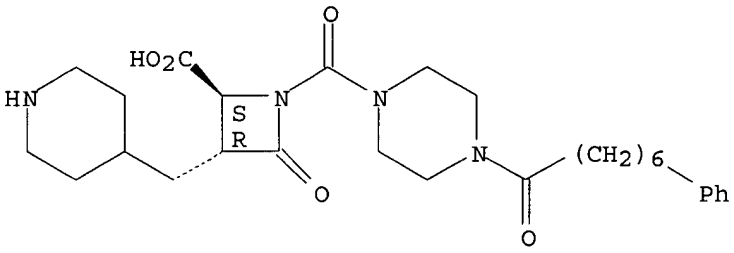
RN 705962-45-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-5-phenylpentyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



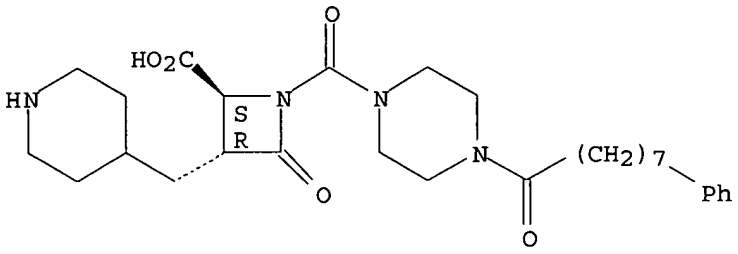
RN 705962-46-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-7-phenylheptyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



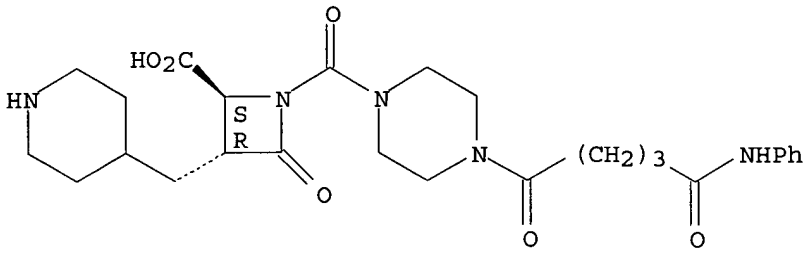
RN 705962-47-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-8-phenyloctyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



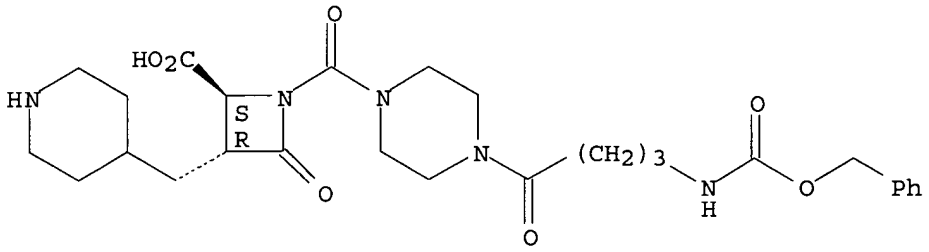
RN 705962-48-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[1,5-dioxo-5-(phenylamino)pentyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



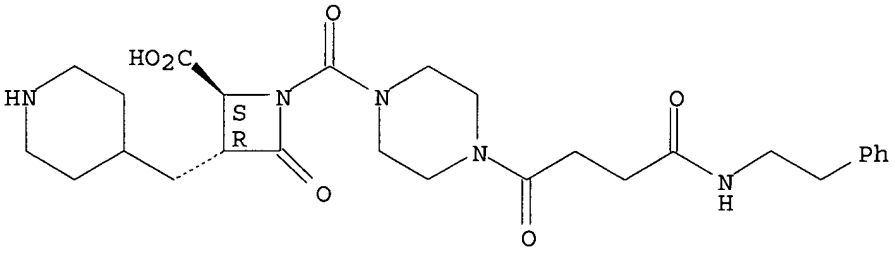
RN 705962-49-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[1-oxo-4-[[(phenylmethoxy)carbonyl]amino]butyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



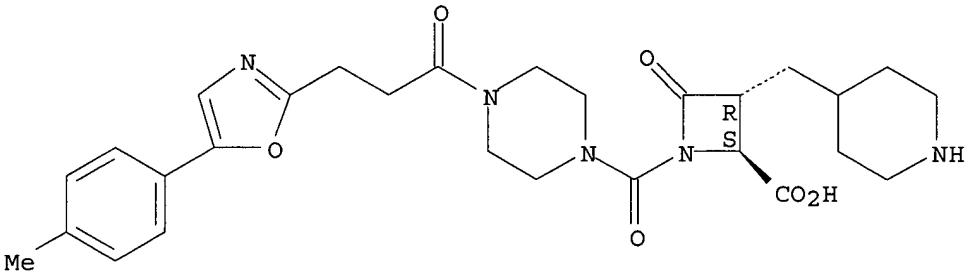
RN 705962-50-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[1,4-dioxo-4-[(2-phenylethyl)amino]butyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



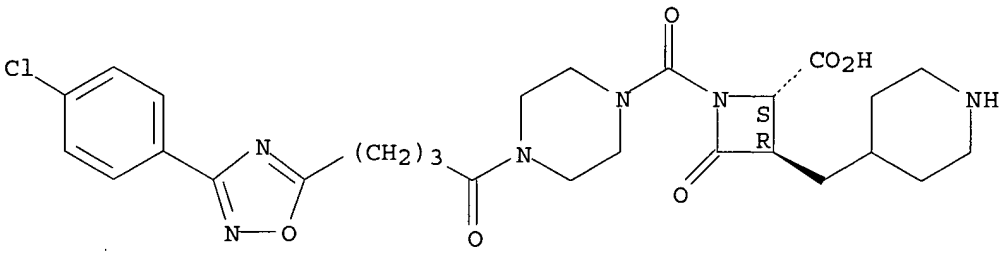
RN 705962-51-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[3-[5-(4-methylphenyl)-2-oxazolyl]-1-oxopropyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



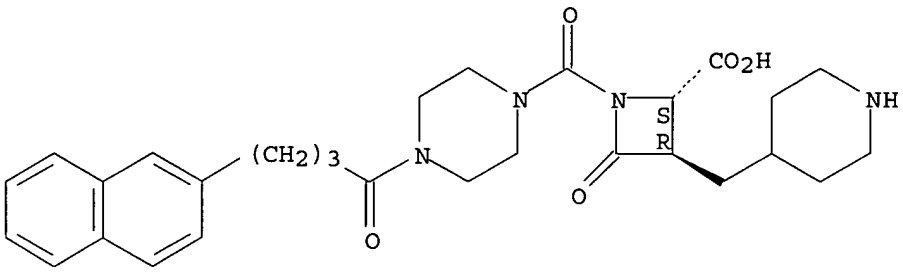
RN 705962-52-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[4-[3-(4-chlorophenyl)-1,2,4-oxadiazol-5-yl]-1-oxobutyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



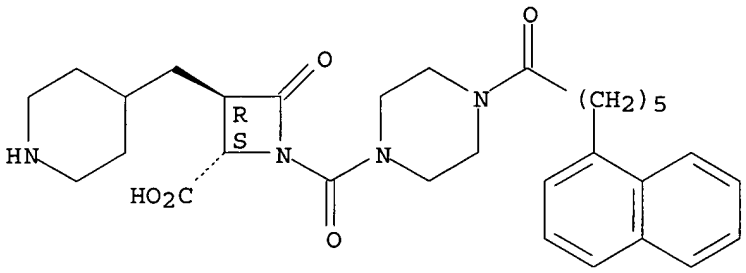
RN 705962-53-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[4-(2-naphthalenyl)-1-oxobutyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



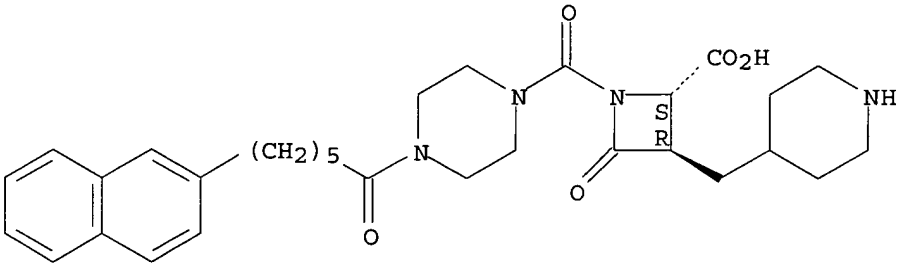
RN 705962-54-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[6-(1-naphthalenyl)-1-oxohexyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



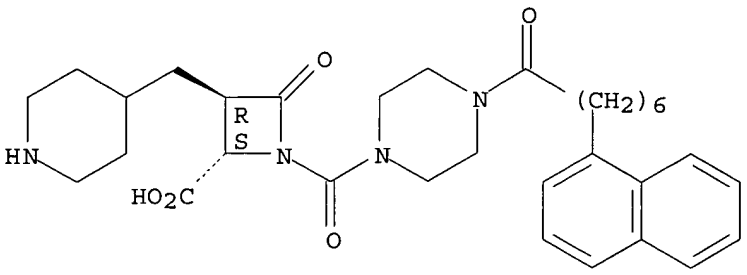
RN 705962-55-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[6-(2-naphthalenyl)-1-oxohexyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



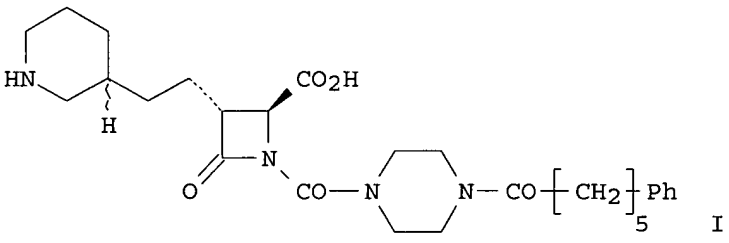
RN 705962-56-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[7-(1-naphthalenyl)-1-oxoheptyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:303296 HCAPLUS
DOCUMENT NUMBER: 141:54095
TITLE: Synthesis of potent and highly selective nonguanidine azetidinone inhibitors of human tryptase
AUTHOR(S): Bisacchi, Gregory S.; Slusarchyk, William A.; Bolton, Scott A.; Hartl, Karen S.; Jacobs, Glenn; Mathur, Arvind; Meng, Wei; Ogletree, Martin L.; Pi, Zulan; Sutton, James C.; Treuner, Uwe; Zahler, Robert; Zhao, Guohua; Seiler, Steven M.
CORPORATE SOURCE: The Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-5400, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2227-2231
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:54095
GI



I

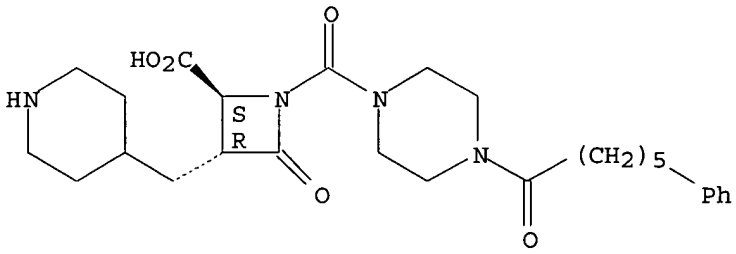
AB Azetidinones such as BMS-363131 and BMS-363130, which contain a guanidine group in the C-3 side chain were previously shown to be very potent inhibitors of human tryptase with high selectivity vs. other serine proteases, including trypsin. In this letter, the discovery of a number of potent azetidinone tryptase inhibitors in which the guanidine moiety at the ring C-3 position is replaced with primary or secondary amine or aminopyridine functionality, is described. In particular, BMS-354326 (I) is a highly potent tryptase inhibitor (IC50=1.8 nM), which has excellent selectivity against trypsin and most other related serine proteases.
IT **705950-97-6**
RL: PAC (Pharmacological activity); BIOL (Biological study)

(human tryptase inhibition of nonguanidine azetidinones)

RN 705950-97-6 HCAPLUS

CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

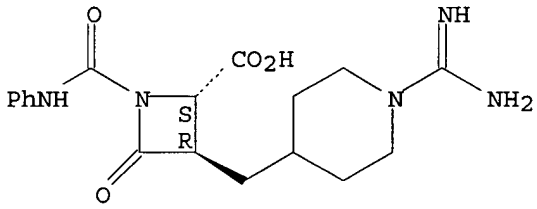


IT **705950-81-8P 705950-82-9P 705950-83-0P**
705950-84-1P 705950-90-9P 705950-91-0P
705950-92-1P 705950-93-2P 705950-94-3P
705950-95-4P 705950-96-5P 708258-16-6P, BMS 354326
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and human tryptase inhibition of nonguanidine azetidinones)

RN 705950-81-8 HCAPLUS

CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-4-piperidinyl]methyl]-4-oxo-1-[(phenylamino)carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

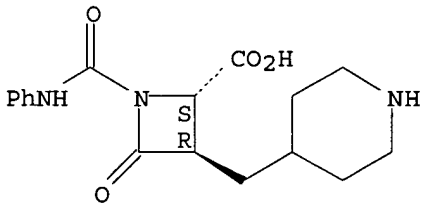
Absolute stereochemistry.



RN 705950-82-9 HCAPLUS

CN 2-Azetidinecarboxylic acid, 4-oxo-1-[(phenylamino)carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

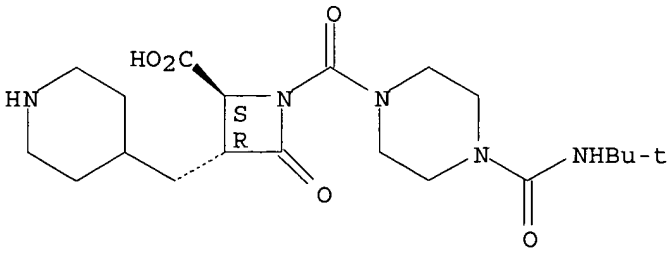


RN 705950-83-0 HCAPLUS

CN 2-Azetidinecarboxylic acid, 1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-

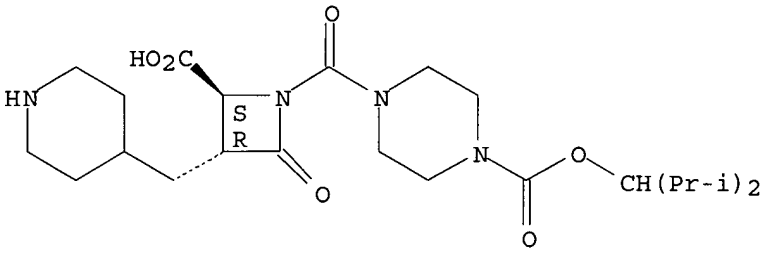
piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



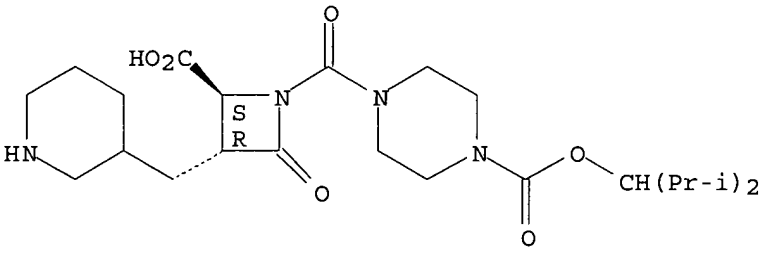
RN 705950-84-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-3-(4-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



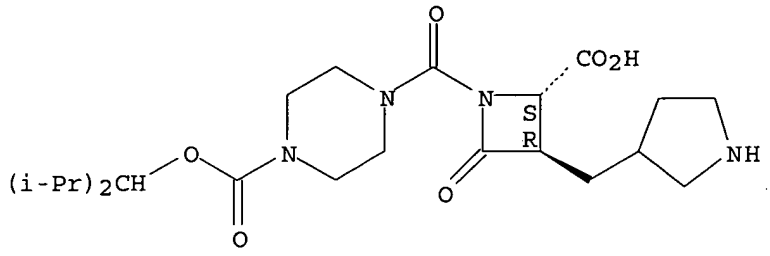
RN 705950-90-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-3-(3-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



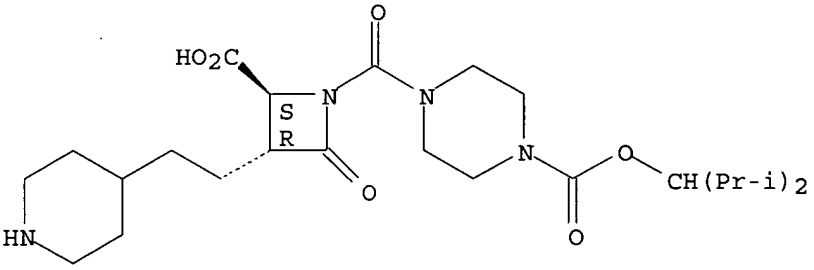
RN 705950-91-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-3-(3-pyrrolidinylmethyl)-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



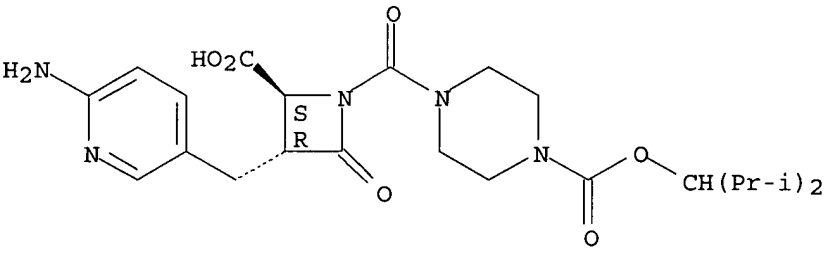
RN 705950-92-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-carboxy-4-oxo-3-[2-(4-piperidinyl)ethyl]-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



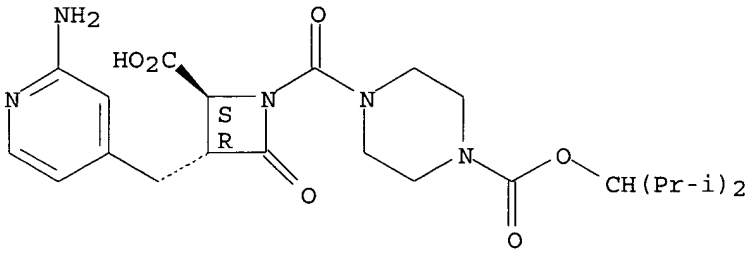
RN 705950-93-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[(6-amino-3-pyridinyl)methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



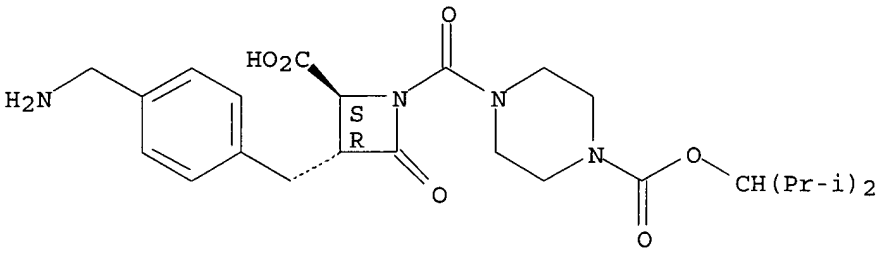
RN 705950-94-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[(2-amino-4-pyridinyl)methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



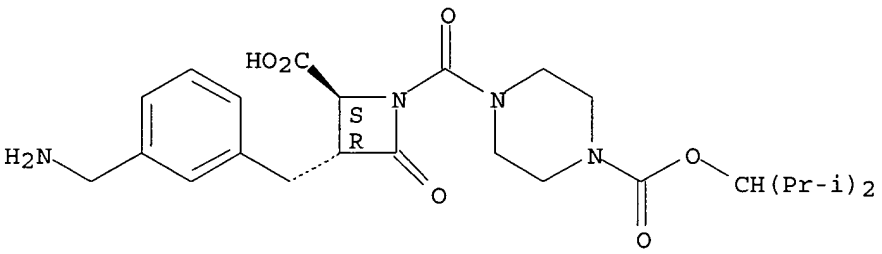
RN 705950-95-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[4-(aminomethyl)phenyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



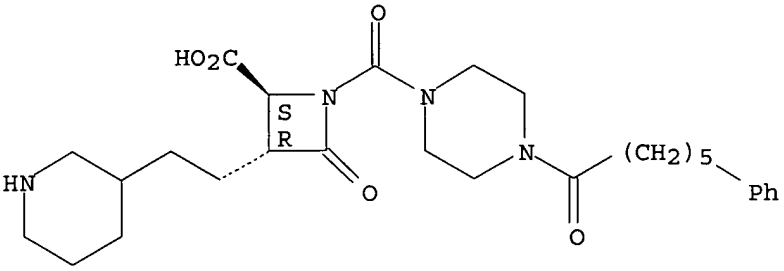
RN 705950-96-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[3-(aminomethyl)phenyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



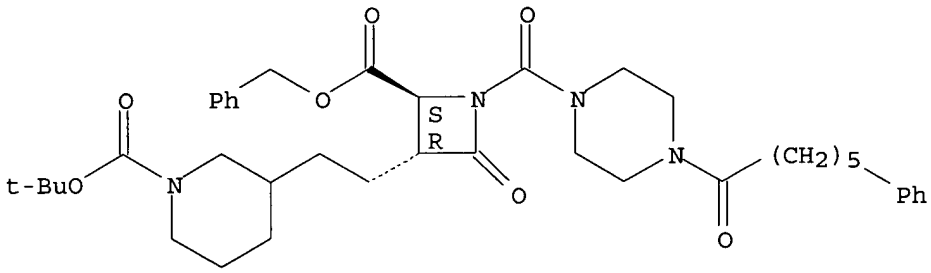
RN 708258-16-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-[2-(3-piperidinyl)ethyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



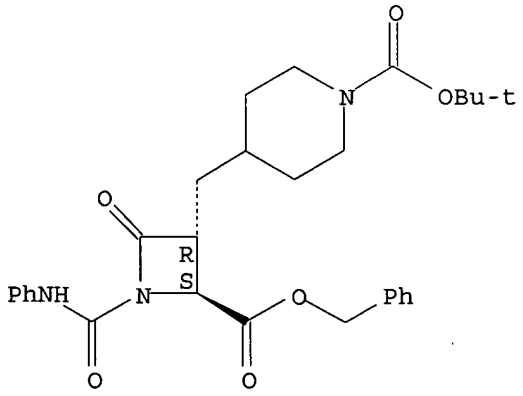
IT **384830-14-2P 705950-78-3P 705950-79-4P 705950-80-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and human tryptase inhibition of nonguanidine azetidinones)
RN 384830-14-2 HCAPLUS
CN 1-Piperidinecarboxylic acid, 3-[2-[(3R,4S)-2-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-4-[(phenylmethoxy)carbonyl]-3-azetidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



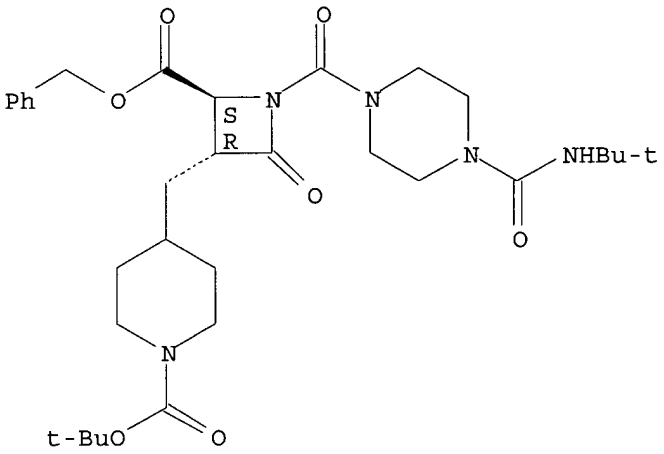
RN 705950-78-3 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3R,4S)-2-oxo-1-[(phenylamino)carbonyl]-4-[(phenylmethoxy)carbonyl]-3-azetidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



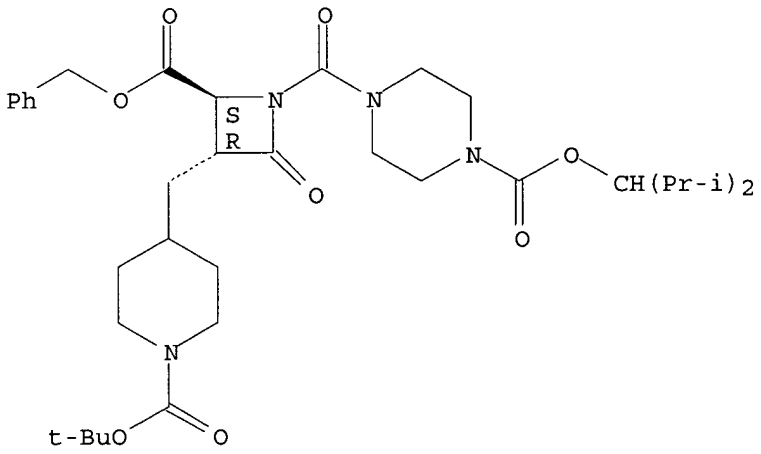
RN 705950-79-4 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3R,4S)-1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-3-azetidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 705950-80-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

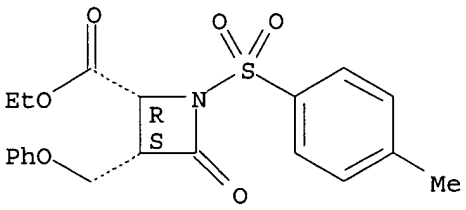


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:821658 HCAPLUS
DOCUMENT NUMBER: 140:27681
TITLE: Bicarbonate salts as cost-effective bases for the

synthesis of ketenes and their synthetic equivalents applied to the asymmetric synthesis of β-lactams
AUTHOR(S): Shah, Meha H.; France, Stefan; Lectka, Thomas
CORPORATE SOURCE: Department of Chemistry, Johns Hopkins University, Baltimore, MD, 21218, USA
SOURCE: Synlett (2003), (12), 1937-1939
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:27681
AB Bicarbonate salts were used as viable alternatives to more expensive bases for the in situ generation of ketenes and their synthetic equivalent The method was successfully applied this to the catalytic, asym. synthesis of β-lactams.
IT **433712-95-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(bicarbonate salts as cost-effective bases for synthesis of ketenes and their synthetic equivalent applied to the asym. synthesis of β-lactams)
RN 433712-95-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-methylphenyl)sulfonyl]-4-oxo-3-(phenoxymethyl)-, ethyl ester, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:87892 HCAPLUS
DOCUMENT NUMBER: 139:207200
TITLE: Prostate-specific antigen (PSA)-mediated proliferation, androgenic regulation and inhibitory effects of LY312340 in HOS-TE85 (TE85) human osteosarcoma cells
AUTHOR(S): Gygi, Christian M.; Leibovitch, Ilan Y.; Adlington, Robert; Baldwin, Jack E.; Chen, Beining; McCoull, William; Pritchard, Gareth J.; Becker, Gerald W.; Dixon, Eric P.; Little, Sheila P.; Sutkowski, Debra M.; Teater, Carroll; Neubauer, Blake Lee
CORPORATE SOURCE: Lilly Research Laboratories, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA
SOURCE: Anticancer Research (2002), 22(5), 2725-2732
CODEN: ANTRD4; ISSN: 0250-7005
PUBLISHER: International Institute of Anticancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English
AB PSA mediates growth factor responses that stimulate proliferation of

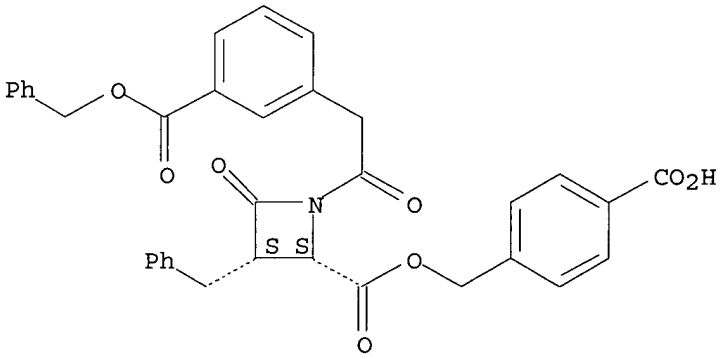
prostatic and other cellular types. Androgen-sensitive TE85 human osteosarcoma cells were used to study PSA as a potential mediator of prostatic cancer growth and osseous metastasis. TE85 cells were probed for PSA mRNA and protein levels under testosterone (T)-replete and -depleted conditions. TE85 proliferative responses to PSA were evaluated in the absence and presence of LY312340, a monocyclic β-lactam inhibitor of PSA enzymic activity. A 3.1 fold increase in PSA mRNA was observed following T stimulation. Low levels of immunoreactive PSA (iPSA) were detected in media of androgen-stimulated TE85 cells while iPSA was not found in control media. Conversely, iPSA was detected in TE85 cell pellets from control but not in androgen-stimulated cell cultures. Exogenously added enzymically active PSA stimulated TE85 proliferation in a bi phasic manner. LY3123.40 inhibited PSA-induced increases in TE85 cell nos. but had no effect on basal or T-stimulated cellular proliferation. While the PSA levels produced by TE-85 cells in response to androgen stimulation are too low to be biol. active, PSA produced by metastatic PCa cells may mediate paracrine stimulation of osteogenic PCa metastasis. Inhibitors of PSA enzymic activity could be useful therapeutic agents.

IT **342623-63-6**, LY 312340
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prostate-specific antigen -mediated proliferation, androgenic regulation and inhibitory effects of LY312340 in HOS-TE85 human osteosarcoma)

RN 342623-63-6 HCAPLUS

CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-3-(phenylmethyl)-, (4-carboxyphenyl)methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

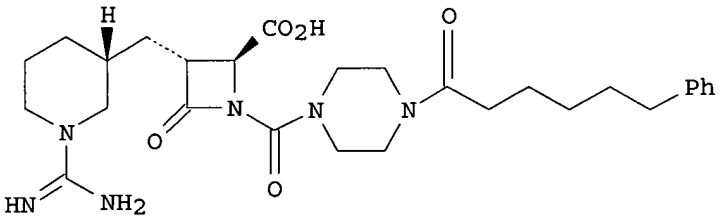
Relative stereochemistry.



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:767283 HCAPLUS
DOCUMENT NUMBER: 138:55769
TITLE: Synthesis of potent and highly selective inhibitors of human tryptase
AUTHOR(S): Slusarchyk, William A.; Bolton, Scott A.; Hartl, Karen S.; Huang, Ming-Hsing; Jacobs, Glenn; Meng, Wei; Ogletree, Martin L.; Pi, Zulan; Schumacher, William A.; Seiler, Steven M.; Sutton, James C.; Treuner, Uwe;

Zahler, Robert; Zhao, Guohua; Bisacchi, Gregory S.
CORPORATE SOURCE: The Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(21), 3235-3238
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:55769
GI



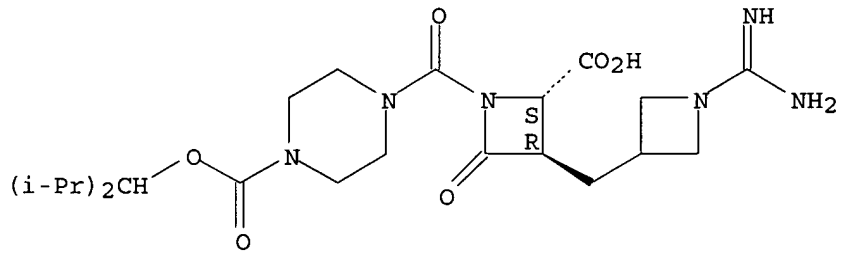
I

AB The serine protease tryptase is implicated in allergic and inflammatory diseases and associated with asthma. The synthesis and SAR of a series of N1-activated-4-carboxy azetidinones are described, resulting in identification of BMS-363131 (I) as a potent inhibitor of human tryptase (IC50<1.7 nM) with high selectivity (>3000-fold) for tryptase vs. related serine proteases including trypsin.

IT **253174-70-8P 253177-54-7P 253177-55-8P**
384829-66-7P, BMS-363130 **384829-77-0P**
384829-80-5P 384829-82-7P 384829-83-8P
479622-24-7P 479622-25-8P 479622-26-9P
479626-09-0P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N1-activated-4-carboxy azetidinones from a chiral unsubstituted azetidinone, and evaluation of their inhibition of human tryptase and a guinea pig asthma model)

RN 253174-70-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-azetidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

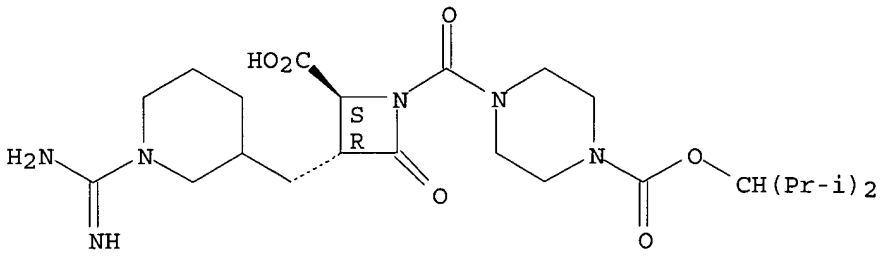
Absolute stereochemistry.



RN 253177-54-7 HCAPLUS

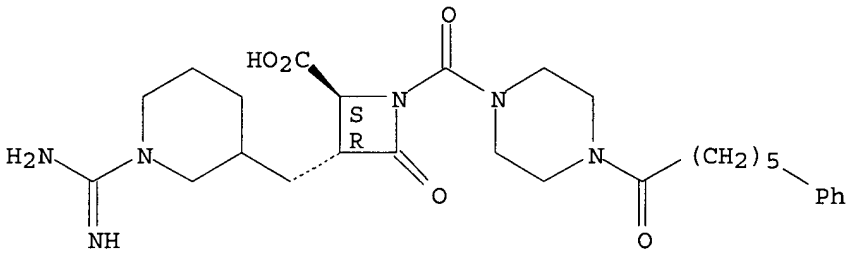
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



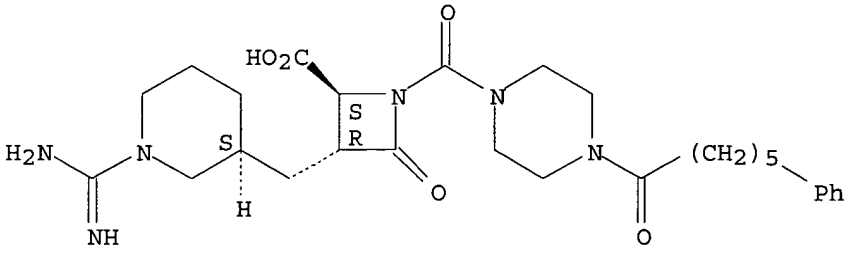
RN 253177-55-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



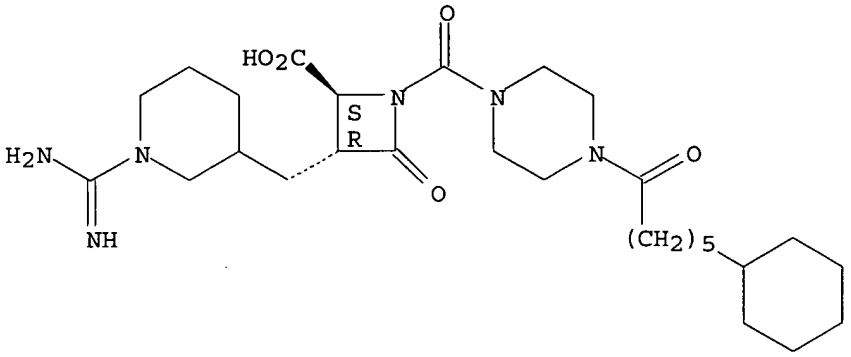
RN 384829-66-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[(3S)-1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



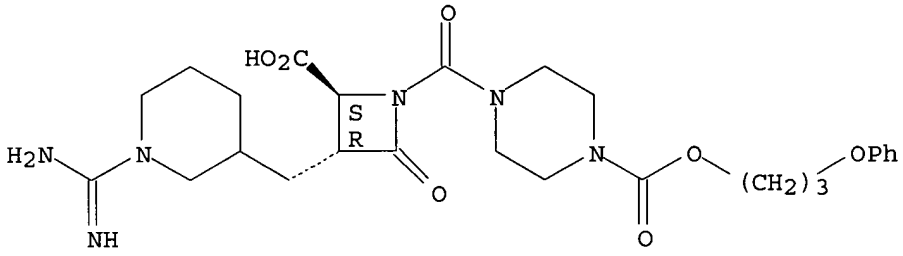
RN 384829-77-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-1-[[4-(6-cyclohexyl-1-oxohexyl)-1-piperazinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



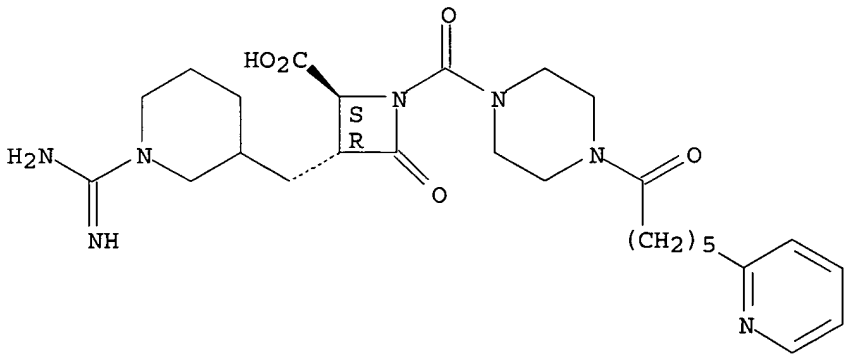
RN 384829-80-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-(3-phenoxypropyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 384829-82-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[1-oxo-6-(2-pyridinyl)hexyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

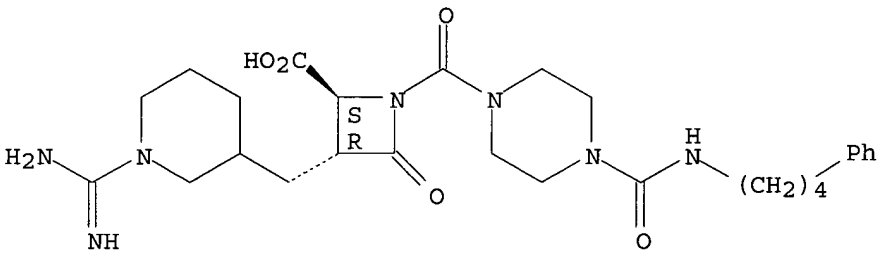
Absolute stereochemistry.



RN 384829-83-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[[(4-phenylbutyl)amino]carbonyl]-1-piperazinyl]carbonyl]-,

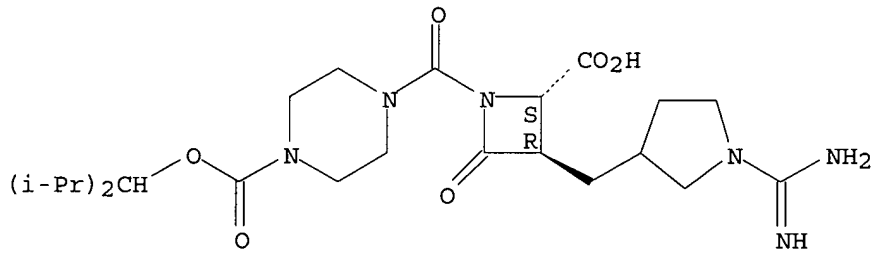
(2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



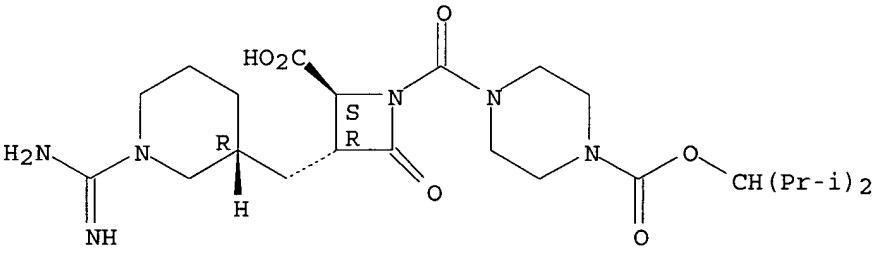
RN 479622-24-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-pyrrolidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



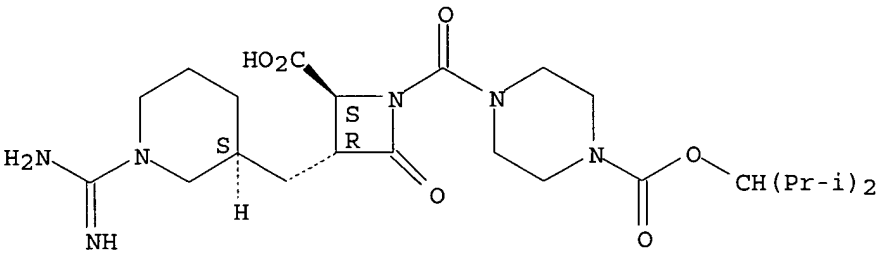
RN 479622-25-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[(3R)-1-(aminoiminomethyl)-3-piperidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



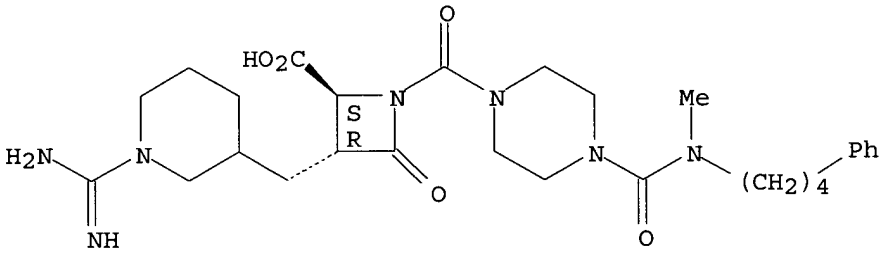
RN 479622-26-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[(3S)-1-(aminoiminomethyl)-3-piperidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



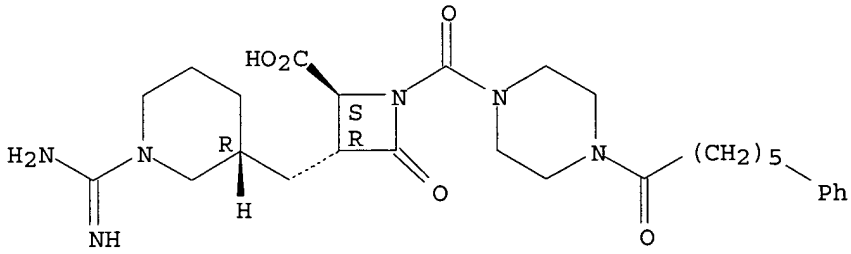
RN 479626-09-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-1-[[4-[[methyl(4-phenylbutyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **384829-65-6P**, BMS-363131
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N1-activated-4-carboxy azetidinones from a chiral unsubstituted azetidinone, and evaluation of their inhibition of human tryptase and a guinea pig asthma model)
RN 384829-65-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[(3R)-1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **253177-10-5P 253177-42-3P 384830-26-6P 384830-31-3P 479622-31-6P 479622-32-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N1-activated-4-carboxy azetidinones from a chiral unsubstituted azetidinone, and evaluation of their inhibition of human

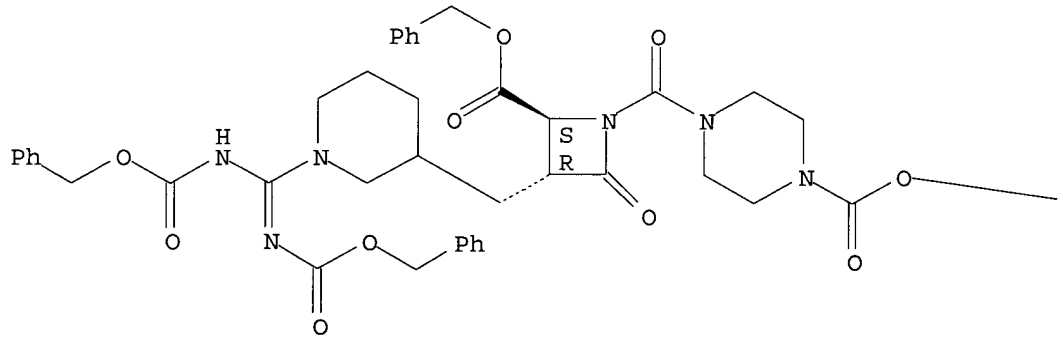
tryptase and a guinea pig asthma model)

RN 253177-10-5 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



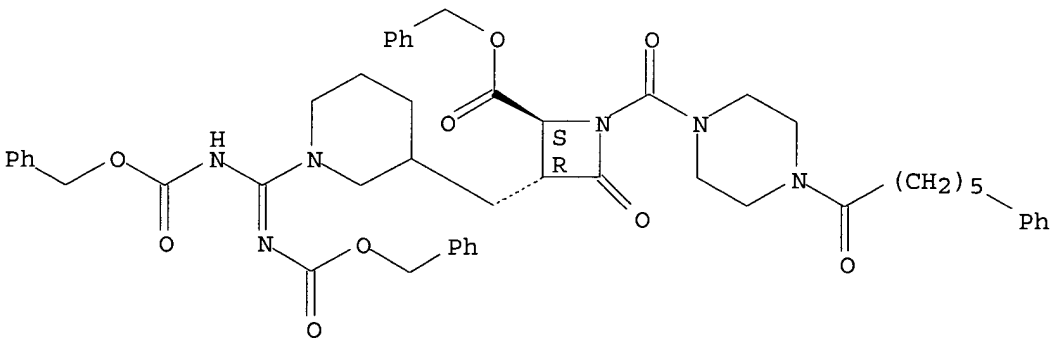
PAGE 1-B

—CH(Pr-i)$_2$

RN 253177-42-3 HCAPLUS

CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

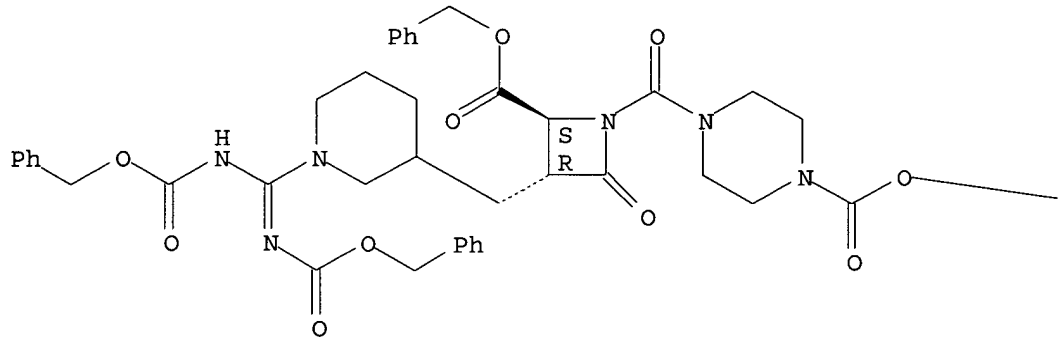
Absolute stereochemistry.



RN 384830-26-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-1-azetidinyl]carbonyl]-, 3-phenoxypropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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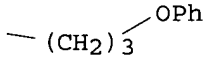
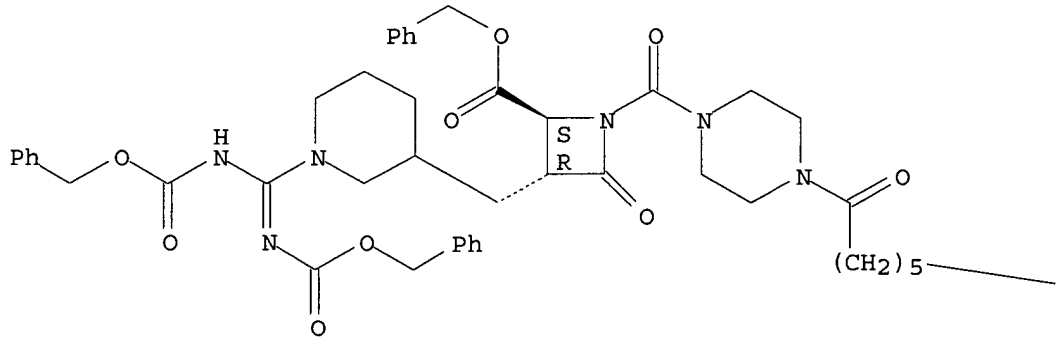


RN 384830-31-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[1-oxo-6-(2-pyridinyl)hexyl]-1-piperazinyl]carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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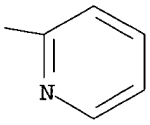
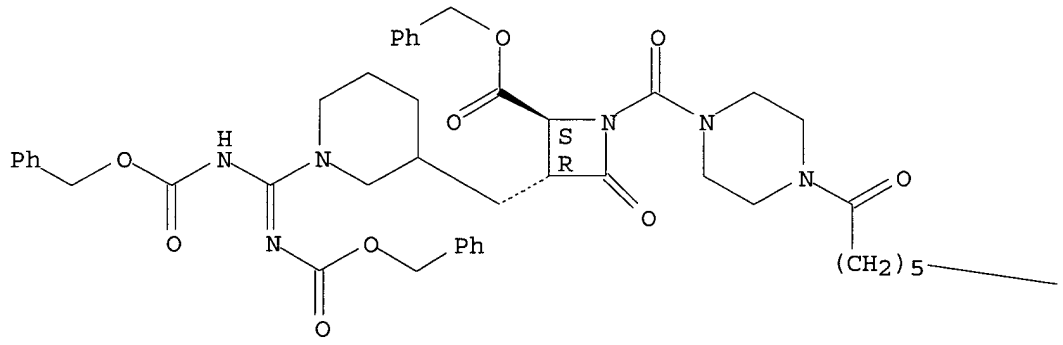


RN 479622-31-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(6-cyclohexyl-1-oxohexyl)-1-piperazinyl]carbonyl]-4-oxo-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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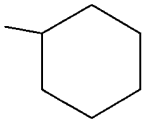
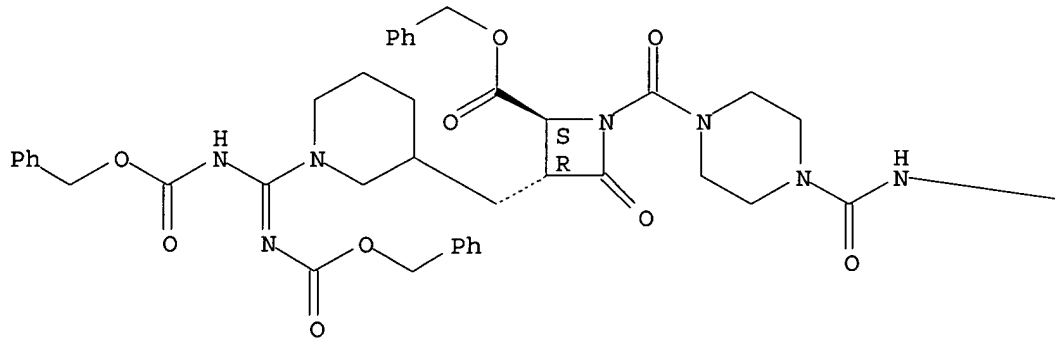


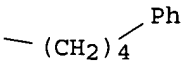
RN 479622-32-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[[(4-phenylbutyl)amino]carbonyl]-1-piperazinyl]carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



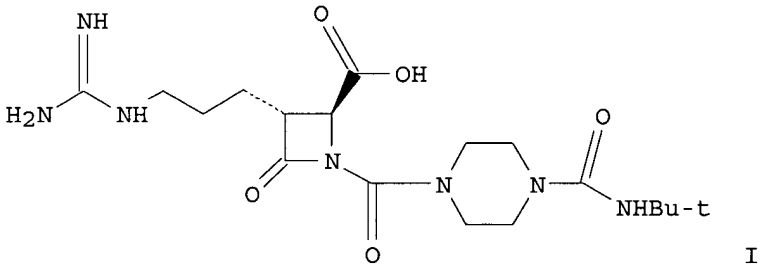
PAGE 1-B



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:767282 HCAPLUS

DOCUMENT NUMBER: 138:55768
TITLE: Synthesis and SAR of 4-carboxy-2-azetidinone mechanism-based tryptase inhibitors
AUTHOR(S): Sutton, James C.; Bolton, Scott A.; Hartl, Karen S.; Huang, Ming-Hsing; Jacobs, Glenn; Meng, Wei; Ogletree, Martin L.; Pi, Zulan; Schumacher, William A.; Seiler, Steven M.; Slusarchyk, William A.; Treuner, Uwe; Zahler, Robert; Zhao, Guohua; Bisacchi, Gregory S.
CORPORATE SOURCE: The Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(21), 3229-3233
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:55768
GI



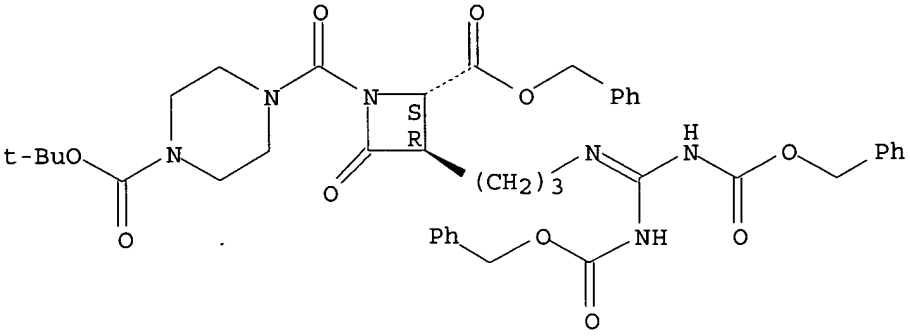
I

AB A series of N1-activated C4-carboxy azetidinones was prepared and tested as inhibitors of human tryptase. The key stereochem. and functional features required for potency, serine protease specificity and aqueous stability were determined From these studies, I, BMS-262084, was identified as a potent and selective tryptase inhibitor which, when dosed intratracheally in ovalbumin-sensitized guinea pigs, reduced allergen-induced bronchoconstriction and inflammatory cell infiltration into the lung.

IT **253175-38-1P 478932-32-0P 478932-44-4P**
478932-45-5P 478932-46-6P 478932-47-7P
478932-48-8P 478932-49-9P 478932-50-2P
478932-51-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and SAR of 4-carboxy-2-azetidinone mechanism-based tryptase inhibitors and evaluation of their activity in allergen-induced bronchoconstriction and inflammatory cell infiltration into the lung in guinea pigs)

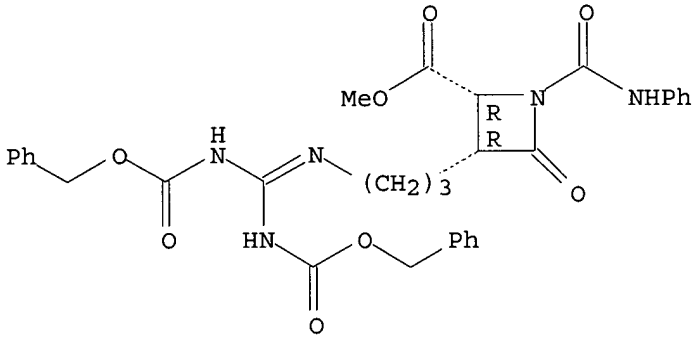
RN 253175-38-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



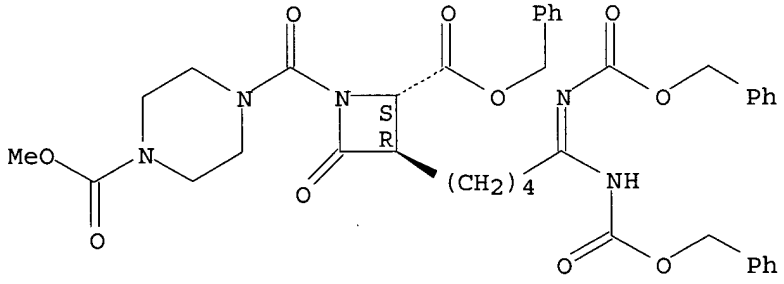
RN 478932-32-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[(phenylamino)carbonyl]-, methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



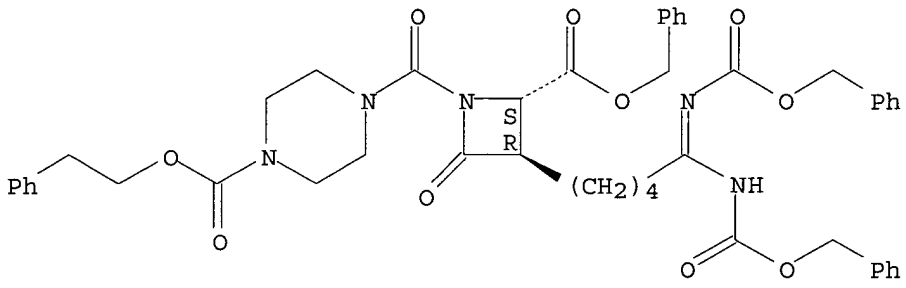
RN 478932-44-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-[5-[[(phenylmethoxy)carbonyl]amino]-5-[[(phenylmethoxy)carbonyl]imino]pentyl]-1-azetidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



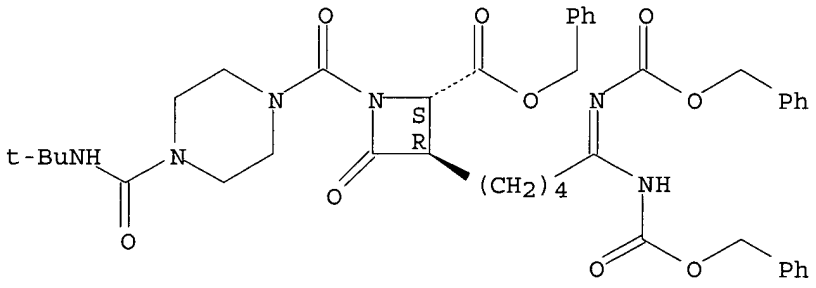
RN 478932-45-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-[5-[[(phenylmethoxy)carbonyl]amino]-5-[[(phenylmethoxy)carbonyl]imino]pentyl]-1-azetidinyl]carbonyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



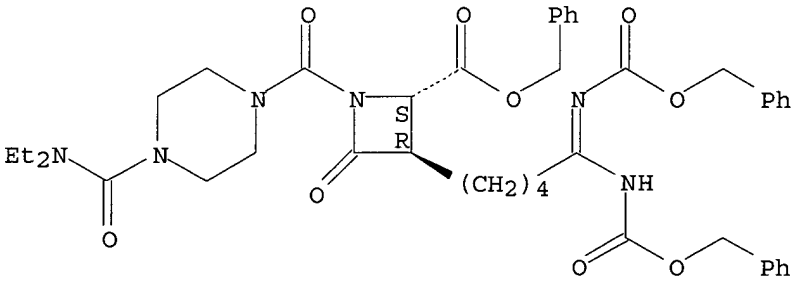
RN 478932-46-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-[5-[[(phenylmethoxy)carbonyl]amino]-5-[[(phenylmethoxy)carbonyl]imino]pentyl]-, phenylmethyl ester, (2S,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478932-47-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[(diethylamino)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-[5-[[(phenylmethoxy)carbonyl]amino]-5-[[(phenylmethoxy)carbonyl]imino]pentyl]-, phenylmethyl ester, (2S,3R)-(9CI) (CA INDEX NAME)

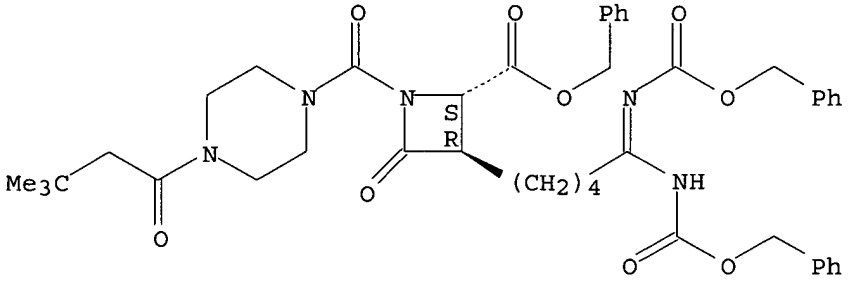
Absolute stereochemistry.



RN 478932-48-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(3,3-dimethyl-1-oxobutyl)-1-piperazinyl]carbonyl]-4-oxo-3-[5-[[(phenylmethoxy)carbonyl]amino]-5-[[(phenylmethoxy)carbonyl]imino]pentyl]-, phenylmethyl ester, (2S,3R)-

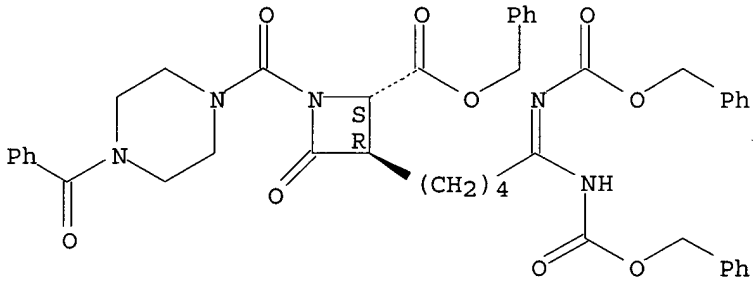
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



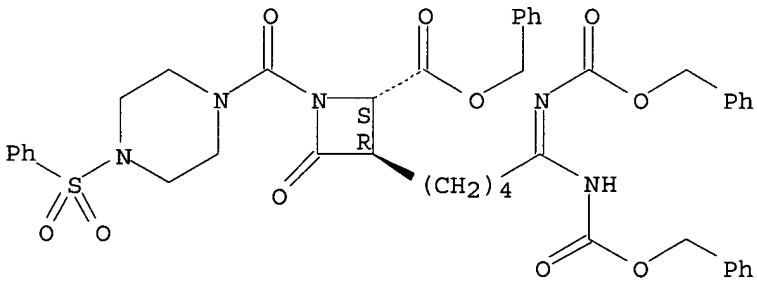
RN 478932-49-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-benzoyl-1-piperazinyl)carbonyl]-4-oxo-3-[5-[[(phenylmethoxy)carbonyl]amino]-5-[[(phenylmethoxy)carbonyl]imino]pentyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478932-50-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-[5-[[(phenylmethoxy)carbonyl]amino]-5-[[(phenylmethoxy)carbonyl]imino]pentyl]-1-[[4-(phenylsulfonyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

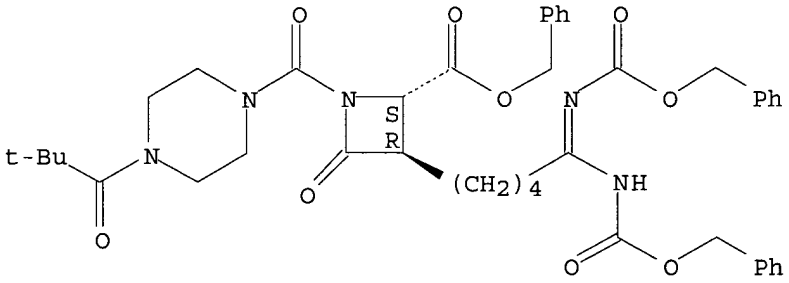
Absolute stereochemistry.



RN 478932-51-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(2,2-dimethyl-1-oxopropyl)-1-piperazinyl]carbonyl]-4-oxo-3-[5-[[(phenylmethoxy)carbonyl]amino]-5-[[(phenylmethoxy)carbonyl]imino]pentyl]-, phenylmethyl ester, (2S,3R)-

(9CI) (CA INDEX NAME)

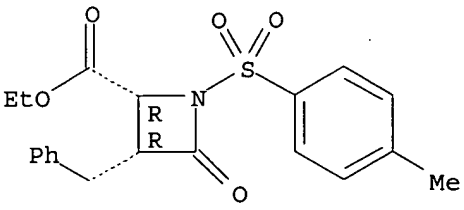
Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

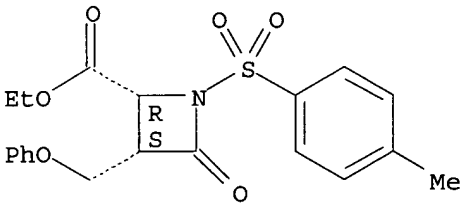
L6 ANSWER 11 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:365350 HCAPLUS
DOCUMENT NUMBER: 137:93620
TITLE: The Development of the First Catalyzed Reaction of Ketenes and Imines: Catalytic, Asymmetric Synthesis of β-Lactams
AUTHOR(S): Taggi, Andrew E.; Hafez, Ahmed M.; Wack, Harald; Young, Brandon; Ferraris, Dana; Lectka, Thomas
CORPORATE SOURCE: Department of Chemistry, Johns Hopkins University, Baltimore, MD, 21218, USA
SOURCE: Journal of the American Chemical Society (2002), 124(23), 6626-6635
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:93620
AB The authors report practical methodol. for the catalytic, asym. synthesis of β-lactams resulting from the development of a catalyzed reaction of ketenes (or their derived zwitterionic enolates) and imines. The products of these asym. reactions can serve as precursors to a number of enzyme inhibitors and drug candidates as well as valuable synthetic intermediates. The authors present a detailed study of the mechanism of the β-lactam forming reaction with proton sponge as the stoichiometric base, including kinetics and isotopic labeling studies. Stereochem. models based on mol. mechanics (MM) calcns. are also presented to account for the observed stereoregular sense of induction in the authors' reactions and to provide a guidepost for the design of other catalyst systems.
IT **404589-81-7P 433712-95-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of β-lactams via chiral amine-catalyzed cycloaddn. of ketenes to imines using proton sponge bases and mechanistic and kinetic studies)
RN 404589-81-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-methylphenyl)sulfonyl]-4-oxo-3-(phenylmethyl)-, ethyl ester, (2R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 433712-95-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-methylphenyl)sulfonyl]-4-oxo-3-(phenoxymethyl)-, ethyl ester, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:315565 HCAPLUS
DOCUMENT NUMBER: 137:78782
TITLE: A Stereoselective Synthesis of BMS-262084, an Azetidinone-Based Tryptase Inhibitor
AUTHOR(S): Qian, Xinhua; Zheng, Bin; Burke, Brian; Saindane, Manohar T.; Kronenthal, David R.
CORPORATE SOURCE: Process Research and Development, Bristol-Myers Squibb Pharmaceutical Research Institute, New Brunswick, NJ, 08903-0191, USA
SOURCE: Journal of Organic Chemistry (2002), 67(11), 3595-3600
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:78782
GI

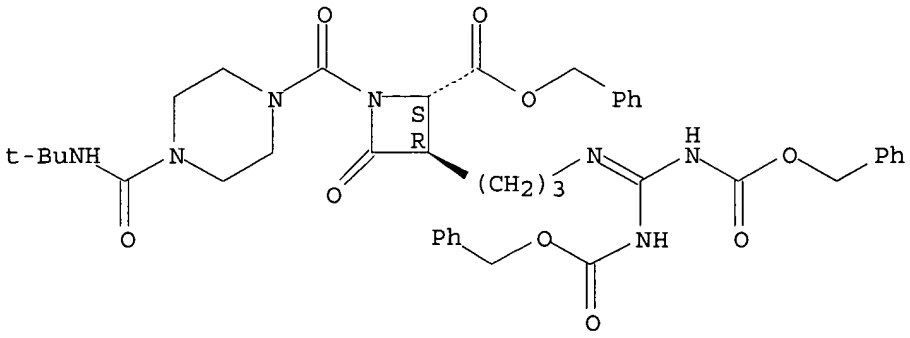
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A highly stereoselective synthesis of the novel tryptase inhibitor BMS-262084 (I) was developed. Key to this synthesis was the discovery and development of a highly diastereoselective demethoxycarbonylation of diester II to form the trans-azetidinone III. BMS-262084 was prepared in 10 steps from D-ornithine in 30% overall yield.

IT **253175-43-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective synthesis of BMS-262084)

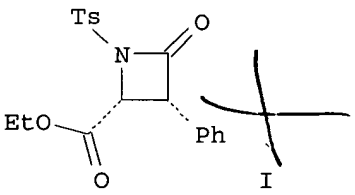
RN 253175-43-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:259518 HCAPLUS
DOCUMENT NUMBER: 137:6016
TITLE: Bifunctional Asymmetric Catalysis: A Tandem Nucleophile/Lewis Acid Promoted Synthesis of β-Lactams
AUTHOR(S): France, Stefan; Wack, Harald; Hafez, Ahmed M.; Taggi, Andrew E.; Witsil, Daniel R.; Lectka, Thomas
CORPORATE SOURCE: Department of Chemistry, Johns Hopkins University, Baltimore, MD, 21218, USA
SOURCE: Organic Letters (2002), 4(9), 1603-1605
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:6016
GI

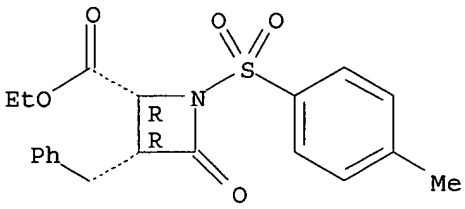


AB We describe a superior procedure for the catalytic, asym. synthesis of β-lactams, e.g. I, using a bifunctional catalyst system consisting of a chiral nucleophile and an achiral Lewis acid.
IT **404589-81-7P 433712-95-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(tandem nucleophile/Lewis acid promoted synthesis of β-lactams via bifunctional asym. catalysis)

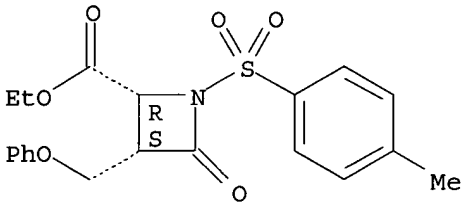
RN 404589-81-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-methylphenyl)sulfonyl]-4-oxo-3-(phenylmethyl)-, ethyl ester, (2R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



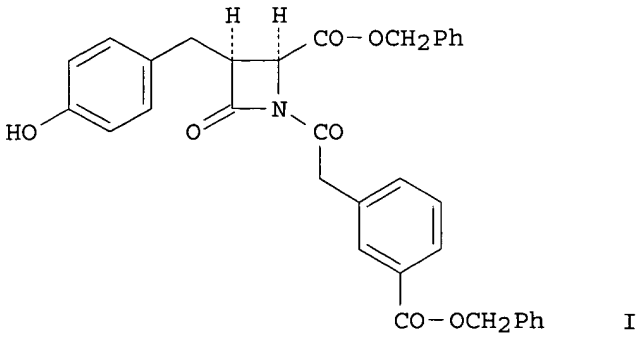
RN 433712-95-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-methylphenyl)sulfonyl]-4-oxo-3-(phenoxymethyl)-, ethyl ester, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:251269 HCAPLUS
DOCUMENT NUMBER: 137:93619
TITLE: Efficient and highly stereoselective synthesis of a β-Lactam inhibitor of the serine protease prostate-specific antigen
AUTHOR(S): Annunziata, Rita; Benaglia, Maurizio; Cinquini, Mauro; Cozzi, Franco; Puglisi, Alessandra
CORPORATE SOURCE: Dipartimento di Chimica Organica e Industriale, Centro CNR, Universita' degli Studi di Milano, Milan, I-20133, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(6), 1813-1818
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:93619
GI



I

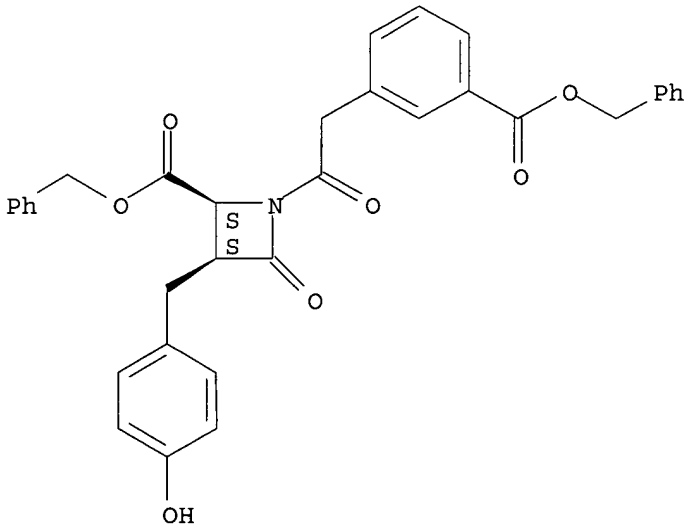
AB An efficient synthesis of a β-lactam precursor of the serine protease, prostate-specific antigen inhibitor I has been accomplished. The synthesis relies on two completely stereoselective reactions that allow the introduction of the stereocenters at C-3 and C-4 of the azetidinone ring in a predictable manner.

IT **193959-27-2P**
RL: PNU (Preparation, unclassified); PREP (Preparation)
(stereoselective synthesis of a β-Lactam inhibitor of the serine protease prostate-specific antigen via stereoselective condensation and reduction reactions as key steps)

RN 193959-27-2 HCAPLUS

CN 2-Azetidinecarboxylic acid, 3-[(4-hydroxyphenyl)methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, phenylmethyl ester, (2S,3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:59023 HCAPLUS
DOCUMENT NUMBER: 136:247451

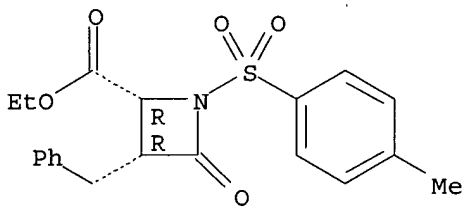
TITLE: Generation of Ketenes from Acid Chlorides Using NaH/Crown Ether Shuttle-Deprotonation for Use in Asymmetric Catalysis
AUTHOR(S): Taggi, Andrew E.; Wack, Harald; Hafez, Ahmed M.; France, Stefan; Lectka, Thomas
CORPORATE SOURCE: Department of Chemistry, Johns Hopkins University, Baltimore, MD, 21218, USA
SOURCE: Organic Letters (2002), 4(4), 627-629
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:247451

AB We describe methodol. for the in situ generation of reactive monosubstituted ketenes from acid chlorides through a shuttle deprotonation process using NaH as an inexpensive stoichiometric base and a crown ether cocatalyst. We have successfully applied this new procedure to the catalytic, asym. synthesis of β-lactams and α-halo esters.

IT **404589-81-7P 404589-82-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(generation of ketenes from acid chlorides using NaH/crown ether shuttle-deprotonation for use in asym. catalysis)

RN 404589-81-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-methylphenyl)sulfonyl]-4-oxo-3-(phenylmethyl)-, ethyl ester, (2R,3R)- (9CI) (CA INDEX NAME)

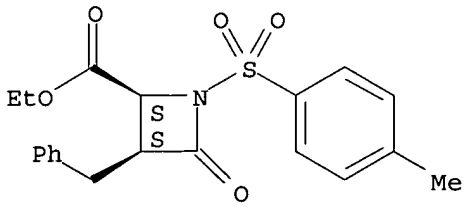
Absolute stereochemistry.



Dup B,b13

RN 404589-82-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-methylphenyl)sulfonyl]-4-oxo-3-(phenylmethyl)-, ethyl ester, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:6377 HCAPLUS
DOCUMENT NUMBER: 136:69695

TITLE: Preparation of β-lactam compounds as inhibitors of tryptase

INVENTOR(S): Bisacchi, Gregory S.; Slusarchyk, William A.; Treuner, Uwe; Sutton, James C.; Zahler, Robert; Seiler, Steven; Kronenthal, David R.; Randazzo, Michael E.; Schwinden, Mark D.; Xu, Zhongmin; Shi, Zhongping

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA

SOURCE: U.S., 171 pp., Cont.-in-part of U. S. Ser. No. 336,253, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

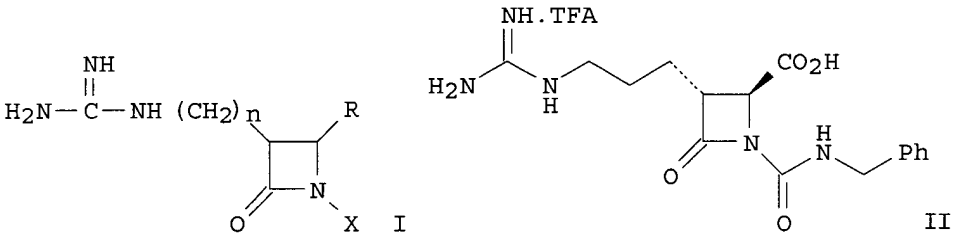
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6335324	B1	20020101	US 1999-458847		19991213
PRIORITY APPLN. INFO.:			US 1998-90636P	P	19980625
			US 1999-336253	B2	19990618

OTHER SOURCE(S): MARPAT 136:69695

GI



AB Novel β-lactam compds., e.g. of formula I [R = CO2H, alkoxycarbonyl, acyl, CO-heterocyclyl, etc.; X = acyl, CO-heterocyclyl, SO2-alkyl, aminoalkylphenyl, etc.; n = 1-6], are prepared These compds. inhibit tryptase as well as other enzyme systems or are selective tryptase inhibitors and are useful as antiinflammatory agents particularly in the treatment of chronic asthma (no data). Thus, II was prepared from (4S)-N-(tert-butyldimethylsilyl)azetidin-2-one-4-carboxylic acid, 1-chloro-3-iodopropane, N,N'-bis(benzyloxycarbonyl)-1-guanylpyrazole and benzyl isocyanate.

IT **253174-68-4P 253174-69-5P 253174-70-8P**
253174-71-9P 253174-72-0P 253174-74-2P
253174-96-8P 253175-00-7P 384829-64-5P
384829-65-6P 384829-66-7P 384829-67-8P
384829-69-0P 384829-70-3P 384829-72-5P
384829-74-7P 384829-75-8P 384829-76-9P
384829-77-0P 384829-78-1P 384829-79-2P
384829-80-5P 384829-81-6P 384829-82-7P
384829-83-8P 384829-84-9P 384829-85-0P
384829-86-1P 384829-87-2P 384829-88-3P
384829-89-4P 384829-90-7P 384829-91-8P
384829-92-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of β-lactam compds. as inhibitors of tryptase)

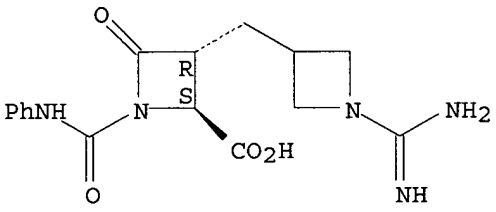
RN 253174-68-4 HCAPLUS

CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-azetidinyl]methyl]-4-oxo-1-[(phenylamino)carbonyl]-, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

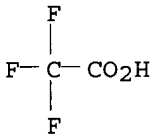
CRN 253174-67-3
CMF C16 H19 N5 O4

Absolute stereochemistry.



CM 2

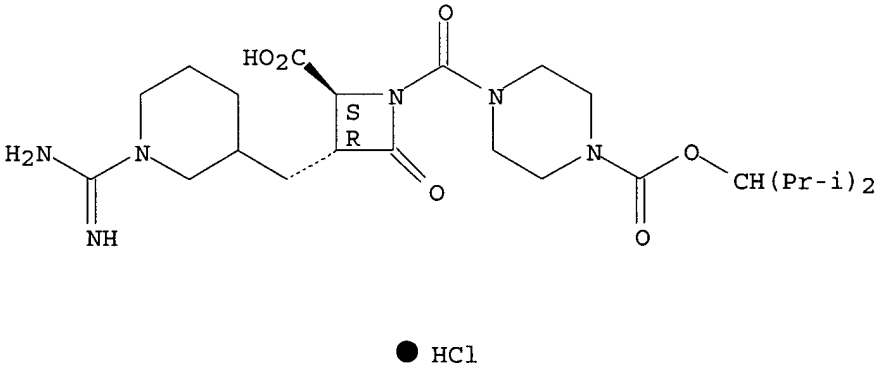
CRN 76-05-1
CMF C2 H F3 O2



RN 253174-69-5 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester, monohydrochloride (9CI) (CA INDEX NAME)

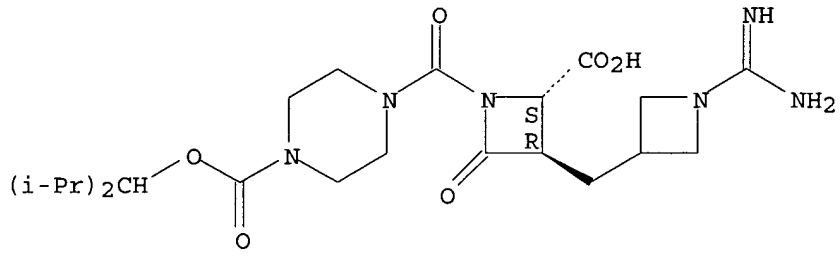
Absolute stereochemistry.



● HCl

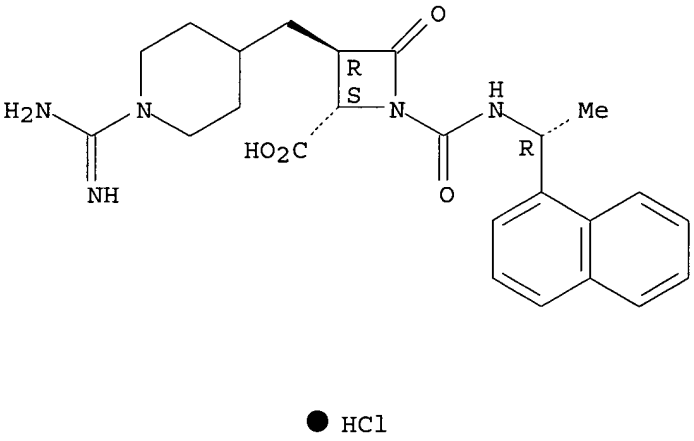
RN 253174-70-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-azetidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253174-71-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-4-piperidinyl]methyl]-1-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]-4-oxo-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

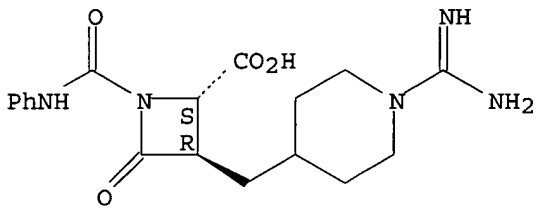
Absolute stereochemistry.



● HCl

RN 253174-72-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-4-piperidinyl]methyl]-4-oxo-1-[(phenylamino)carbonyl]-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

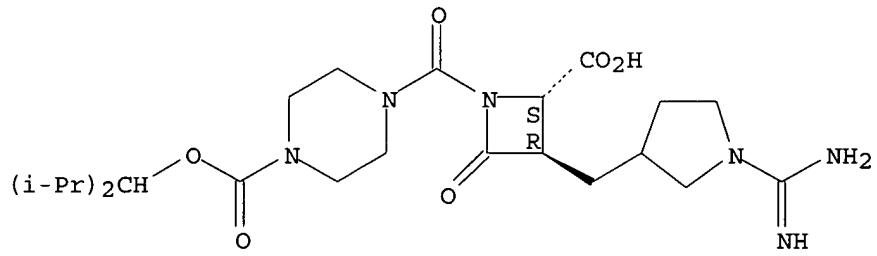
Absolute stereochemistry.



● HCl

RN 253174-74-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-pyrrolidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



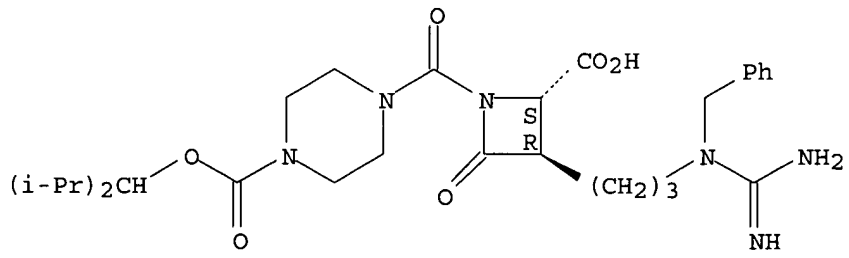
● HCl

RN 253174-96-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[3-[(aminoiminomethyl)(phenylmethyl)amino]propyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

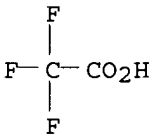
CRN 253174-95-7
CMF C28 H42 N6 O6

Absolute stereochemistry.



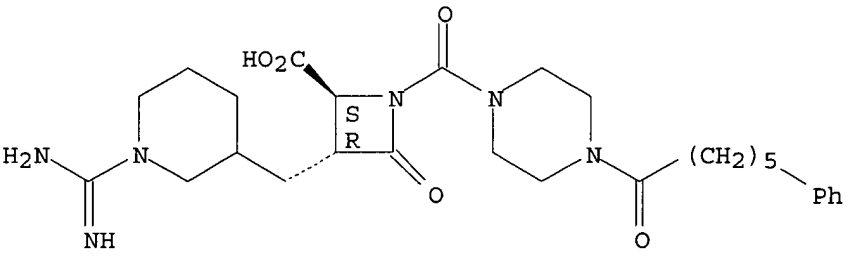
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 253175-00-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

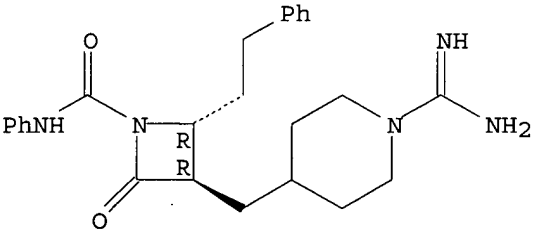
Absolute stereochemistry.



● HCl

RN 384829-64-5 HCAPLUS
CN 1-Azetidinecarboxamide, 3-[[1-(aminoiminomethyl)-4-piperidinyl]methyl]-2-oxo-N-phenyl-4-(2-phenylethyl)-, monohydrochloride, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

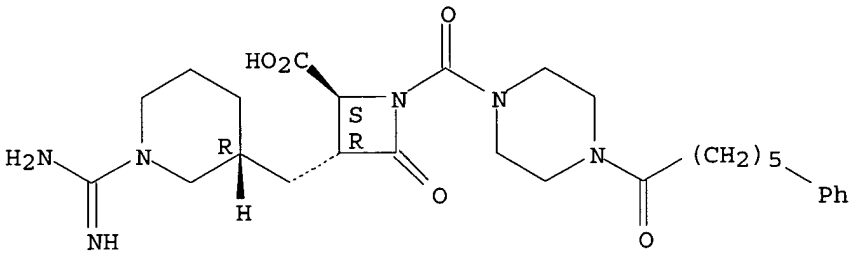


● HCl

RN 384829-65-6 HCAPLUS

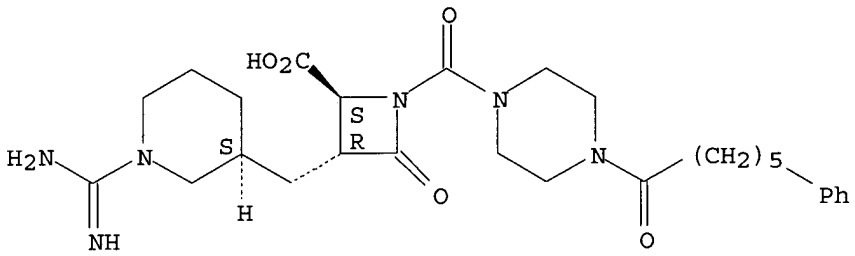
CN 2-Azetidinecarboxylic acid, 3-[[(3R)-1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



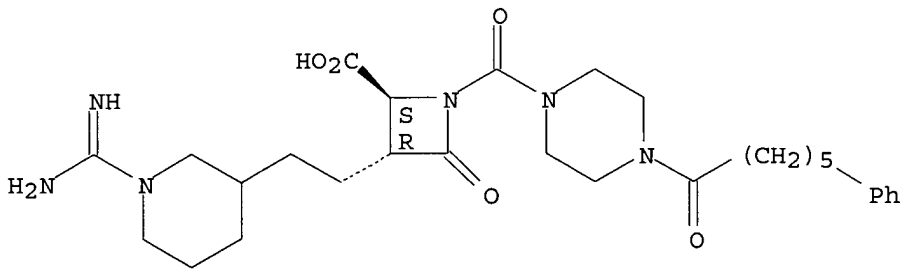
RN 384829-66-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[(3S)-1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 384829-67-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[2-[1-(aminoiminomethyl)-3-piperidinyl]ethyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

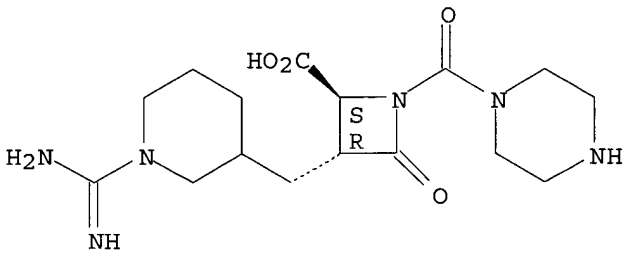


RN 384829-69-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-(1-piperazinylcarbonyl)-, (2S,3R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

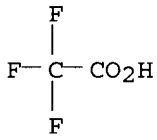
CRN 384829-68-9
CMF C16 H26 N6 O4

Absolute stereochemistry.



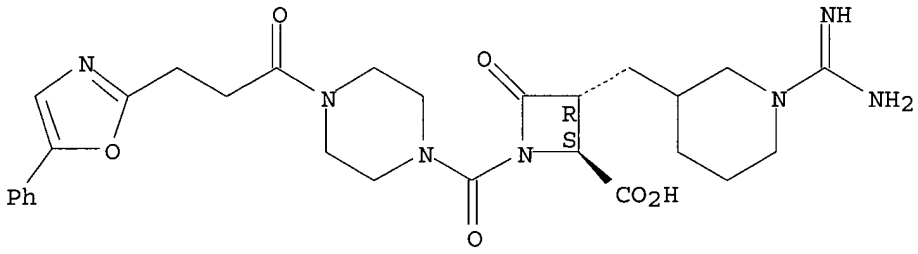
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 384829-70-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[1-oxo-3-(5-phenyl-2-oxazolyl)propyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

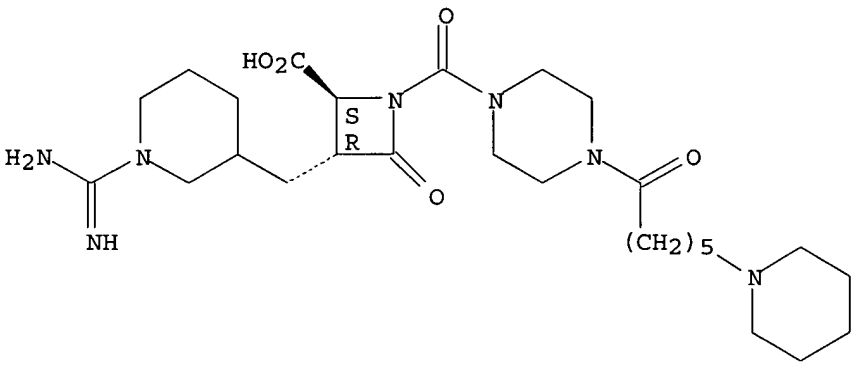


RN 384829-72-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[1-oxo-6-(1-piperidinyl)hexyl]-1-piperazinyl]carbonyl]-, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

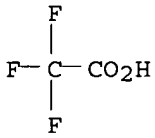
CRN 384829-71-4
CMF C27 H45 N7 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

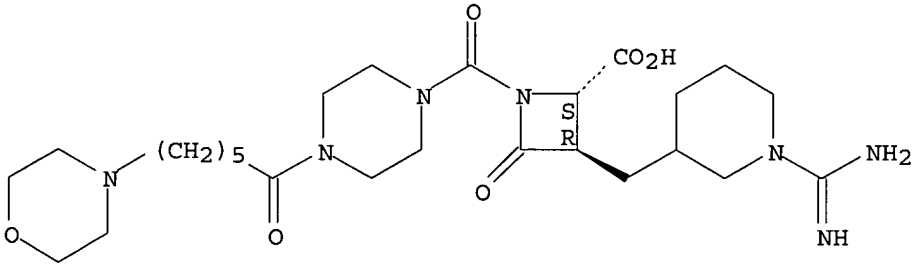


RN 384829-74-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-1-[[4-[6-(4-morpholinyl)-1-oxohexyl]-1-piperazinyl]carbonyl]-4-oxo-, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

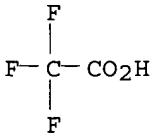
CRN 384829-73-6
CMF C26 H43 N7 O6

Absolute stereochemistry.



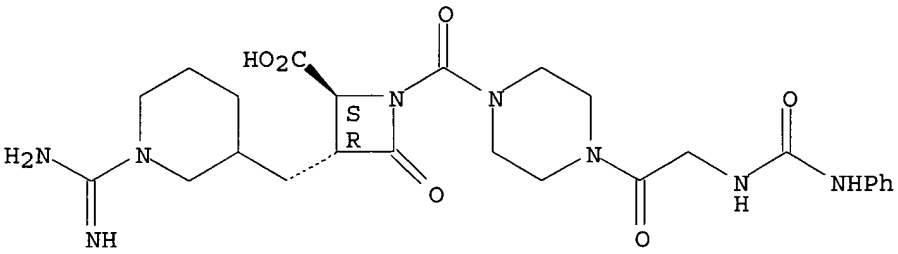
CM 2

CRN 76-05-1
CMF C2 H F3 O2



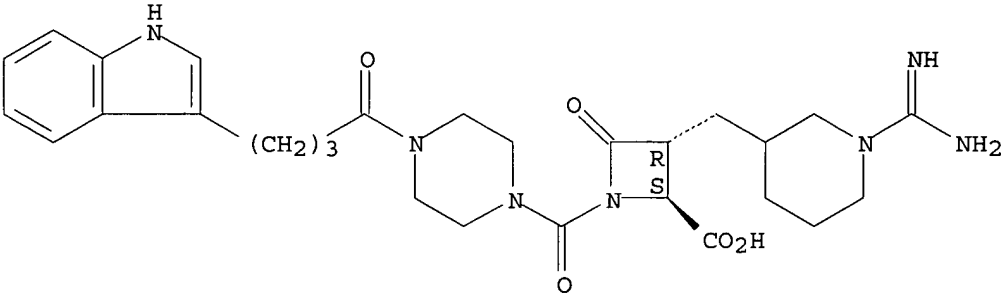
RN 384829-75-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[[[(phenylamino)carbonyl]amino]acetyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



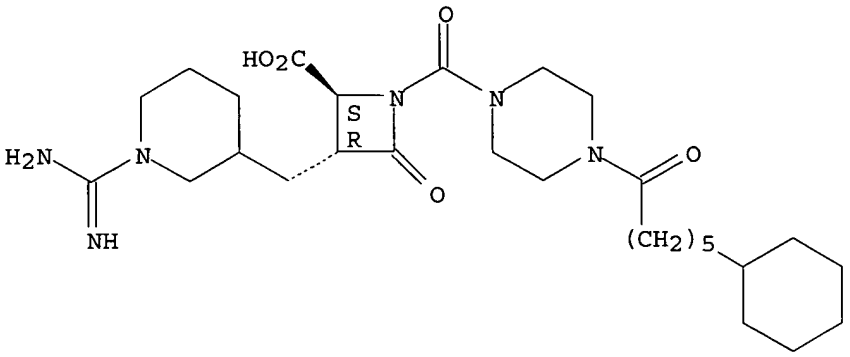
RN 384829-76-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-1-[[4-[4-(1H-indol-3-yl)-1-oxobutyl]-1-piperazinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



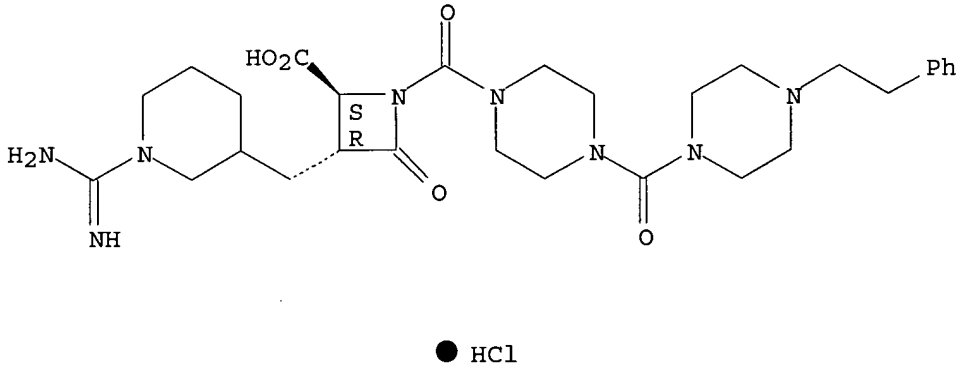
RN 384829-77-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-1-[[4-(6-cyclohexyl-1-oxohexyl)-1-piperazinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 384829-78-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[[4-(2-phenylethyl)-1-piperazinyl]carbonyl]-1-piperazinyl]carbonyl]-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

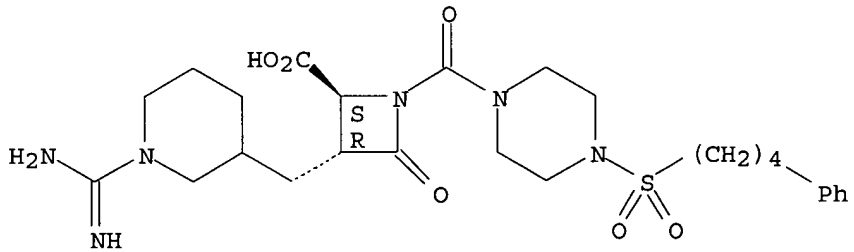
Absolute stereochemistry.



● HCl

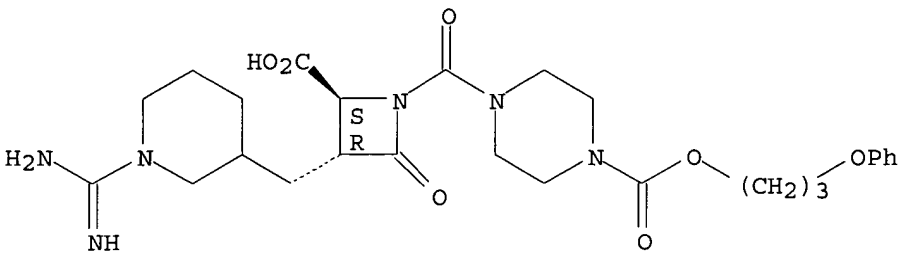
RN 384829-79-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[(4-phenylbutyl)sulfonyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



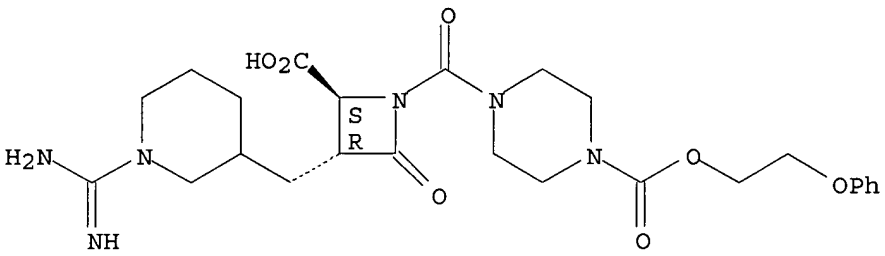
RN 384829-80-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-(3-phenoxypropyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



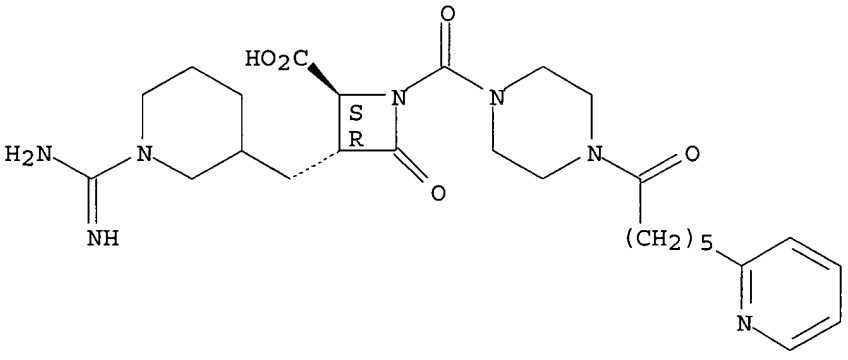
RN 384829-81-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-(2-phenoxyethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



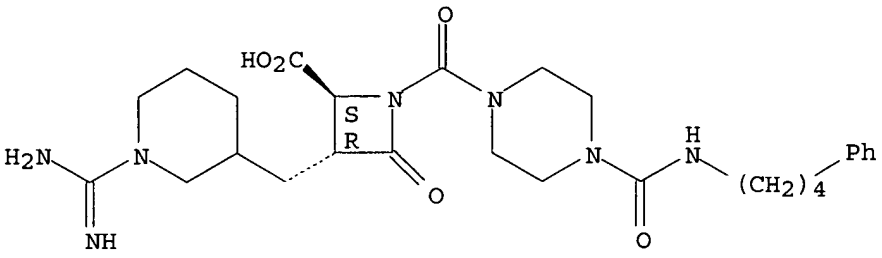
RN 384829-82-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[1-oxo-6-(2-pyridinyl)hexyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



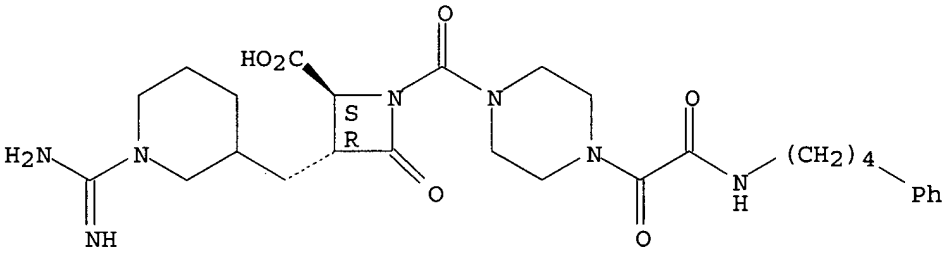
RN 384829-83-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[[(4-phenylbutyl)amino]carbonyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



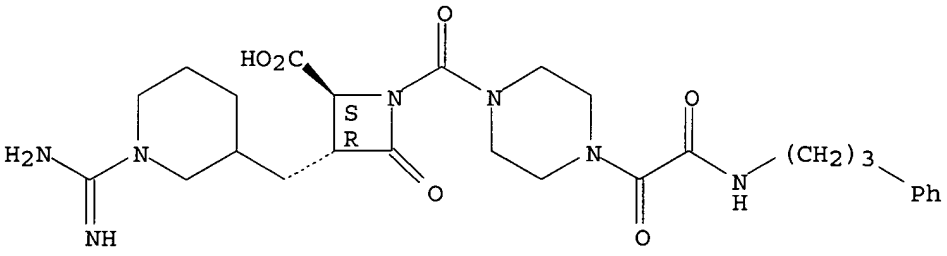
RN 384829-84-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[oxo[(4-phenylbutyl)amino]acetyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



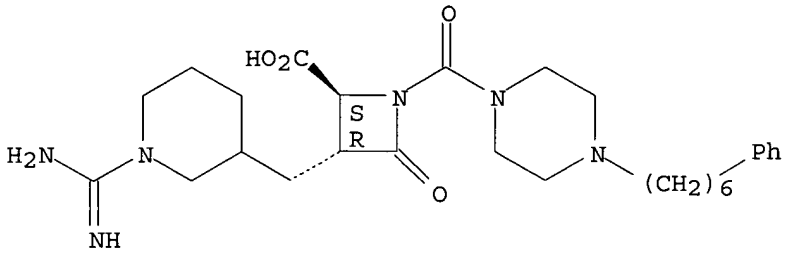
RN 384829-85-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[oxo[(3-phenylpropyl)amino]acetyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 384829-86-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-(6-phenylhexyl)-1-piperazinyl]carbonyl]-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

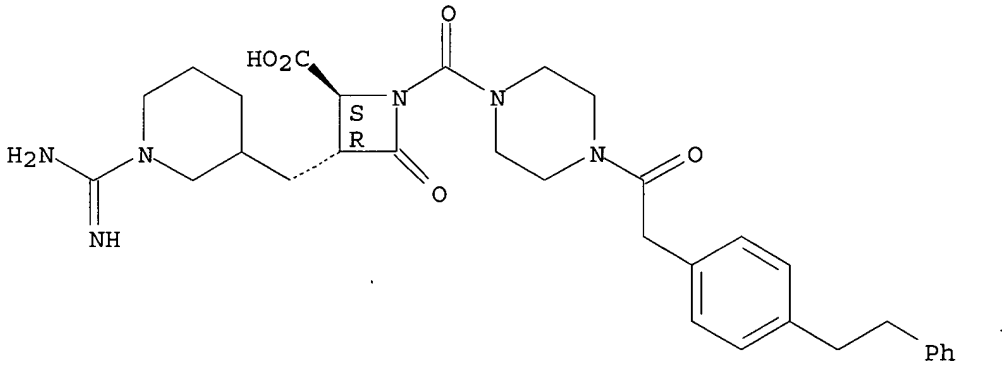
Absolute stereochemistry.



● HCl

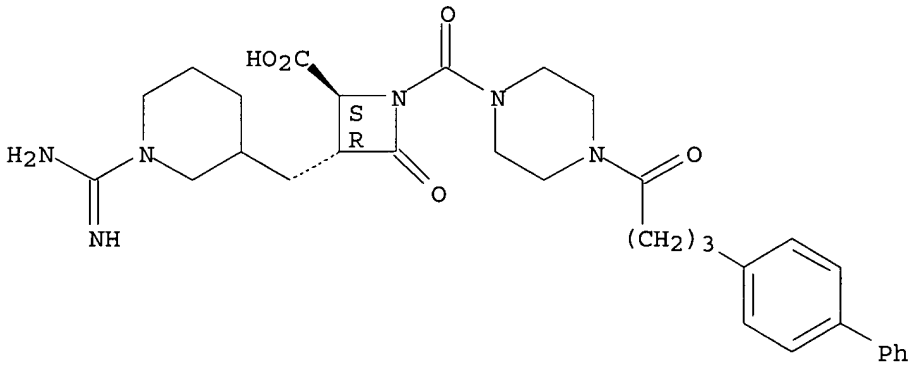
RN 384829-87-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-[[4-(2-phenylethyl)phenyl]acetyl]-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



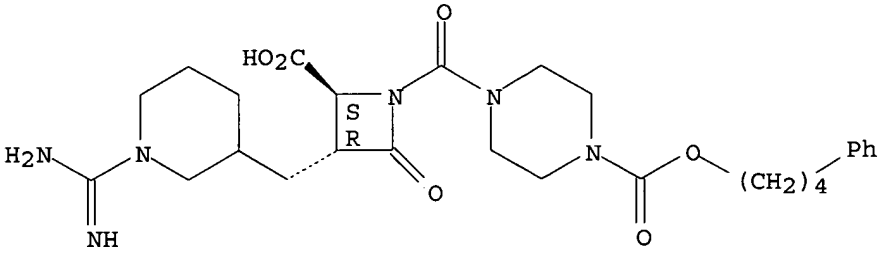
RN 384829-88-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-1-[[4-(4-[1,1'-biphenyl]-4-yl-1-oxobutyl)-1-piperazinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



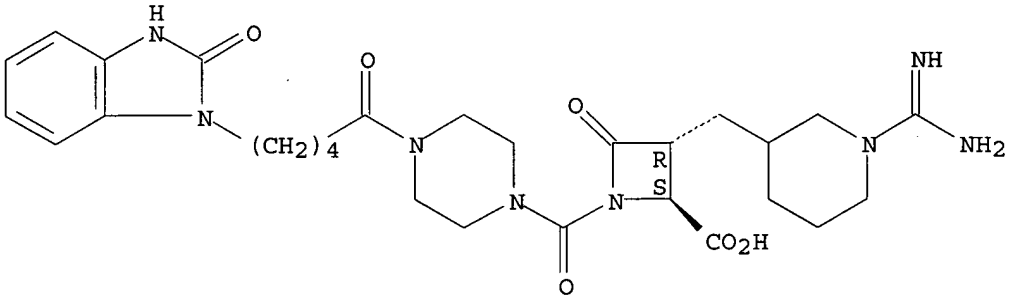
RN 384829-89-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-(4-phenylbutyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



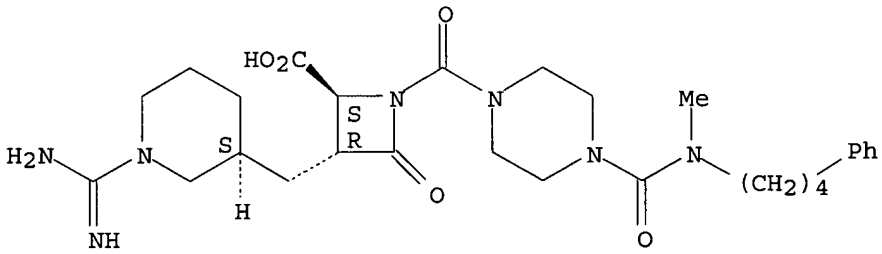
RN 384829-90-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-1-[[4-[5-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-oxopentyl]-1-piperazinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 384829-91-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[(3S)-1-(aminoiminomethyl)-3-piperidinyl]methyl]-1-[[4-[[methyl(4-phenylbutyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

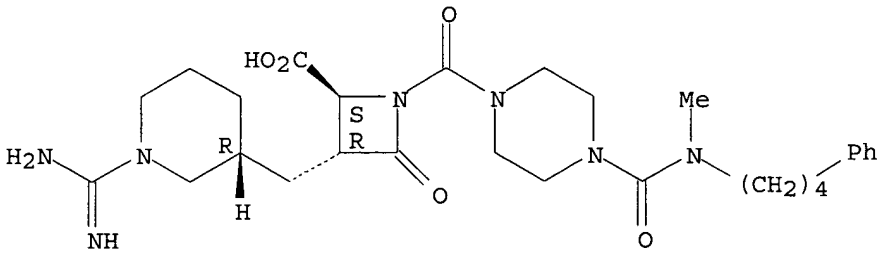
Absolute stereochemistry.



RN 384829-92-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[(3R)-1-(aminoiminomethyl)-3-piperidinyl]methyl]-1-[[4-[[methyl(4-phenylbutyl)amino]carbonyl]-1-

piperazinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **137055-08-4P 253175-13-2P 253175-15-4P**
253175-17-6P 253175-25-6P 253175-27-8P
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253175-43-8P 253175-50-7P 253175-58-5P
253175-63-2P 253175-67-6P 253175-73-4P
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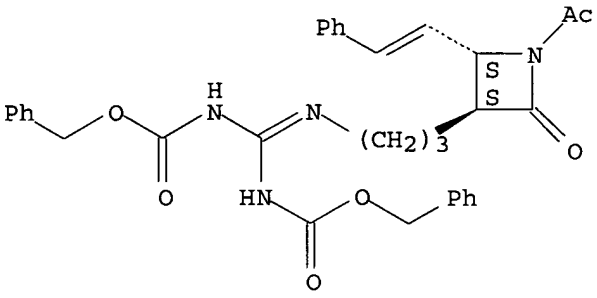
384830-23-3P 384830-24-4P 384830-25-5P
384830-26-6P 384830-31-3P 384830-34-6P
384830-35-7P 384830-36-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β-lactam compds. as inhibitors of tryptase)

RN 137055-08-4 HCAPLUS

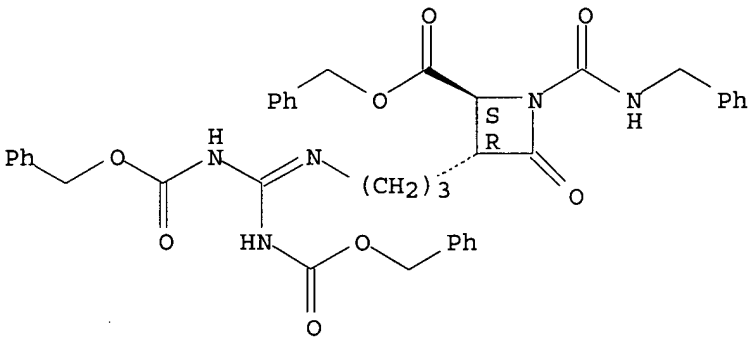
CN Carbamic acid, [[3-[(3R,4R)-1-acetyl-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



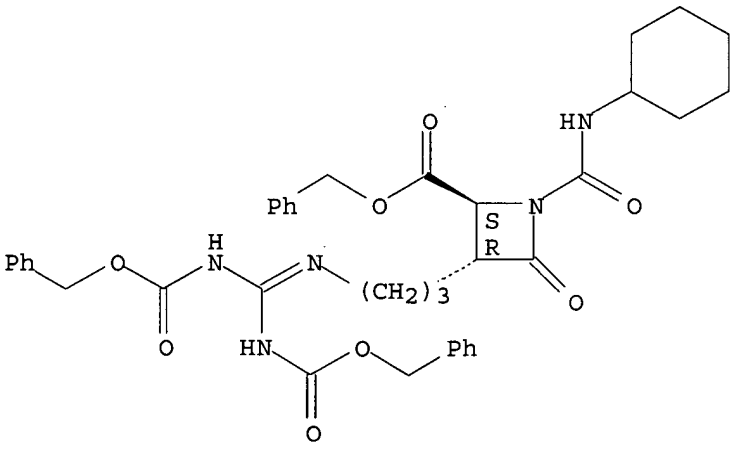
RN 253175-13-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[(phenylmethyl)amino]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



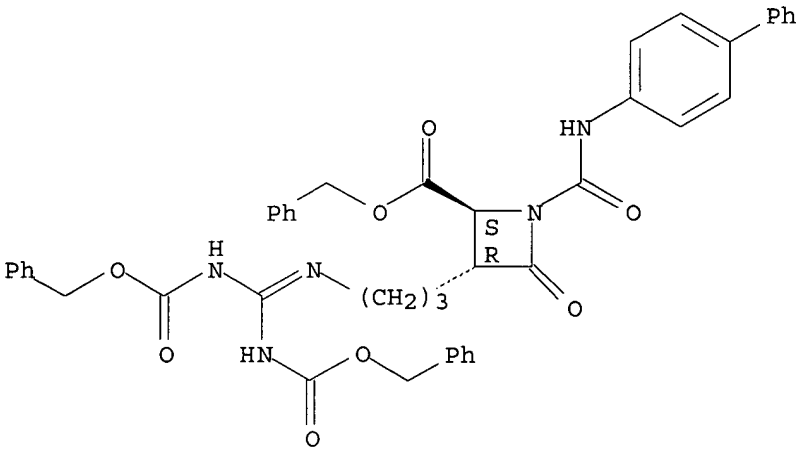
RN 253175-15-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[(cyclohexylamino)carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



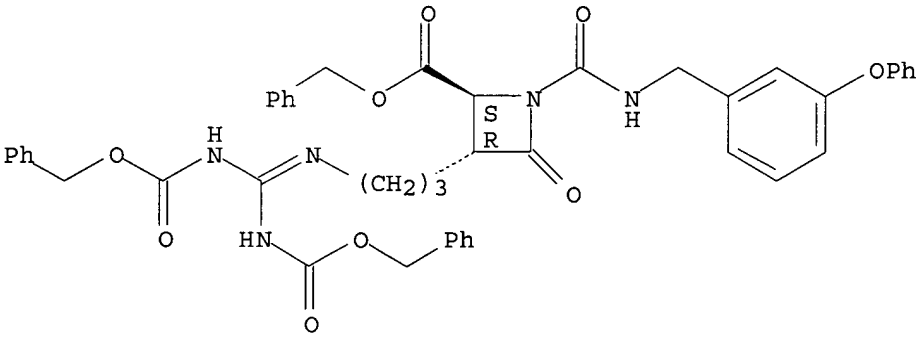
RN 253175-17-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[([1,1'-biphenyl]-4-ylamino)carbonyl]-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



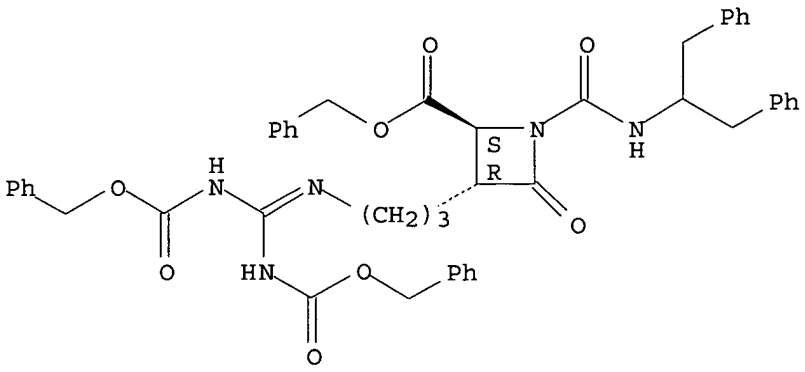
RN 253175-25-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[[(3-phenoxyphenyl)methyl]amino]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



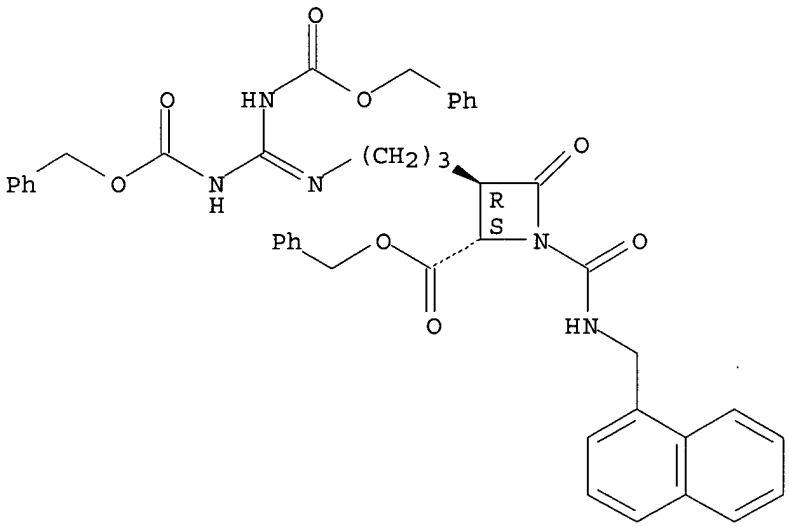
RN 253175-27-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[[2-phenyl-1-(phenylmethyl)ethyl]amino]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



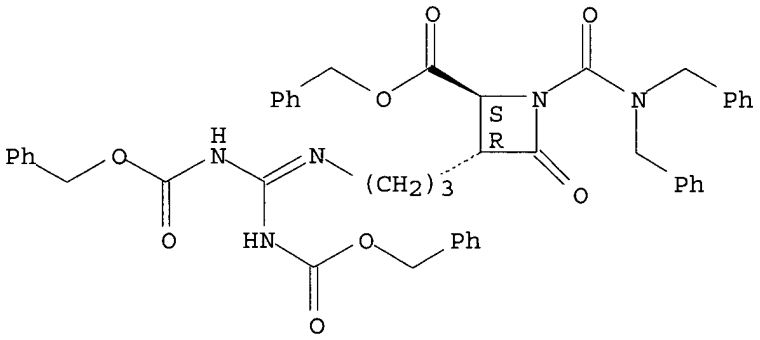
RN 253175-30-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[(1-naphthalenylmethyl)amino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



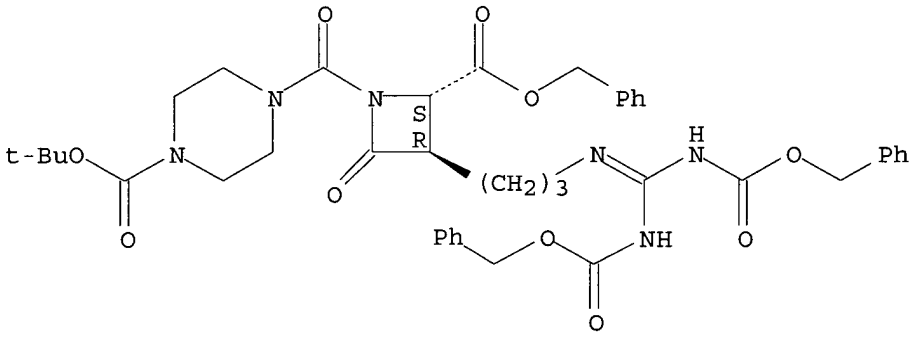
RN 253175-35-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[bis(phenylmethyl)amino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



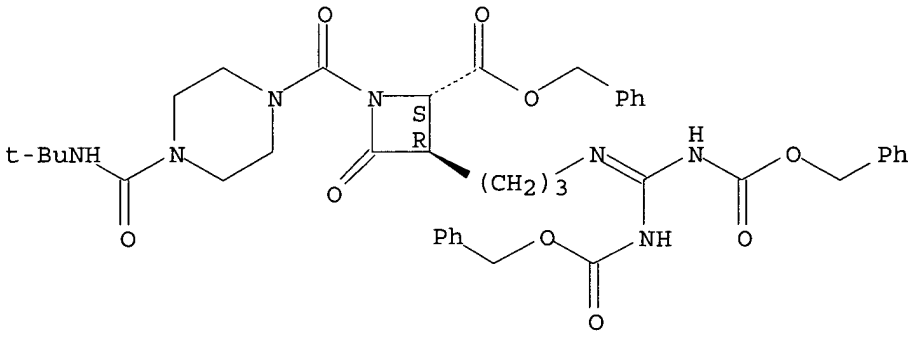
RN 253175-38-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253175-43-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

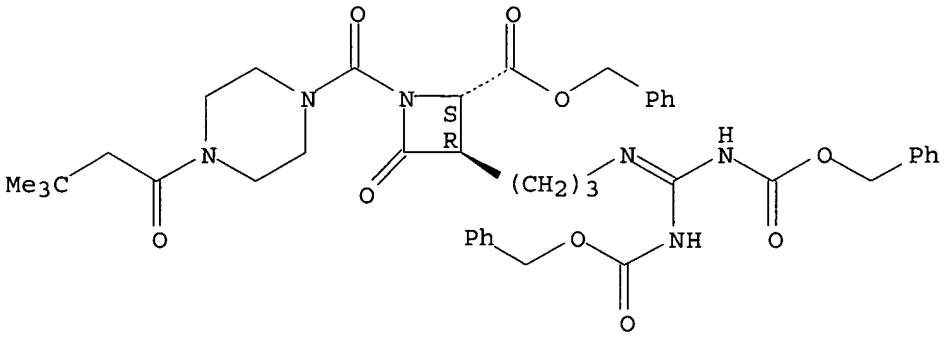
Absolute stereochemistry.



RN 253175-50-7 HCAPLUS

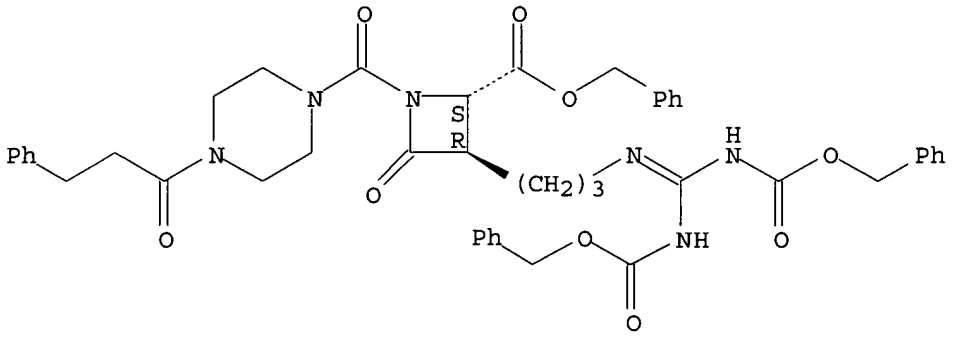
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-(3,3-dimethyl-1-oxobutyl)-1-piperazinyl]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



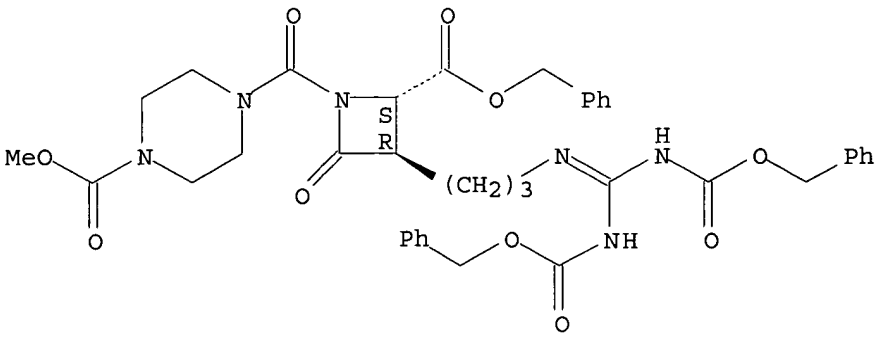
RN 253175-58-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(1-oxo-3-phenylpropyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



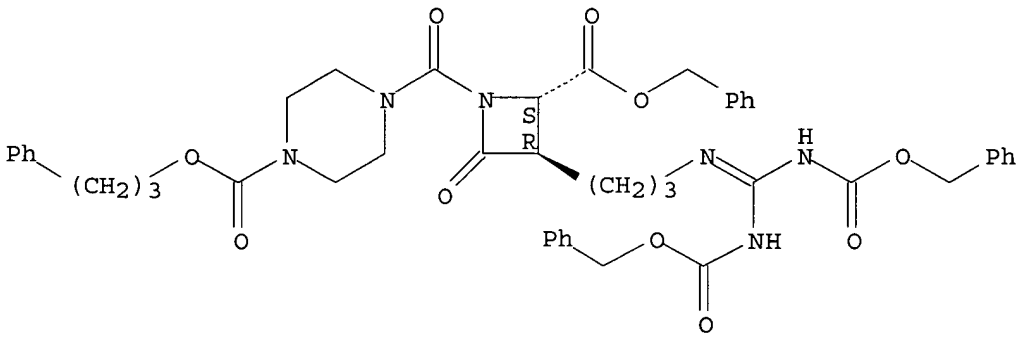
RN 253175-63-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



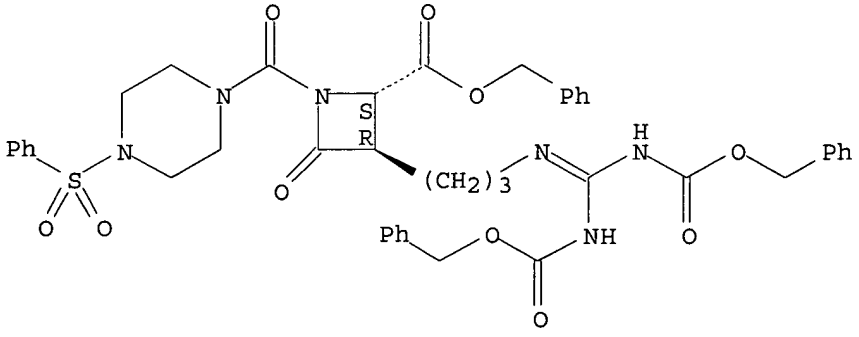
RN 253175-67-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253175-73-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(phenylsulfonyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

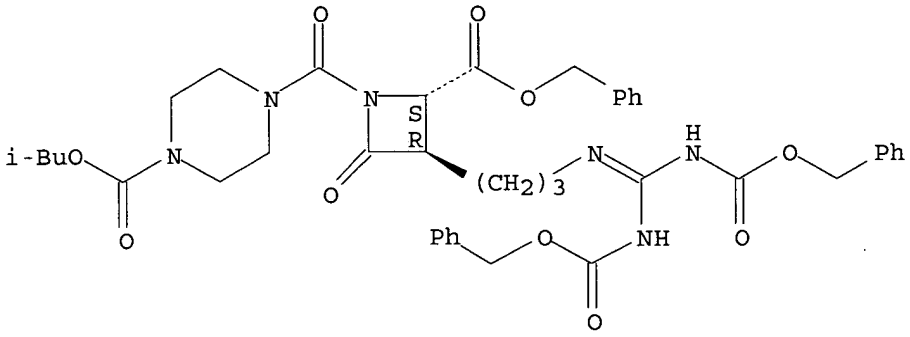
Absolute stereochemistry.



RN 253175-80-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-

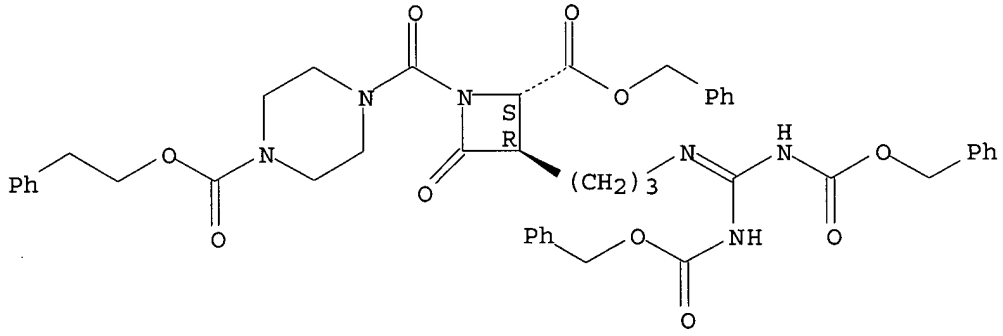
[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



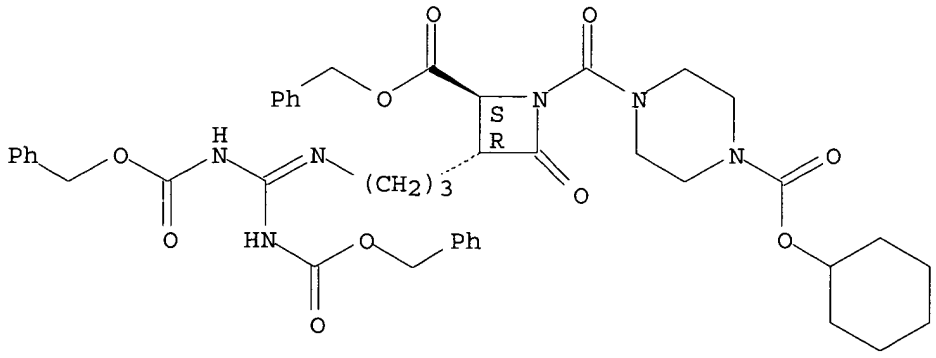
RN 253175-83-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



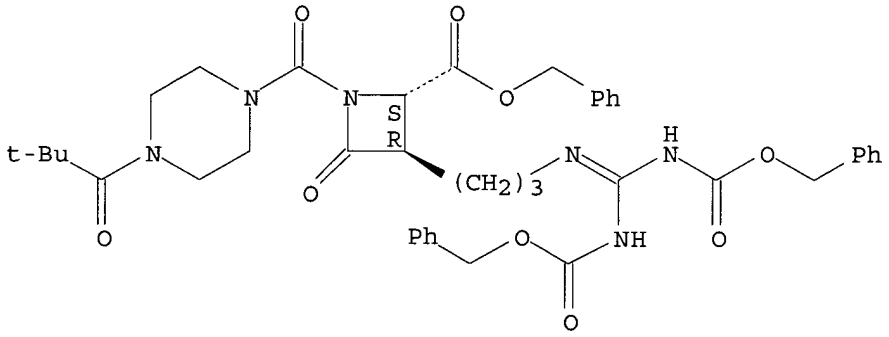
RN 253175-87-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



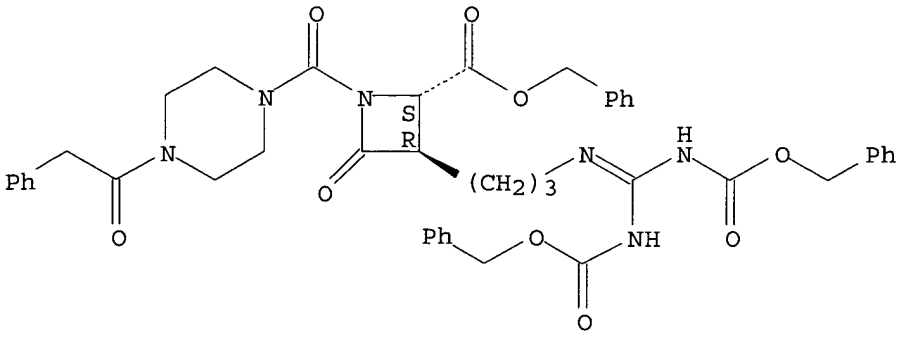
RN 253175-89-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-(2,2-dimethyl-1-oxopropyl)-1-piperazinyl]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253175-93-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(phenylacetyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

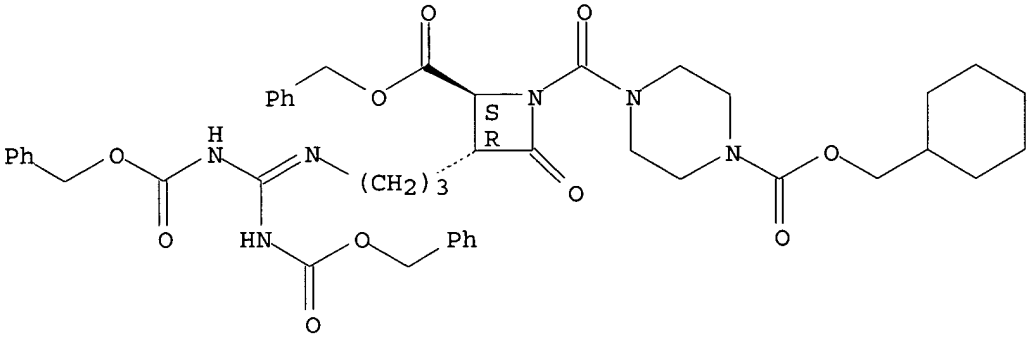
Absolute stereochemistry.



RN 253175-95-0 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, cyclohexylmethyl ester (9CI) (CA INDEX NAME)

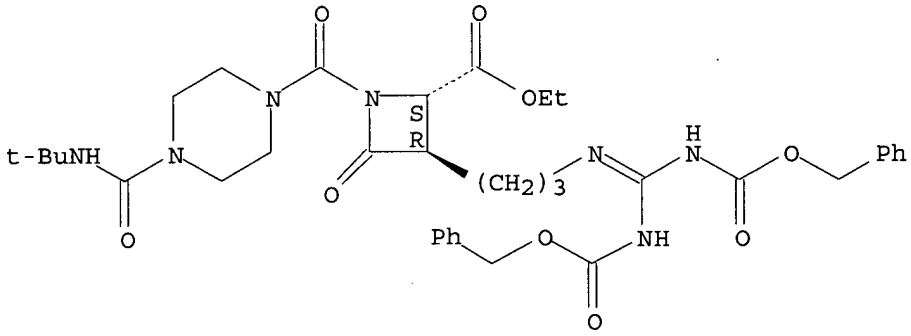
Absolute stereochemistry.



RN 253175-97-2 HCAPLUS

CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, ethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

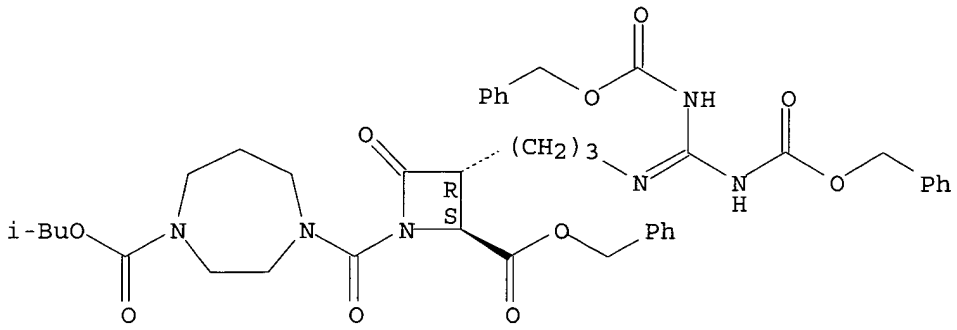
Absolute stereochemistry.



RN 253176-01-1 HCAPLUS

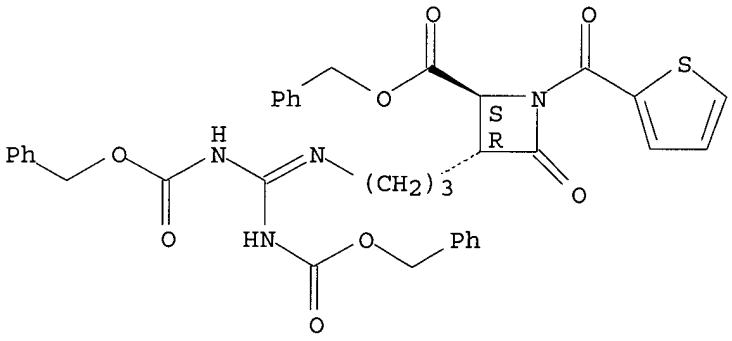
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]hexahydro-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



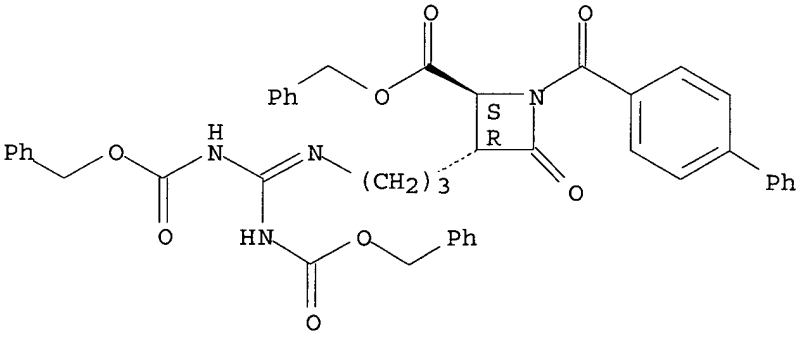
RN 253176-02-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-(2-thienylcarbonyl)-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253176-03-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-([1,1'-biphenyl]-4-ylcarbonyl)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

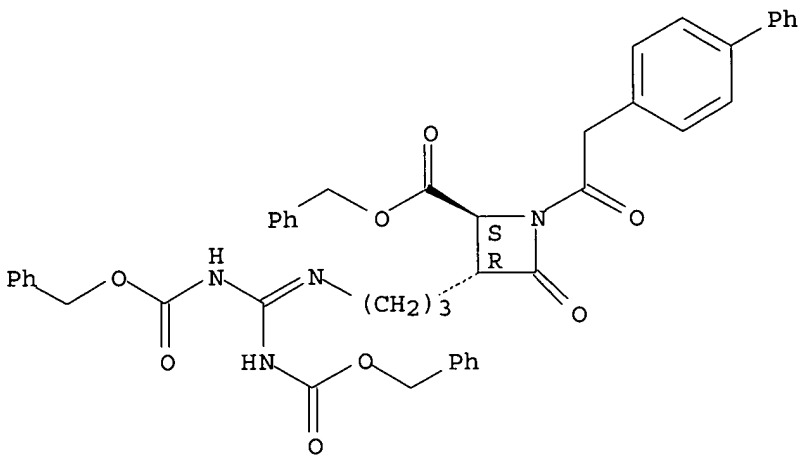
Absolute stereochemistry.



RN 253176-04-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-([1,1'-biphenyl]-4-ylacetyl)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-,

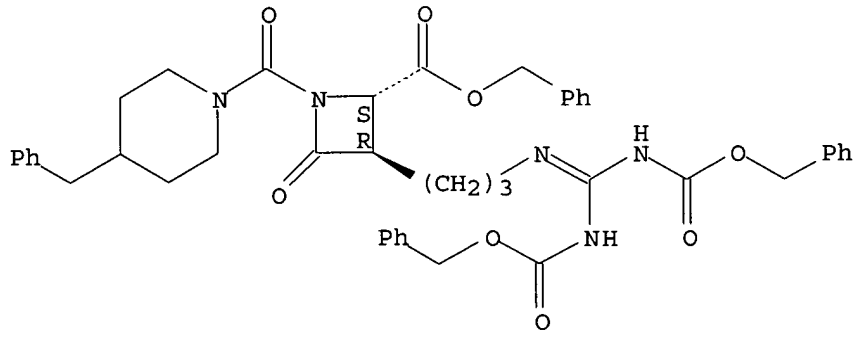
phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



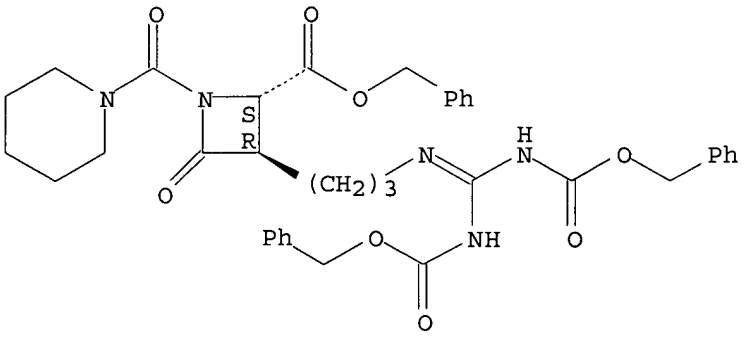
RN 253176-05-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(phenylmethyl)-1-piperidinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



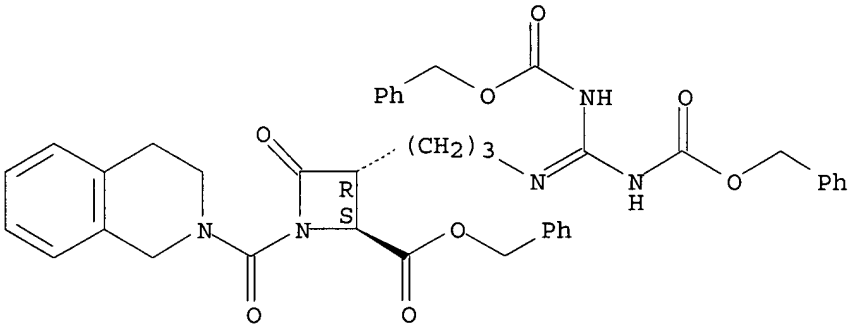
RN 253176-06-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-(1-piperidinylcarbonyl)-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



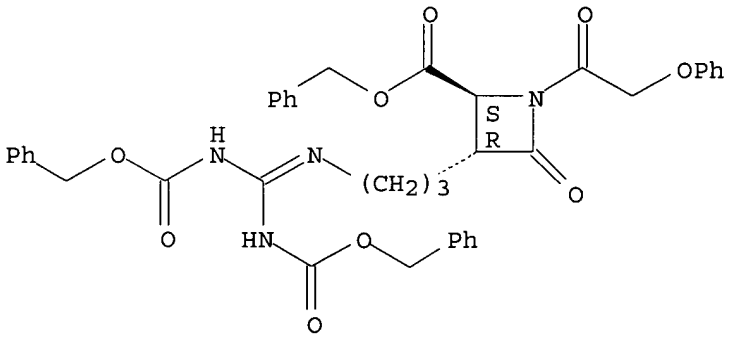
RN 253176-07-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253176-08-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-(phenoxyacetyl)-, phenylmethyl ester, (2S,3R)-(9CI) (CA INDEX NAME)

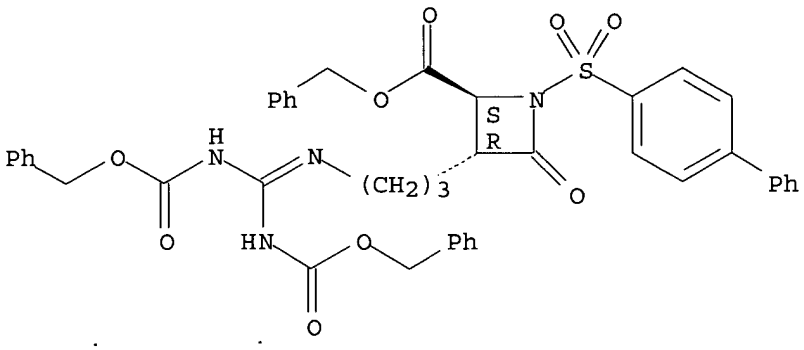
Absolute stereochemistry.



RN 253176-09-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-([1,1'-biphenyl]-4-ylsulfonyl)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-,

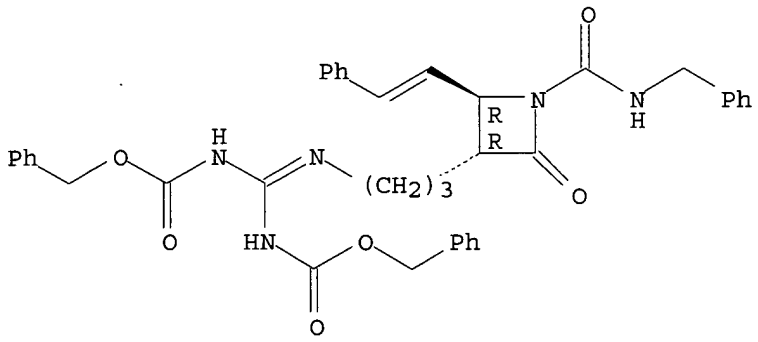
phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



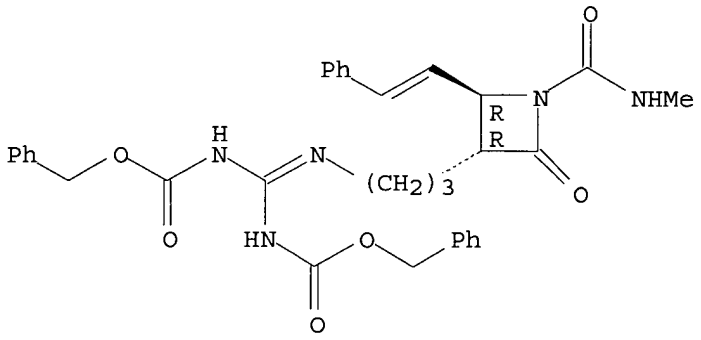
RN 253176-10-2 HCAPLUS
CN Carbamic acid, [[3-[(3R,4R)-2-oxo-4-(2-phenylethenyl)-1-[[(phenylmethyl)amino]carbonyl]-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



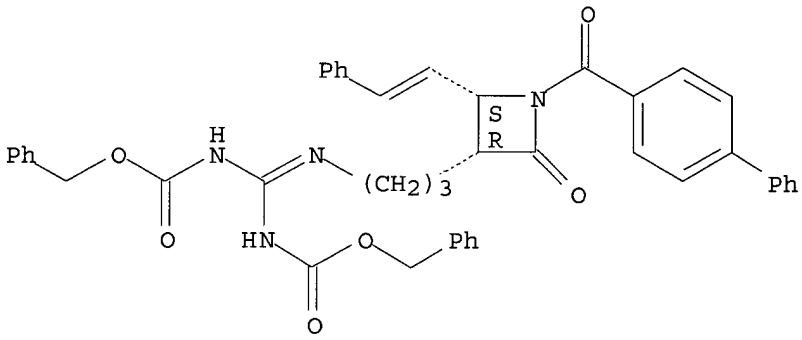
RN 253176-11-3 HCAPLUS
CN Carbamic acid, [[3-[(3R,4R)-1-[(methylamino)carbonyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



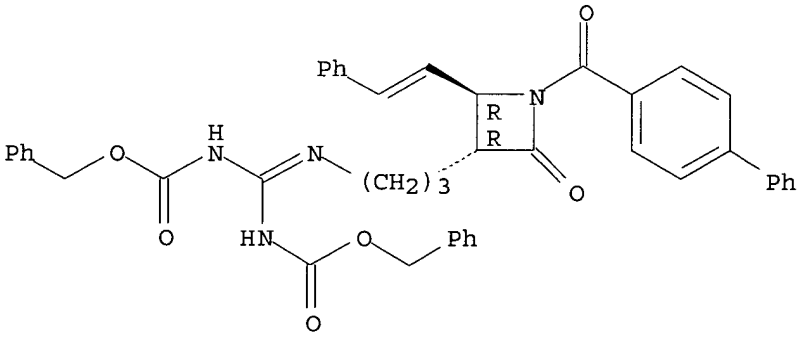
RN 253176-15-7 HCAPLUS
CN Carbamic acid, [[3-[(3R,4S)-1-([1,1'-biphenyl]-4-ylcarbonyl)-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



RN 253176-16-8 HCAPLUS
CN Carbamic acid, [[3-[(3R,4R)-1-([1,1'-biphenyl]-4-ylcarbonyl)-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

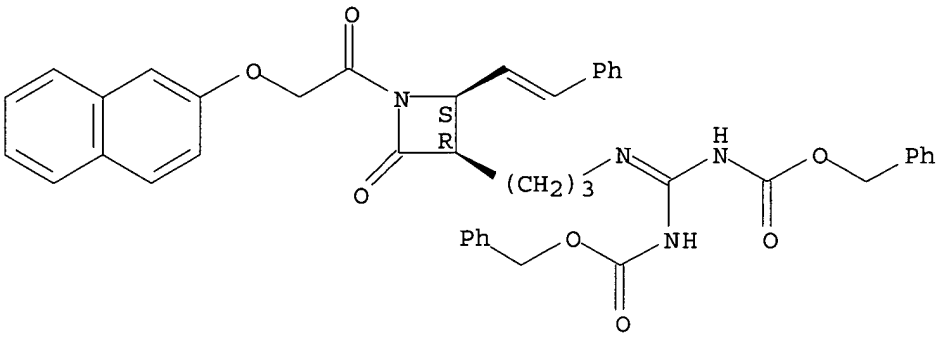
Absolute stereochemistry.
Double bond geometry unknown.



RN 253176-17-9 HCAPLUS

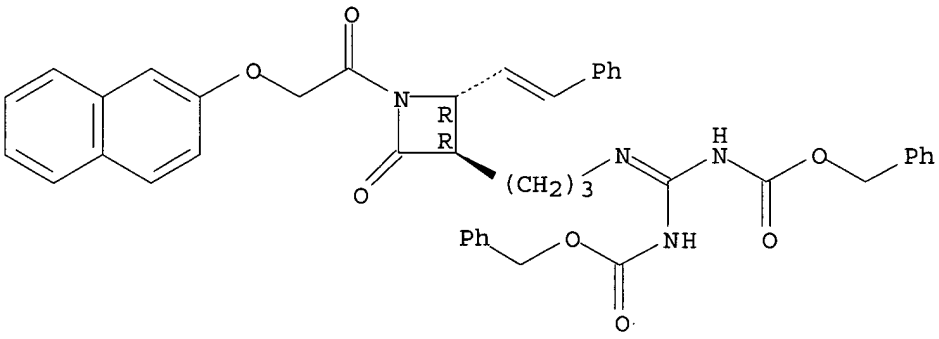
CN Carbamic acid, [[3-[(3R,4S)-1-[(2-naphthalenyloxy)acetyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



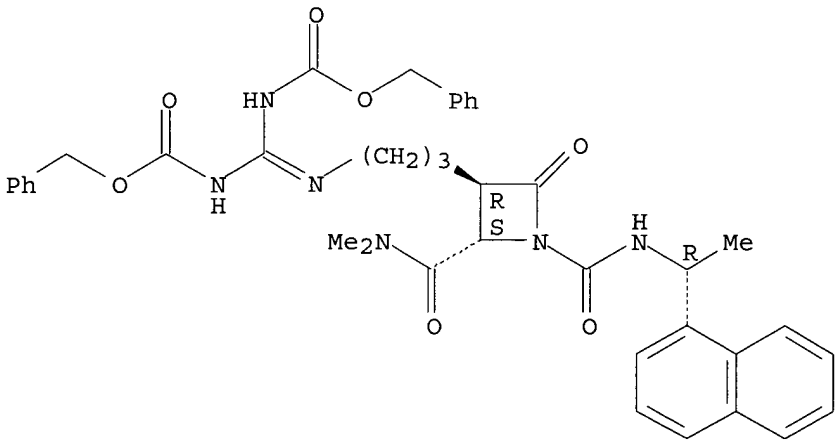
RN 253176-18-0 HCAPLUS
CN Carbamic acid, [[3-[(3R,4R)-1-[(2-naphthalenyloxy)acetyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



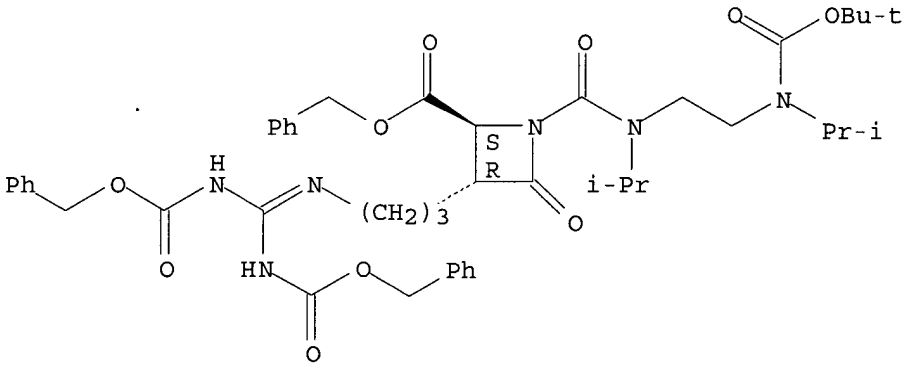
RN 253176-20-4 HCAPLUS
CN Carbamic acid, [[3-[(2S,3R)-2-[(dimethylamino)carbonyl]-1-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]-4-oxo-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



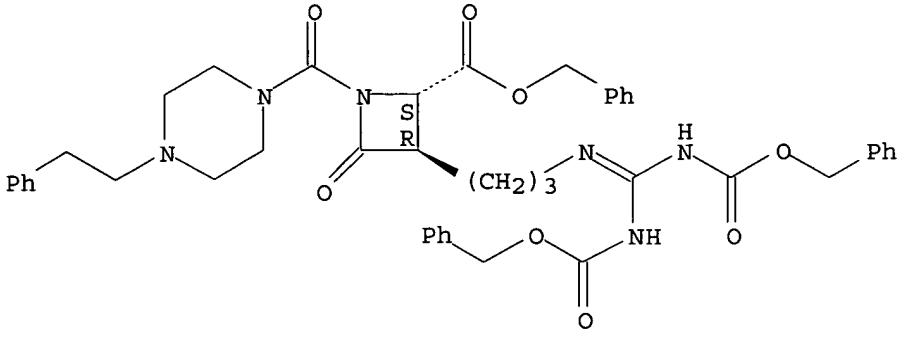
RN 253176-23-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[[2-[[(1,1-dimethylethoxy)carbonyl](1-methylethyl)amino]ethyl](1-methylethyl)amino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



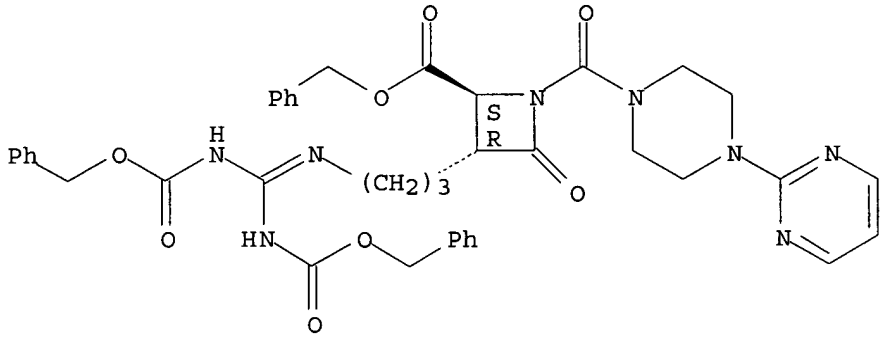
RN 253176-25-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(2-phenylethyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



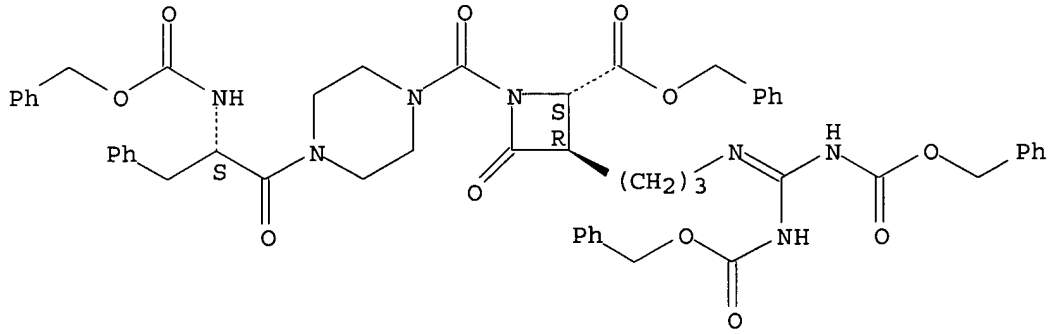
RN 253176-27-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(2-pyrimidinyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253176-31-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-[(2S)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

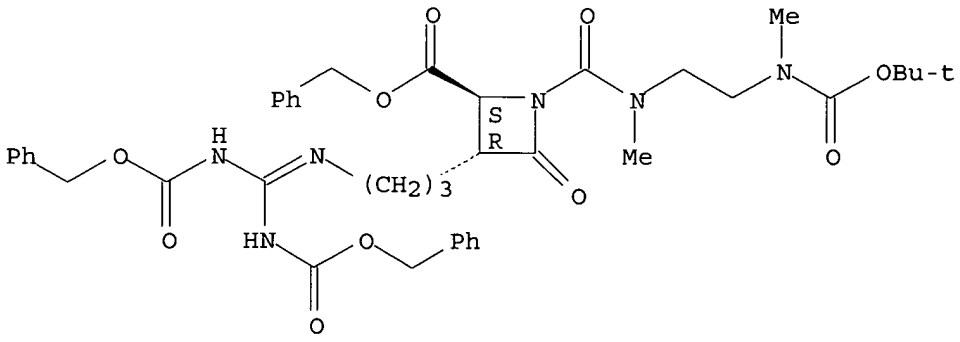
Absolute stereochemistry.



RN 253176-33-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]meth

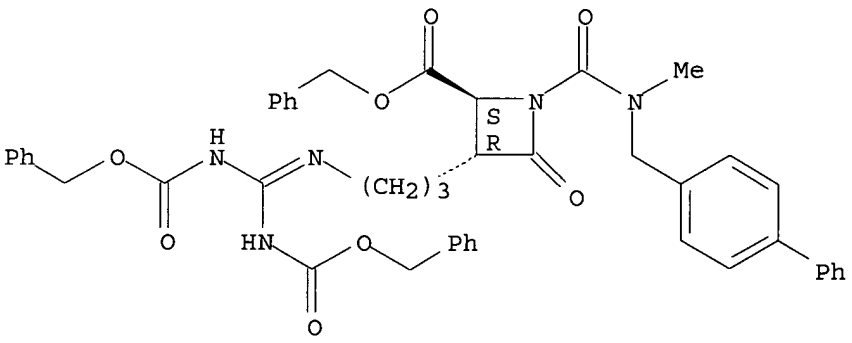
ylene]amino]propyl]-1-[[[2-[[(1,1-dimethylethoxy)carbonyl]methylamino]ethy
l]methylamino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



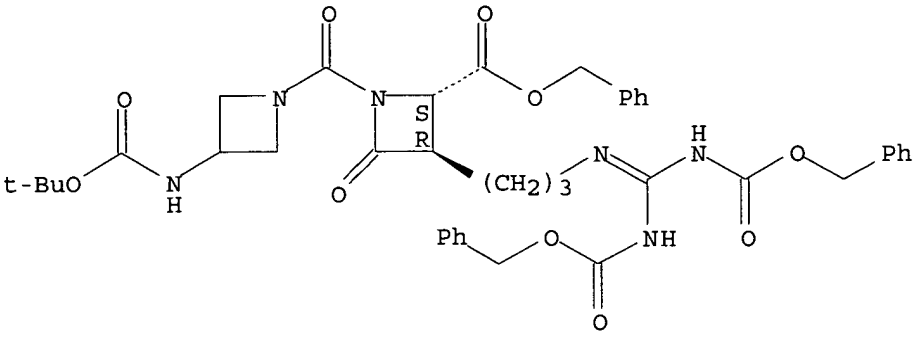
RN 253176-35-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[([1,1'-biphenyl]-4-
ylmethyl)methylamino]carbonyl]-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]m
ethylene]amino]propyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



RN 253176-37-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]meth
ylene]amino]propyl]-1-[[3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-
azetidinyl]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

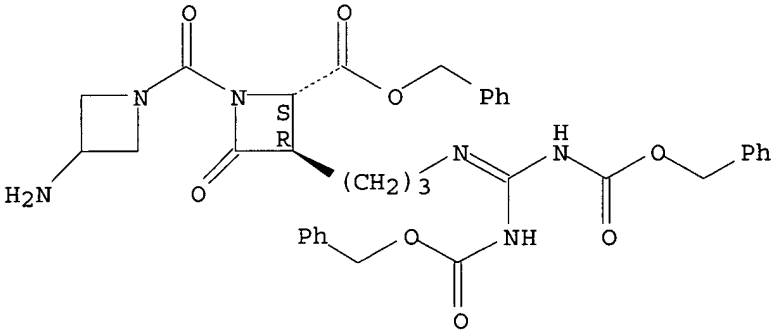


RN 253176-39-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(3-amino-1-azetidinyl)carbonyl]-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-, phenylmethyl ester, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

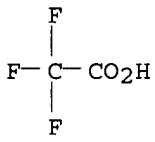
CRN 253176-38-4
CMF C35 H38 N6 O8

Absolute stereochemistry.



CM 2

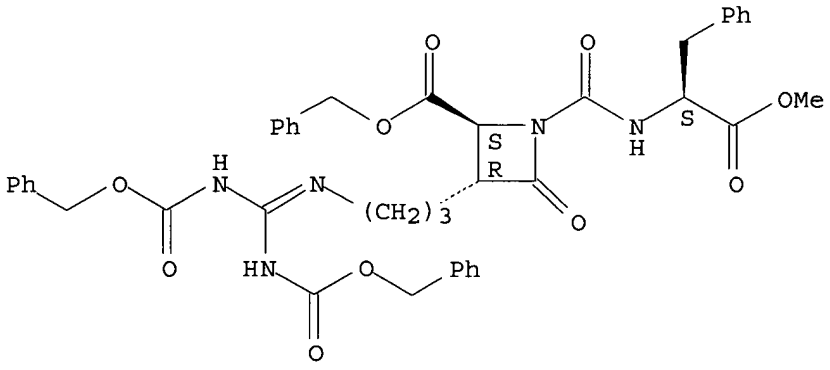
CRN 76-05-1
CMF C2 H F3 O2



RN 253176-40-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[[(1S)-2-methoxy-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)-

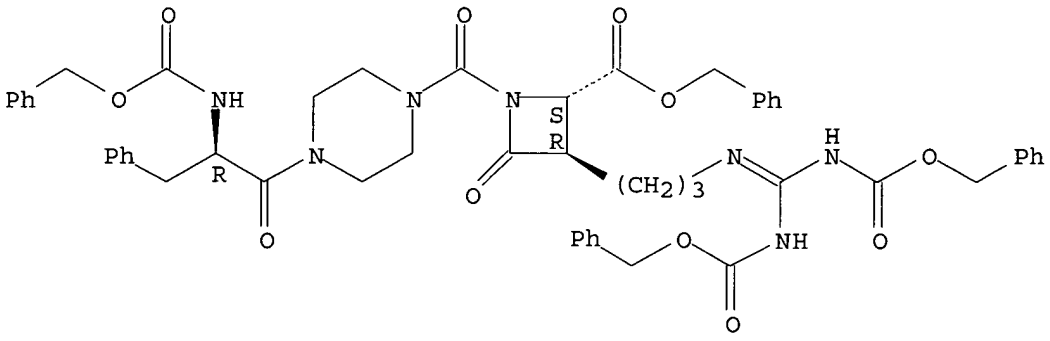
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



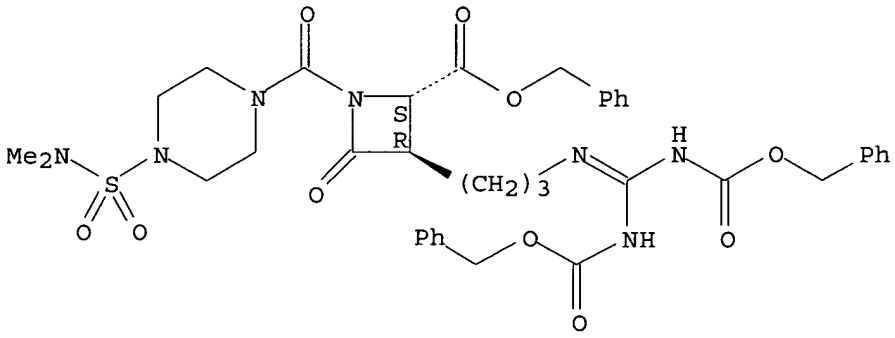
RN 253176-44-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-[(2R)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



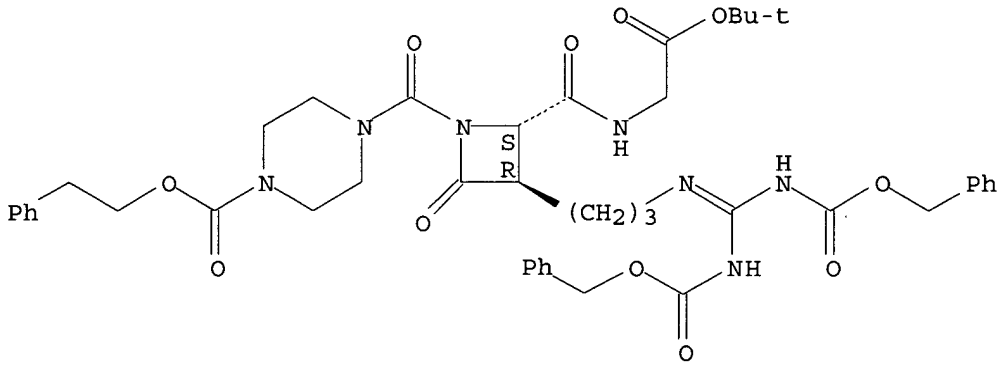
RN 253176-48-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-[(dimethylamino)sulfonyl]-1-piperazinyl]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



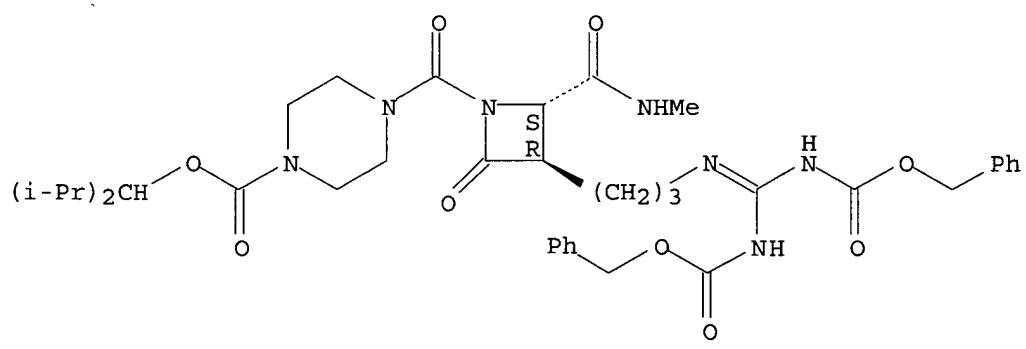
RN 253176-50-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]amino]carbonyl]-4-oxo-1-azetidinyl]carbonyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



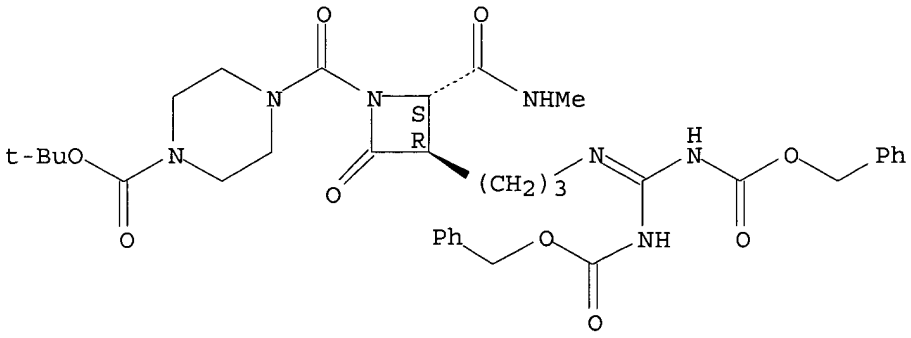
RN 253176-52-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-[(methylamino)carbonyl]-4-oxo-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



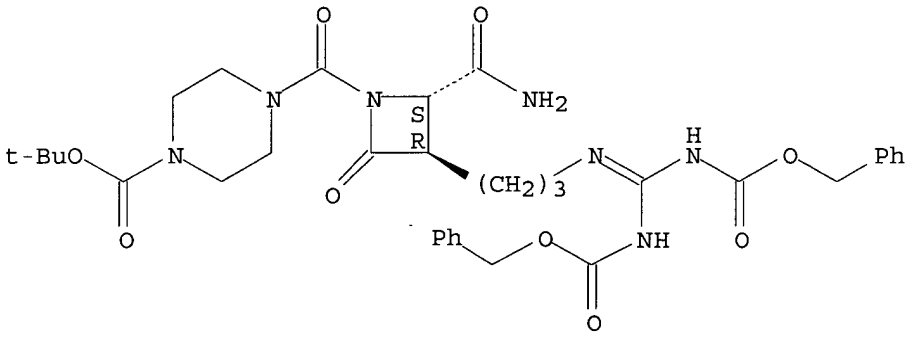
RN 253176-53-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-[(methylamino)carbonyl]-4-oxo-1-azetidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



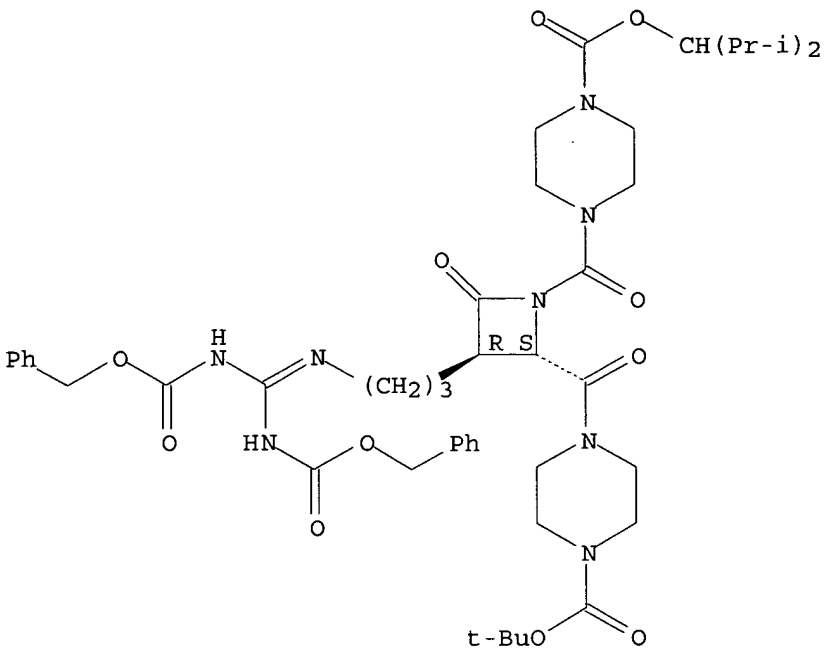
RN 253176-55-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-(aminocarbonyl)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-azetidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



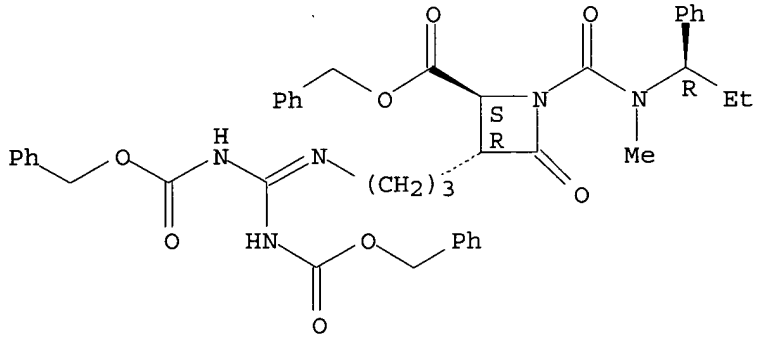
RN 253176-57-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-[[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



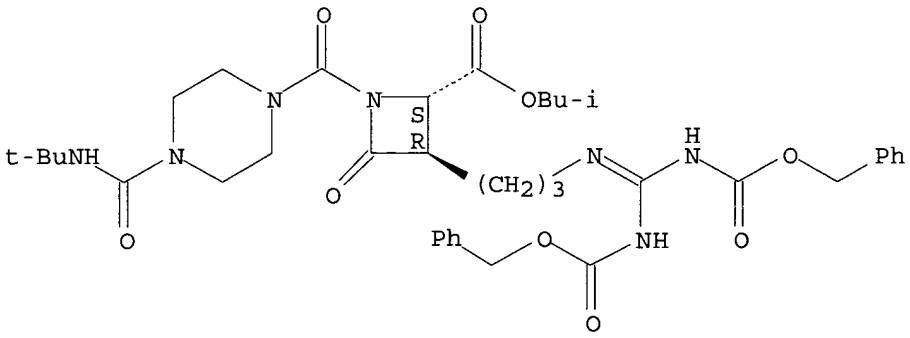
RN 253176-59-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[methyl[(1R)-1-phenylpropyl]amino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



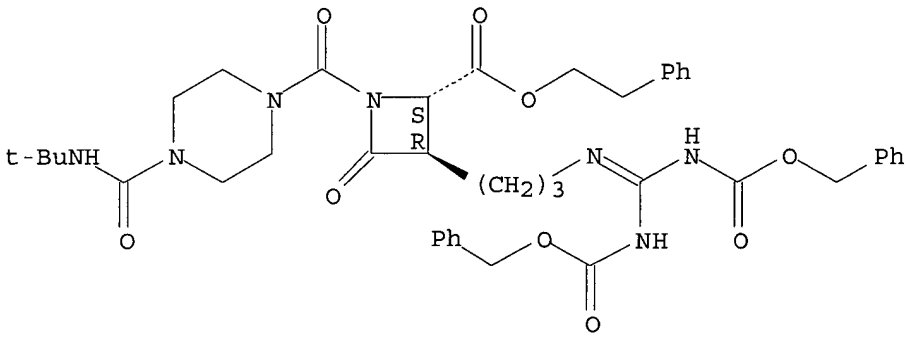
RN 253176-61-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, 2-methylpropyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



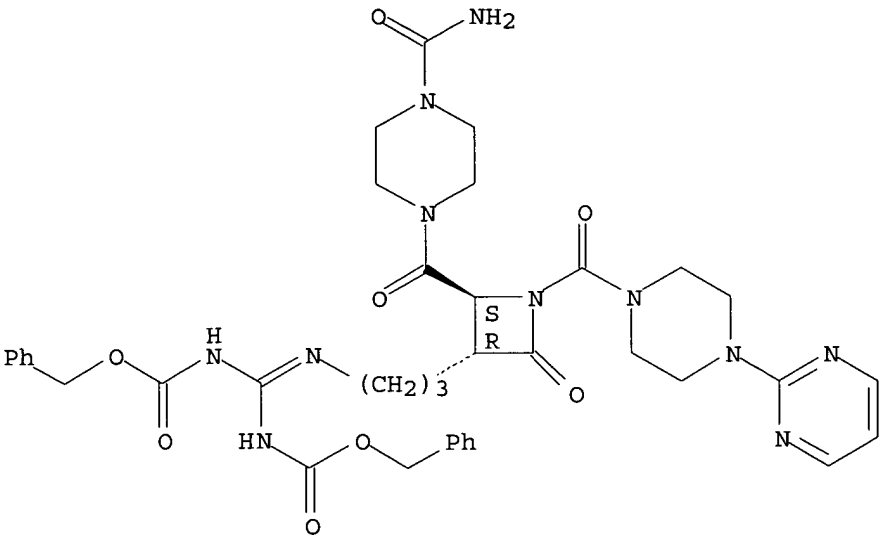
RN 253176-63-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, 2-phenylethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

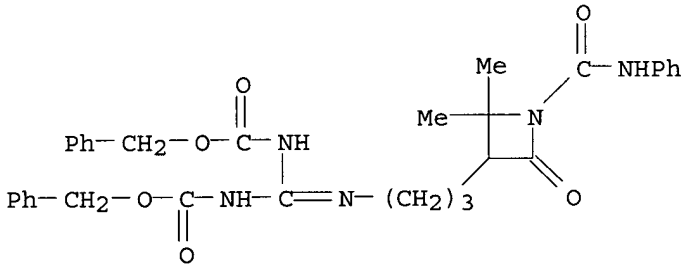


RN 253176-65-7 HCAPLUS
CN Carbamic acid, [[3-[(2S,3R)-2-[[4-(aminocarbonyl)-1-piperazinyl]carbonyl]-4-oxo-1-[[4-(2-pyrimidinyl)-1-piperazinyl]carbonyl]-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

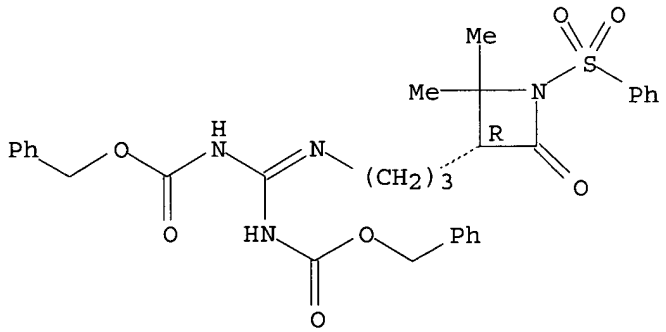


RN 253176-72-6 HCAPLUS
CN Carbamic acid, [[3-[2,2-dimethyl-4-oxo-1-[(phenylamino)carbonyl]-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



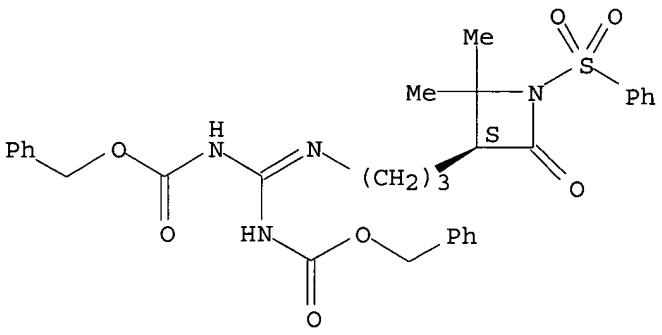
RN 253176-75-9 HCAPLUS
CN Carbamic acid, [[3-[(3R)-2,2-dimethyl-4-oxo-1-(phenylsulfonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



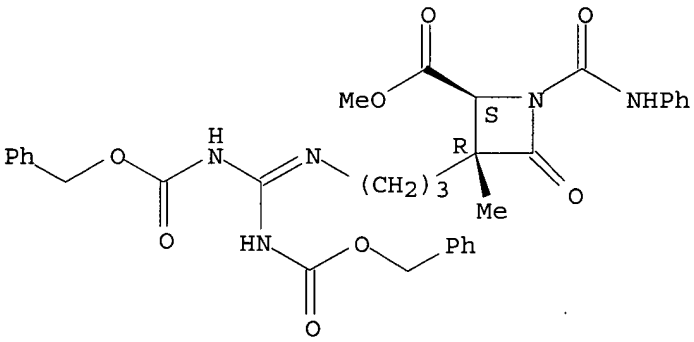
RN 253176-76-0 HCAPLUS
CN Carbamic acid, [[3-[(3S)-2,2-dimethyl-4-oxo-1-(phenylsulfonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



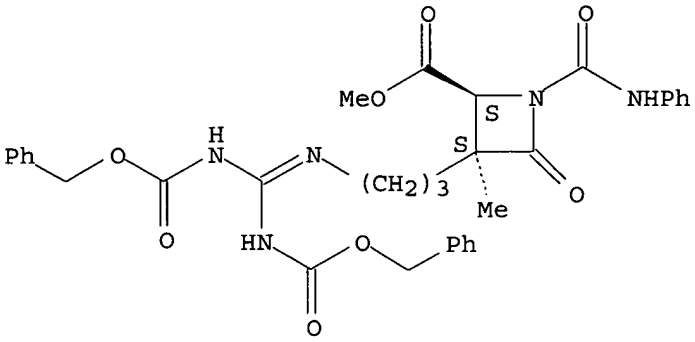
RN 253176-84-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-3-methyl-4-oxo-1-[(phenylamino)carbonyl]-, methyl ester, (2R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



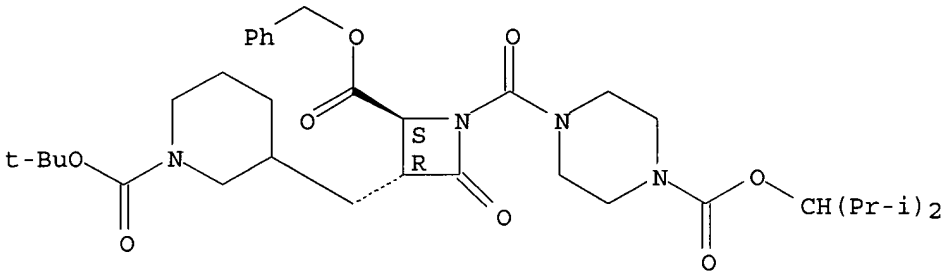
RN 253176-92-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-3-methyl-4-oxo-1-[(phenylamino)carbonyl]-, methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 253177-07-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[[1-[(1,1-dimethylethoxy)carbonyl]-3-piperidinyl]methyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

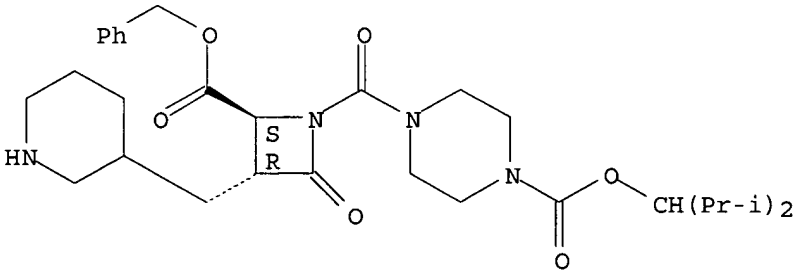


RN 253177-09-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-(3-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

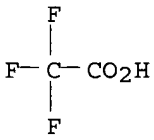
CRN 253177-08-1
CMF C30 H44 N4 O6

Absolute stereochemistry.



CM 2

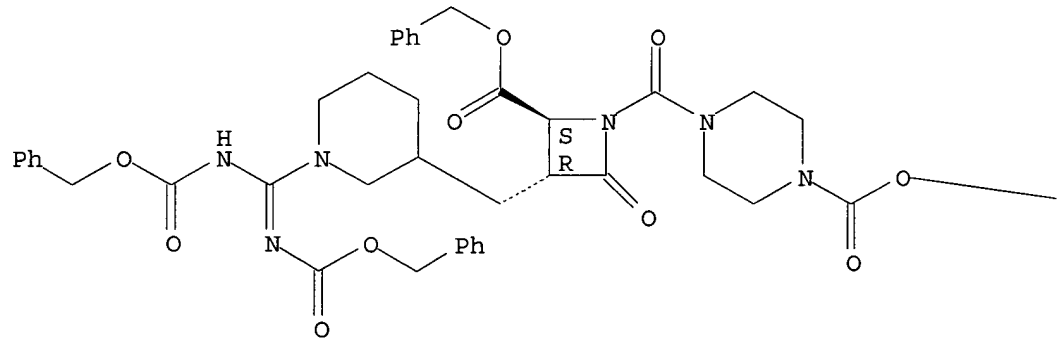
CRN 76-05-1
CMF C2 H F3 O2



RN 253177-10-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

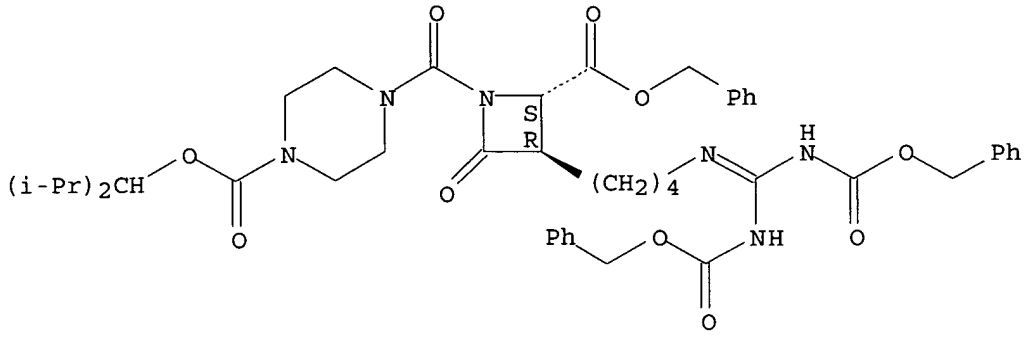


PAGE 1-B

—CH(Pr-i)2

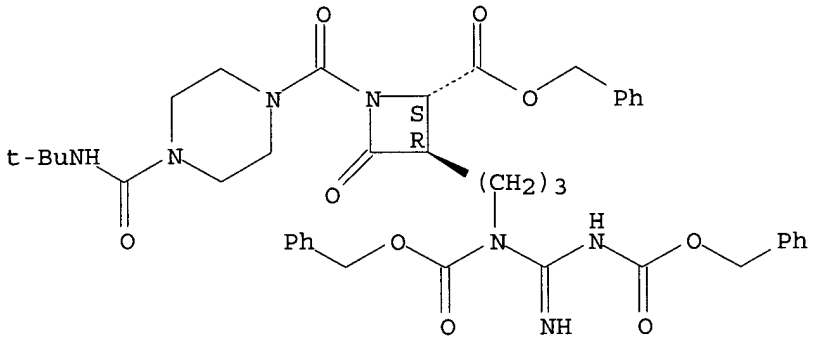
RN 253177-17-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[4-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]butyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



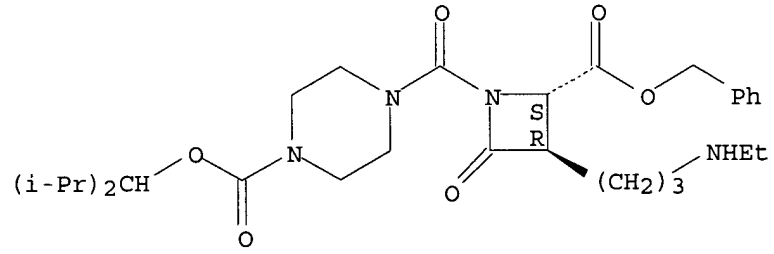
RN 253177-28-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-3-[3-[[imino[[(phenylmethoxy)carbonyl]amino]methyl][(phenylmethoxy)carbonyl]amino]propyl]-4-oxo-, phenylmethyl ester, (2S,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



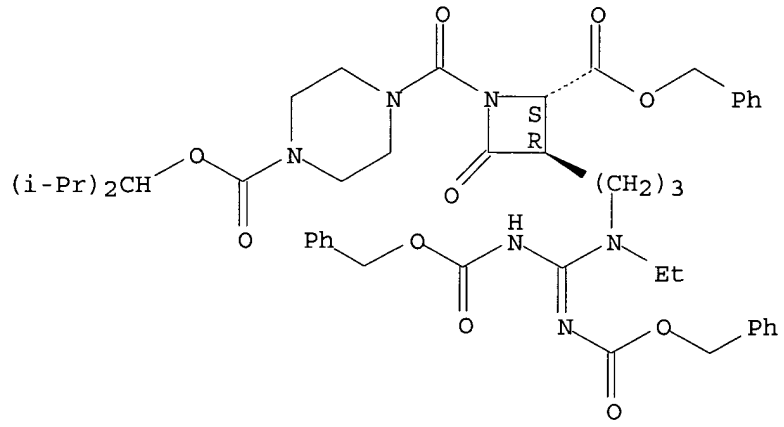
RN 253177-35-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-(ethylamino)propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



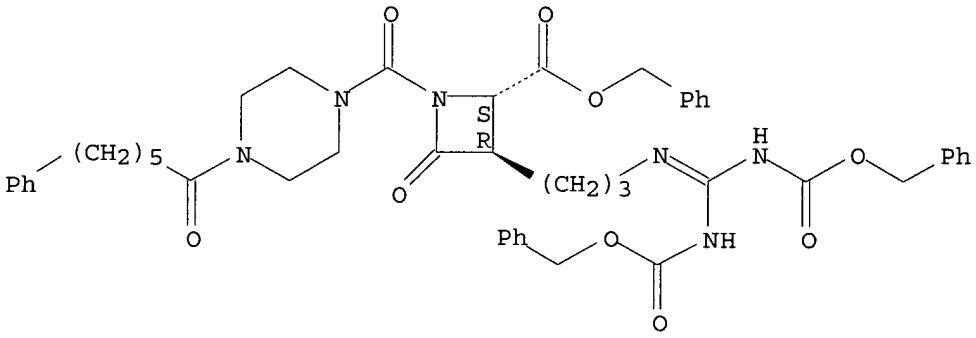
RN 253177-36-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[ethyl[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



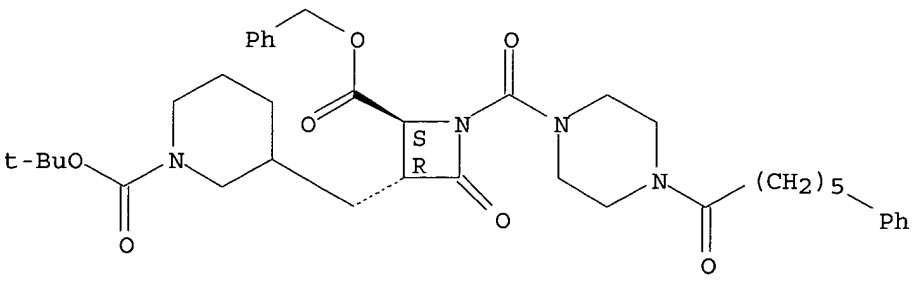
RN 253177-38-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253177-39-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[(3R,4S)-2-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-4-[(phenylmethoxy)carbonyl]-3-azetidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

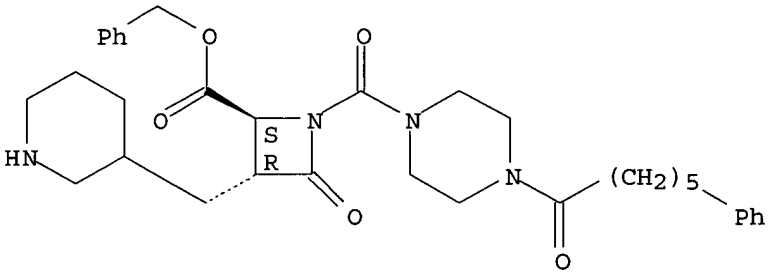


RN 253177-41-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-(3-piperidinylmethyl)-, phenylmethyl ester, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

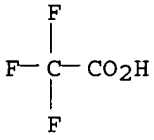
CRN 253177-40-1
CMF C34 H44 N4 O5

Absolute stereochemistry.



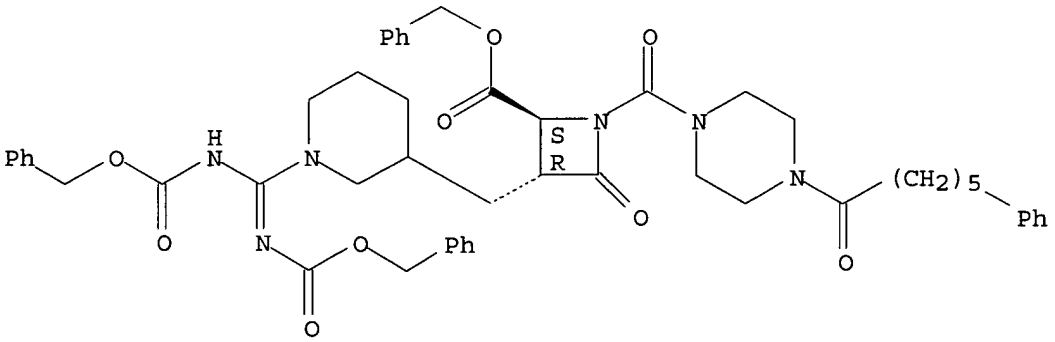
CM 2

CRN 76-05-1
CMF C2 H F3 O2

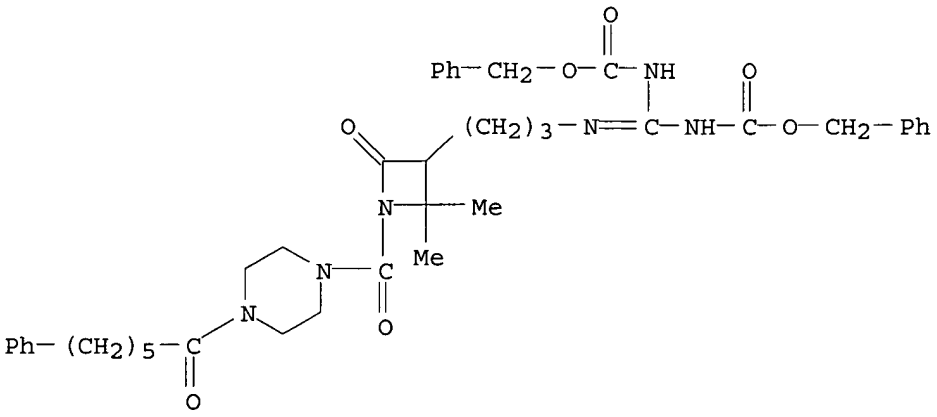


RN 253177-42-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253177-43-4 HCAPLUS
CN Carbamic acid, [[3-[2,2-dimethyl-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

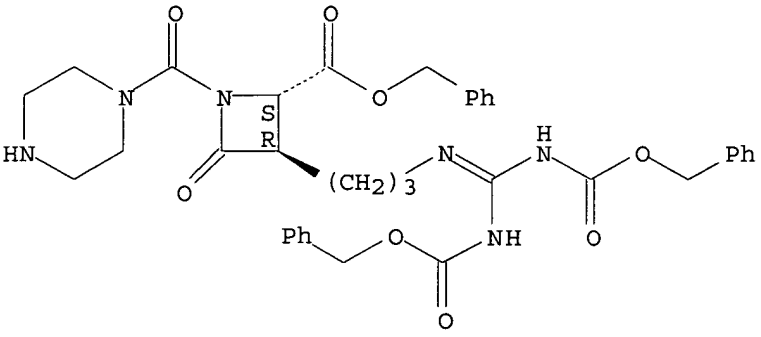


RN 384829-93-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-(1-piperazinylcarbonyl)-, phenylmethyl ester, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

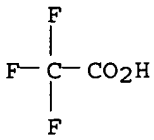
CRN 253175-68-7
CMF C36 H40 N6 O8

Absolute stereochemistry.



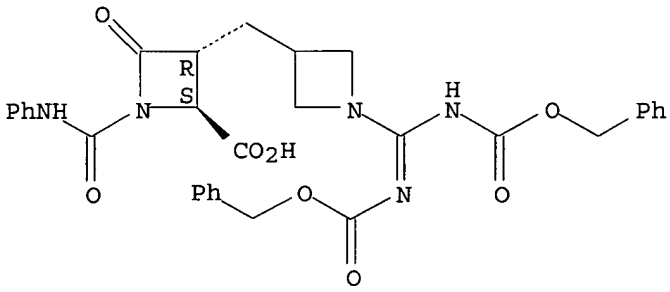
CM 2

CRN 76-05-1
CMF C2 H F3 O2



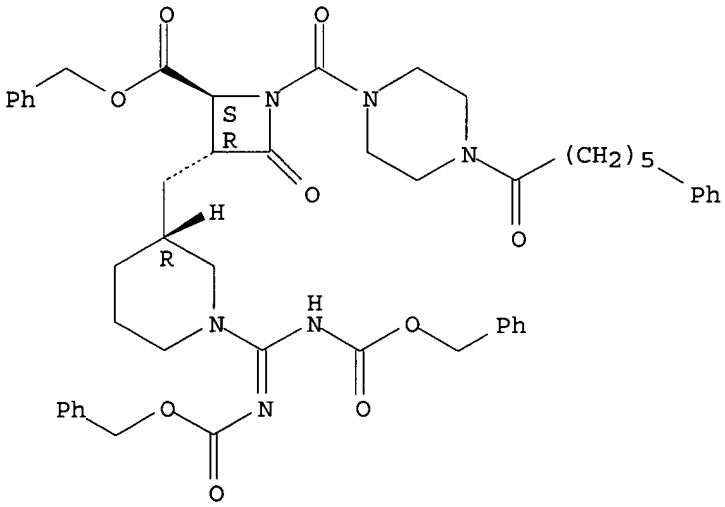
RN 384829-96-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[(phenylamino)carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-azetidinyl]methyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



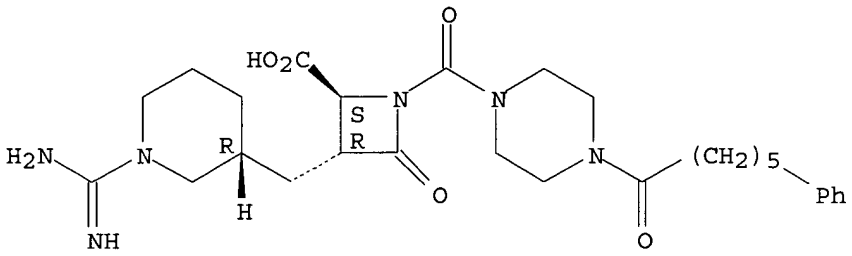
RN 384830-06-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-[[(3R)-1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 384830-07-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[(3R)-1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

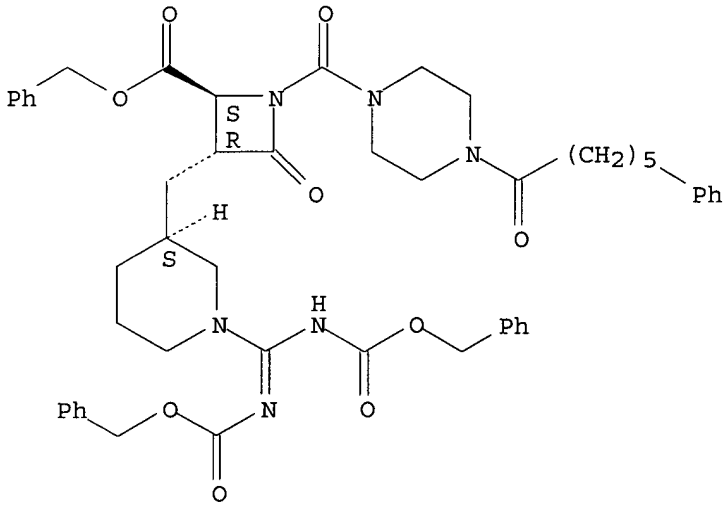
Absolute stereochemistry.



● HCl

RN 384830-11-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-[[(3S)-1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

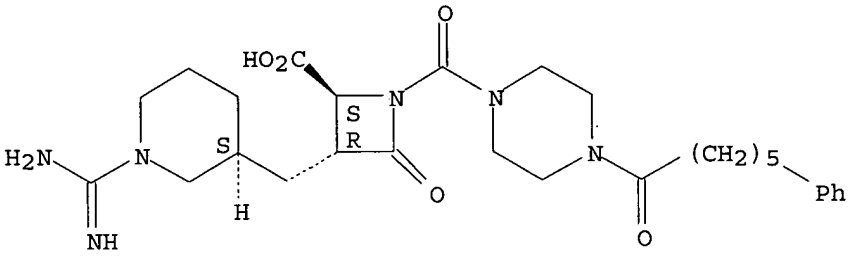


RN 384830-12-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[(3S)-1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

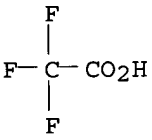
CRN 384829-66-7
CMF C28 H40 N6 O5

Absolute stereochemistry.



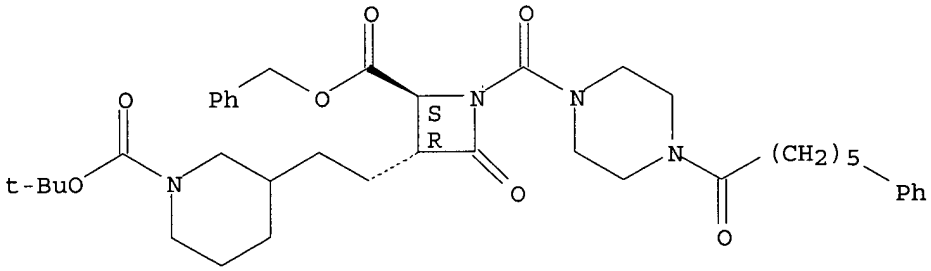
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 384830-14-2 HCAPLUS
CN 1-Piperidinecarboxylic acid, 3-[2-[(3R,4S)-2-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-4-[(phenylmethoxy)carbonyl]-3-azetidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

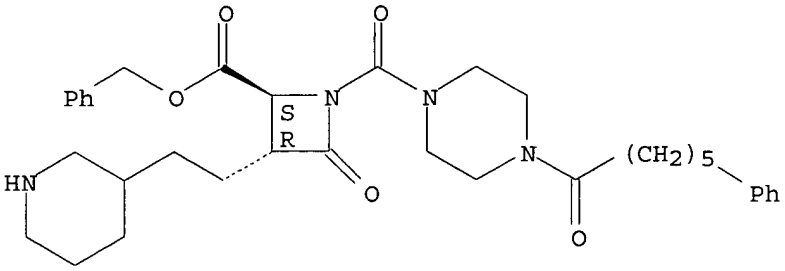


RN 384830-16-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-[2-(3-piperidinyl)ethyl]-, phenylmethyl ester, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

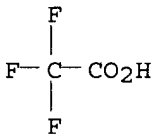
CRN 384830-15-3
CMF C35 H46 N4 O5

Absolute stereochemistry.



CM 2

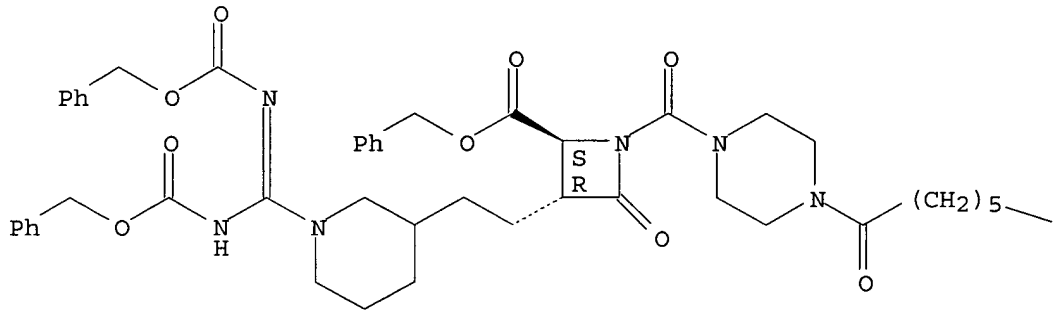
CRN 76-05-1
CMF C2 H F3 O2



RN 384830-17-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-[2-[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]ethyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

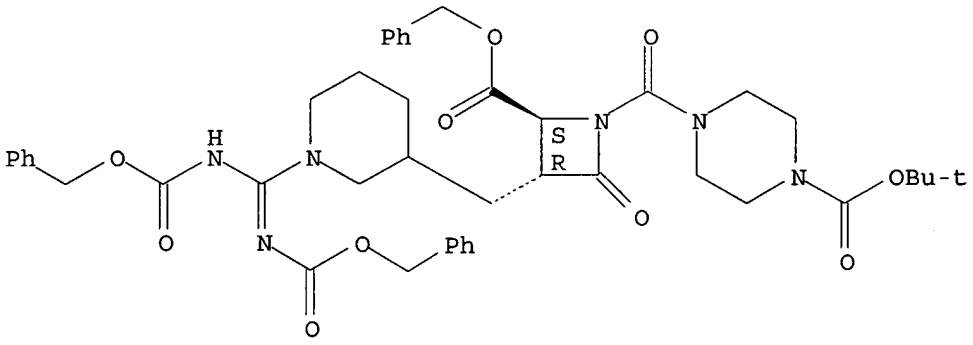
PAGE 1-A



Ph

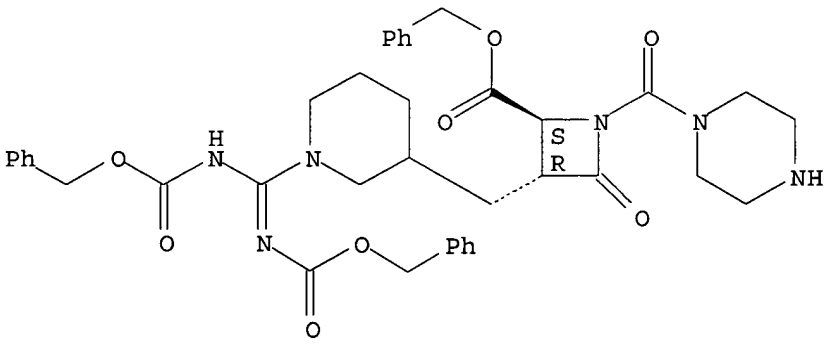
RN 384830-19-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-1-azetidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 384830-20-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-1-(1-piperazinylcarbonyl)-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

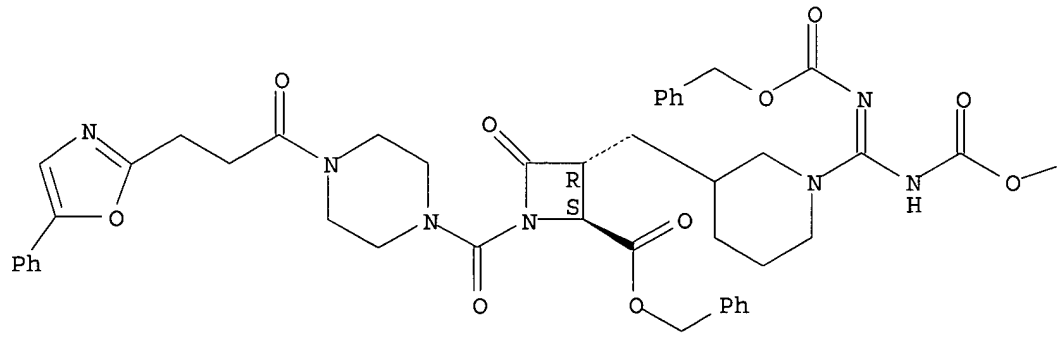


RN 384830-21-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[1-oxo-3-(5-phenyl-2-oxazolyl)propyl]-1-piperazinyl]carbonyl]-3-[[1-

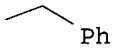
[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



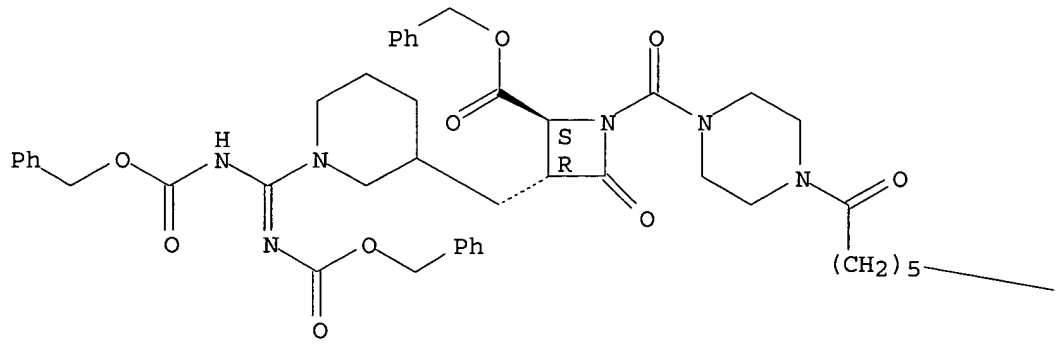
RN 384830-23-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[1-oxo-6-(1-piperidinyl)hexyl]-1-piperazinyl]carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

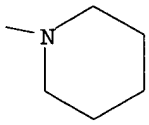
CRN 384830-22-2
CMF C50 H63 N7 O9

Absolute stereochemistry.

PAGE 1-A

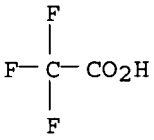


PAGE 1-B



CM 2

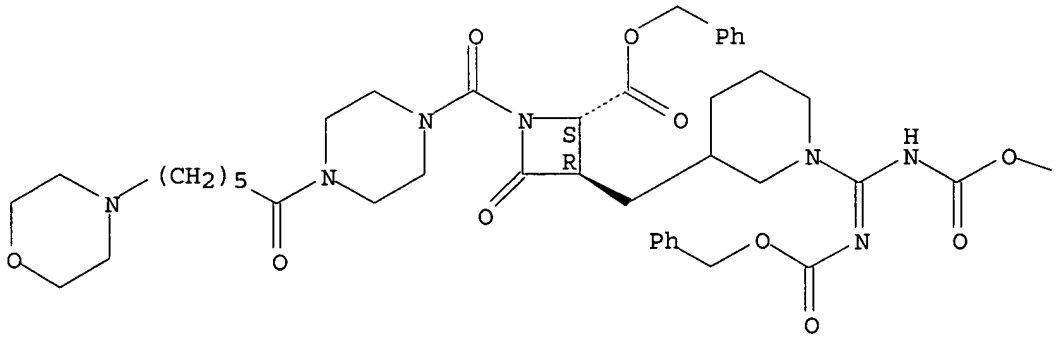
CRN 76-05-1
CMF C2 H F3 O2



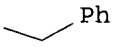
RN 384830-24-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[6-(4-morpholinyl)-1-oxohexyl]-1-piperazinyl]carbonyl]-4-oxo-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

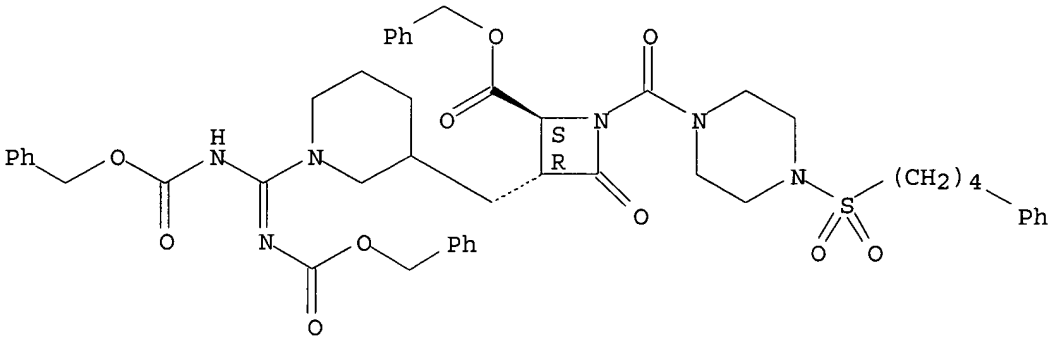


PAGE 1-B



RN 384830-25-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(4-phenylbutyl)sulfonyl]-1-piperazinyl]carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

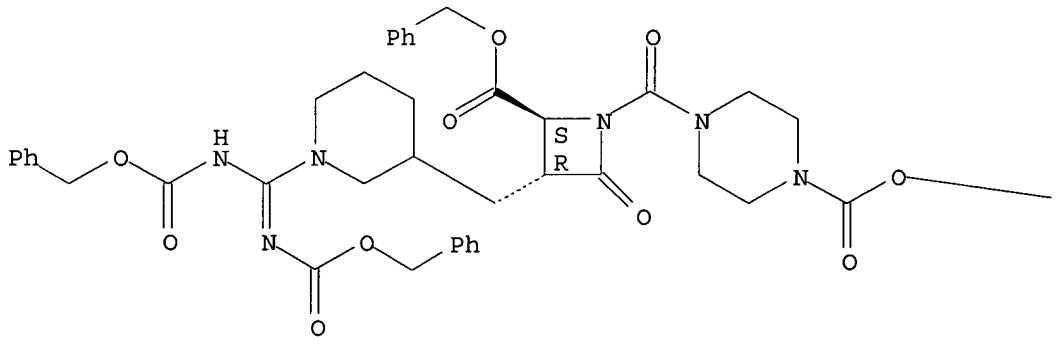
Absolute stereochemistry.



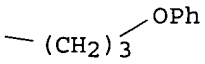
RN 384830-26-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-1-azetidinyl]carbonyl]-, 3-phenoxypropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



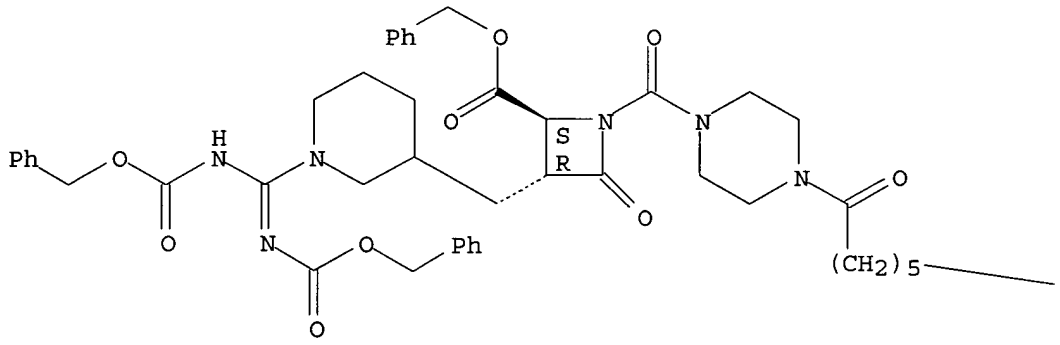
PAGE 1-B



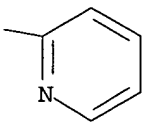
RN 384830-31-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[1-oxo-6-(2-pyridinyl)hexyl]-1-piperazinyl]carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



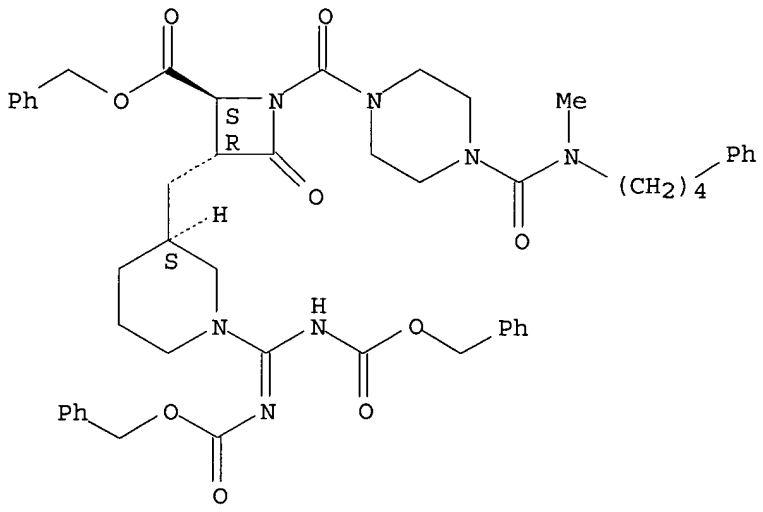
PAGE 1-B



RN 384830-34-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[methyl(4-phenylbutyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-[[(3S)-1-[[[(phenylmethoxy)carbonyl]amino][[

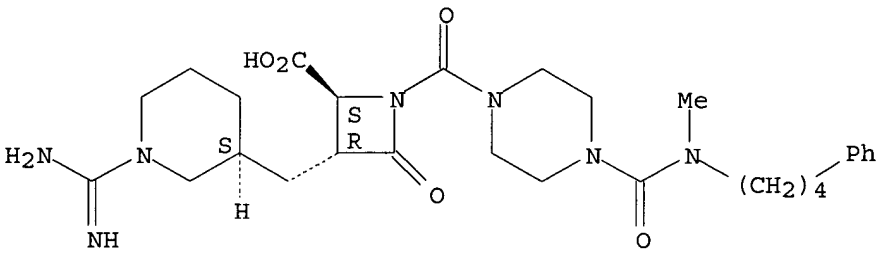
(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 384830-35-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[(3S)-1-(aminoiminomethyl)-3-piperidinyl]methyl]-1-[[4-[[methyl(4-phenylbutyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

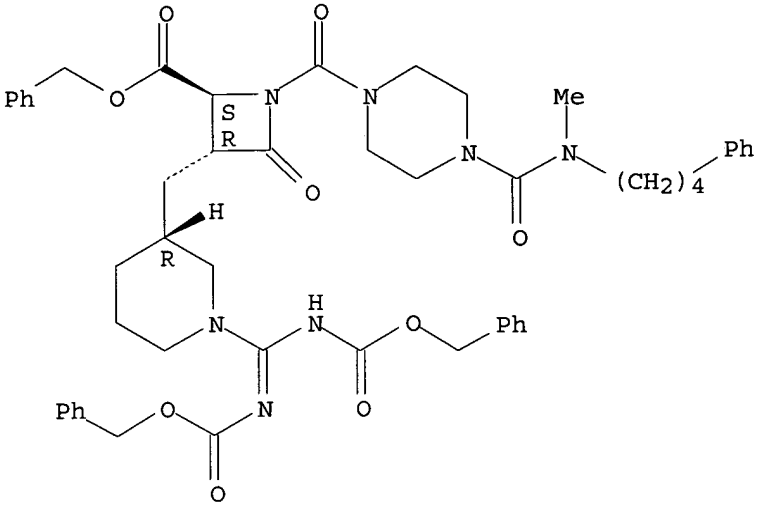
Absolute stereochemistry.



● HCl

RN 384830-36-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[methyl(4-phenylbutyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-[[(3R)-1-[[[(phenylmethoxy)carbonyl]amino][(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

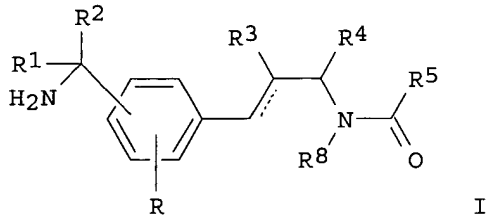
L6 ANSWER 17 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:863510 HCAPLUS
DOCUMENT NUMBER: 136:5913
TITLE: Preparation of substituted N-[(aminoiminomethyl or aminomethyl)phenyl]propyl amides as Factor Xa inhibitors
INVENTOR(S): Klein, Scott I.; Guertin, Kevin R.; Spada, Alfred P.; Pauls, Heinz W.; Gong, Yong; Mcgarry, Daniel G.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: U.S., 131 pp., Cont.-in-part of U.S. Ser. No. 884,405.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6323227	B1	20011127	US 1999-259528	19990226
US 6080767	A	20000627	US 1997-884405	19970627
WO 9900356	A1	19990107	WO 1998-US13550	19980626

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	US 1996-9485P	P 19960102
	US 1997-884405	A2 19970627
	WO 1998-US13550	A1 19980626
	WO 1996-US20770	A2 19961223

OTHER SOURCE(S): MARPAT 136:5913
GI



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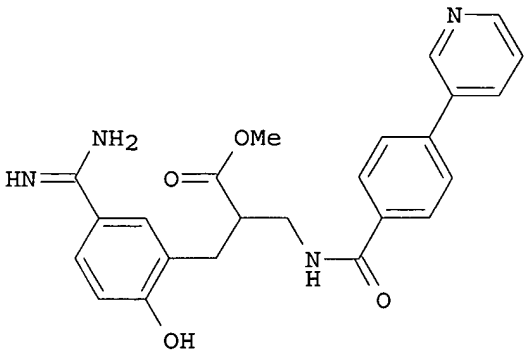


II

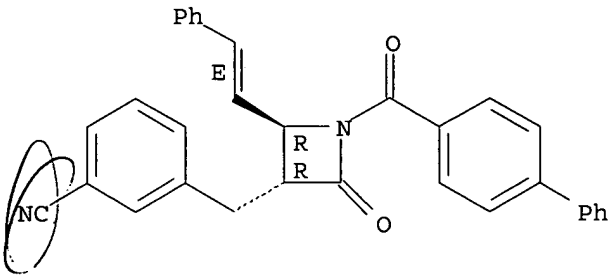
AB Title compds. I [R = H, OH, NH2; R1 = R2 = H; or R1R2 = :NR9; R3 = H, CO2R6, COR6, CON(R6)2, CH2OR7, CH2SR7; R4 = H, alkyl, alkyl-Q, thioheterocyclyl, (CH2CH2)nAr, (CH:CH)nAr, CH2Ar; R5 = alk(en/yn)yl, cycloalk(en)yl, heterocycl(en)yl, aryl, heteroaryl, fused systems, etc.; R6 = H, lower alkyl; R7 = H, lower alkyl, aralkyl, lower acyl, aroyl, heteroaroyl; R8 = H, lower alkyl; R9 = H, R10O2C, R10O, HO, cyano, R10CO, OHC, lower alkyl, O2N, Y1'Y2'N; R10 = alkyl, aralkyl, heteroaralkyl; Y1', Y2' = H, alkyl; Q = R7O, R7S, Y1Y2N; Y1, Y2 = H, alkyl, aryl, aralkyl; or one of Y1 and Y2 = acyl or aroyl and the other is as given; Ar = aryl or heteroaryl; n = 0-2] and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates are useful as Factor Xa inhibitors. For example, 4-(pyridin-3-yl)benzoic acid was amidated with tert-Bu 3-aminopropionate-HCl via the acid chloride, and the resulting β-acylamino ester underwent a sequence of (1) α-alkylation with 5-iodo-2-[(2-methoxyethoxy)methoxy]benzyl bromide, (2) acidic deprotection of the MEM group, and conversion to the Me ester, (3) Pd-catalyzed cyanation of the iodide, and (4) Pinner reaction and ammonolysis of the nitrile, to give title compound II. Three example compds. showed Ki values of 19.0-94.0 nM in a Factor Xa assay, 46 nM to 1.72 μM in a trypsin assay, and 477 nM to 2.71 μM in a thrombin assay.

IT **193151-15-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted [(aminoiminomethyl)- or [(aminomethyl)phenyl]propyl amides as Factor Xa inhibitors)

RN 193151-15-4 HCAPLUS

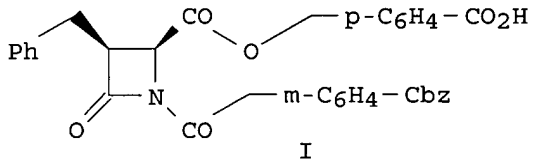
CN 2-Azetidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-3-[(3-cyanophenyl)methyl]-4-[(1E)-2-phenylethenyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:234525 HCAPLUS
DOCUMENT NUMBER: 135:19460
TITLE: Design, Synthesis, and Proposed Active Site Binding Analysis of Monocyclic 2-Azetidinone Inhibitors of Prostate Specific Antigen
AUTHOR(S): Adlington, Robert M.; Baldwin, Jack E.; Becker, Gerald W.; Chen, Beining; Cheng, Leifeng; Cooper, Stephen L.; Hermann, Robert B.; Howe, Trevor J.; McCoull, William; McNulty, Ann M.; Neubauer, Blake L.; Pritchard, Gareth J.
CORPORATE SOURCE: The Dyson Perrins Laboratory, University of Oxford, Oxford, OX1 3QY, UK
SOURCE: Journal of Medicinal Chemistry (2001), 44(10), 1491-1508
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:19460
GI



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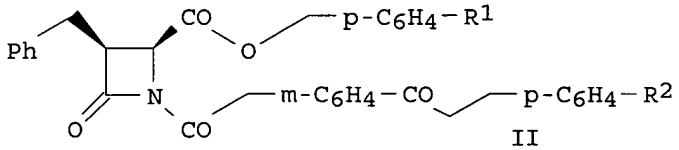
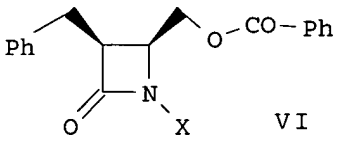


II



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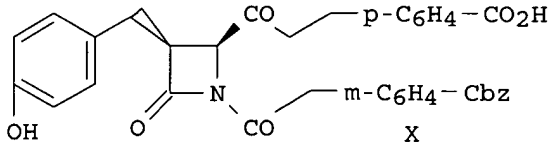


X

AB A homol. derived mol. model of prostate specific antigen (PSA) was created and refined. The active site region was investigated for specific interacting functionality and a binding model postulated for the novel

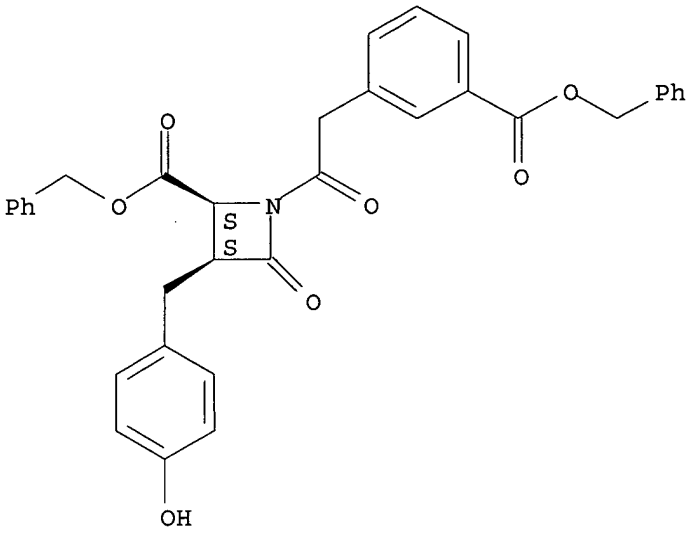
2-azetidinone acyl enzyme inhibitor (I) (IC50 = 8.98 ± 0.90 μM) which was used as a lead compound in this study. A single low energy conformation structure (Figure 2) was adopted as most likely to represent binding after minimization and dynamics calcns. Systematic anal. of the binding importance of all three side chains appended to the 2-azetidinone was conducted by the synthesis of several analogs. A proposed salt bridge to Lys-145 with (II) (R1=R2 = CO2H) (III) (IC50 = 5.84 ± 0.92 μM) gave improved inhibition, but generally the binding of the N-1 side chain in a specific secondary aromatic binding site did not tolerate much structural alteration. A hydrophobic interaction of the C-4 side chain afforded inhibitor II (R1=R2 = H) (IV) (IC50 = 1.43 ± 0.19 μM), and polar functionality could also be added in a proposed interaction with Gln-166 in II (R1 = CH2NHTFA, R2 = H) (V) (IC50 = 1.34 ± 0.05 μM). Reversal of the C-4 ester connectivity furnished inhibitors (VI) (X = COPh) (VII) (IC50 = 1.59 ± 0.15 μM), VI (X = SO2Ph) (VIII) (IC50 = 3.08 ± 0.41 μM), and VI (X = SO2Et) (IX) (IC50 = 2.19 ± 0.36 μM) which were perceived to bind to PSA by a rotation of 180° relative to the C-4 ester of normal connectivity. Incorporation of hydroxyl functionality into the C-3 side chain provided racemic (X) (IC50 = 348 ± 50 nM) with the greatest increase in PSA inhibition by a single modification. Multiple copy simultaneous search (MCSS) anal. of the PSA active site further supported our model and suggested that asym. X would bind strongly and asym. synthesis yielded X (IC50 = 226 ± 10 nM) as the most potent inhibitor of PSA reported to date. It is concluded that our design approach has been successful in developing PSA inhibitors and could also be applied to the inhibition of other enzymes, especially in the absence of crystallog. information.

IT **193959-22-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(design, synthesis, and proposed active site binding anal. of monocyclic 2-azetidinone inhibitors of prostate-specific antigen)

RN 193959-22-7 HCAPLUS

CN 2-Azetidinecarboxylic acid, 3-[(4-hydroxyphenyl)methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, phenylmethyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

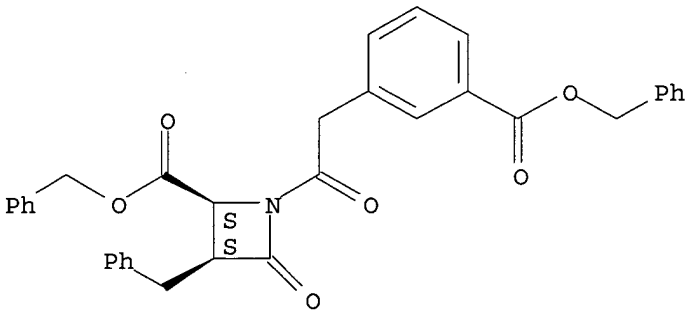


IT **193959-17-0P 193959-19-2P 193959-20-5P**
193959-21-6P 193959-23-8P 193959-27-2P
197712-32-6P 197712-33-7P 197712-34-8P
342623-63-6P 342623-65-8P 342623-73-8P
342623-75-0P 342623-77-2P 342623-82-9P
342623-84-1P 342623-88-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design, synthesis, and proposed active site binding anal. of monocyclic 2-azetidinone inhibitors of prostate-specific antigen)

RN 193959-17-0 HCAPLUS

CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-3-(phenylmethyl)-, phenylmethyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



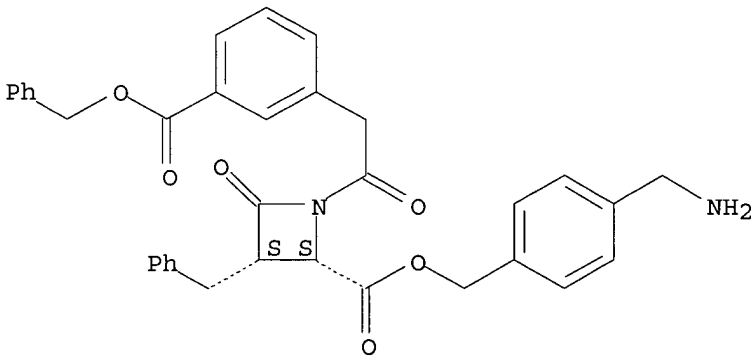
RN 193959-19-2 HCAPLUS

CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-3-(phenylmethyl)-, [4-(aminomethyl)phenyl]methyl ester, (2R,3R)-rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

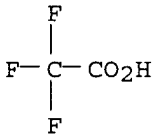
CRN 193959-18-1
CMF C35 H32 N2 O6

Relative stereochemistry.



CM 2

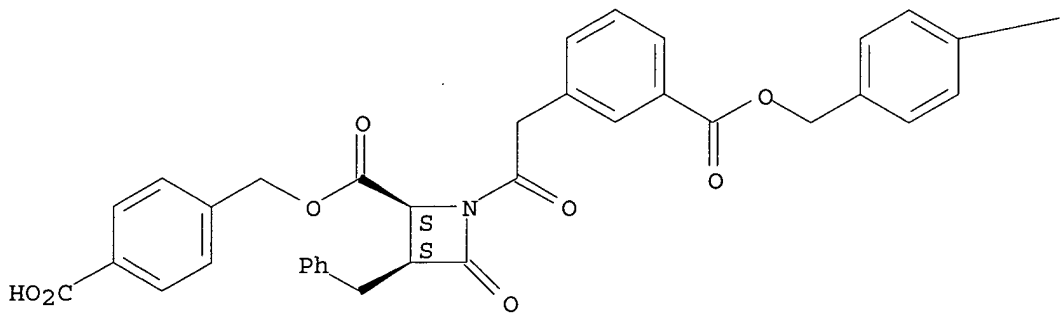
CRN 76-05-1
CMF C2 H F3 O2



RN 193959-20-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[3-[[(4-carboxyphenyl)methoxy]carbonyl]phenyl]acetyl]-4-oxo-3-(phenylmethyl)-, 2-[(4-carboxyphenyl)methyl] ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

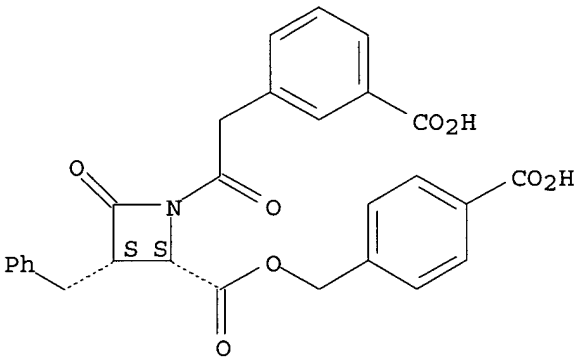
PAGE 1-A



—CO_2H

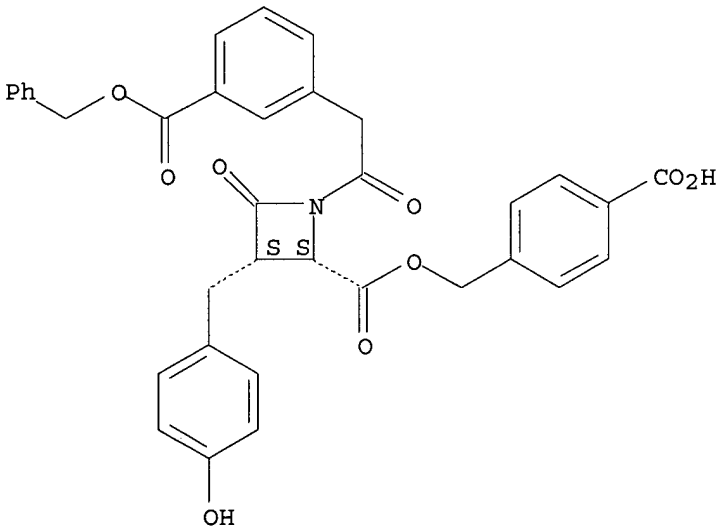
RN 193959-21-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(3-carboxyphenyl)acetyl]-4-oxo-3-(phenylmethyl)-, 2-[(4-carboxyphenyl)methyl] ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



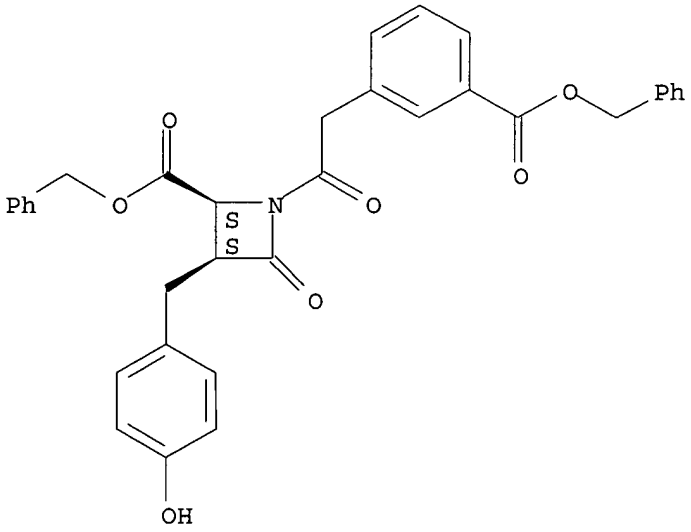
RN 193959-23-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[(4-hydroxyphenyl)methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, (4-carboxyphenyl)methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



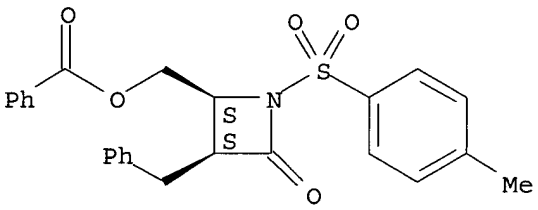
RN 193959-27-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[(4-hydroxyphenyl)methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, phenylmethyl ester, (2S,3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



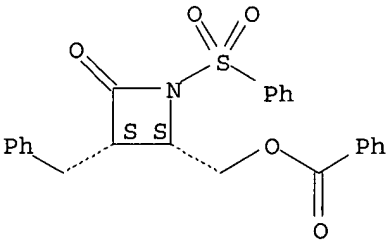
RN 197712-32-6 HCAPLUS
CN 2-Azetidinone, 4-[(benzoyloxy)methyl]-1-[(4-methylphenyl)sulfonyl]-3-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



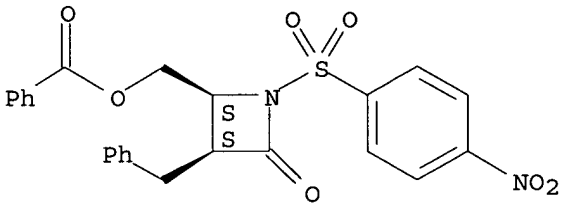
RN 197712-33-7 HCAPLUS
CN 2-Azetidinone, 4-[(benzoyloxy)methyl]-3-(phenylmethyl)-1-(phenylsulfonyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



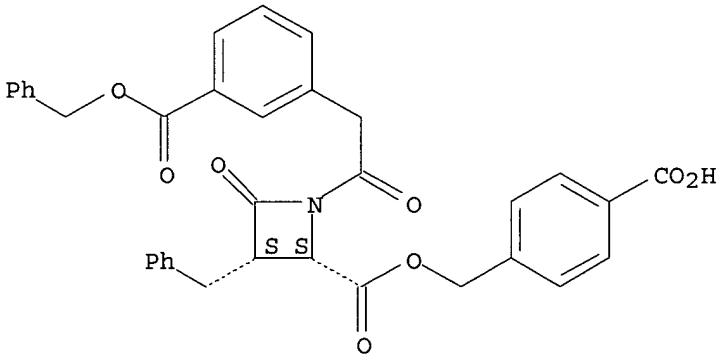
RN 197712-34-8 HCAPLUS
CN 2-Azetidinone, 4-[(benzoyloxy)methyl]-1-[(4-nitrophenyl)sulfonyl]-3-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



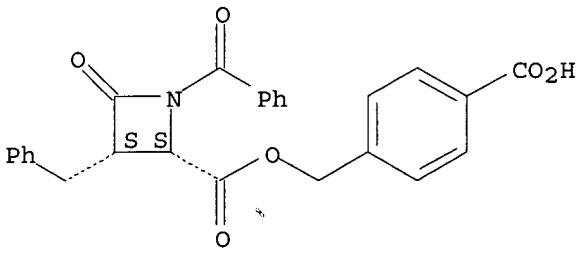
RN 342623-63-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]ac
etyl]-3-(phenylmethyl)-, (4-carboxyphenyl)methyl ester, (2R,3R)-rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.



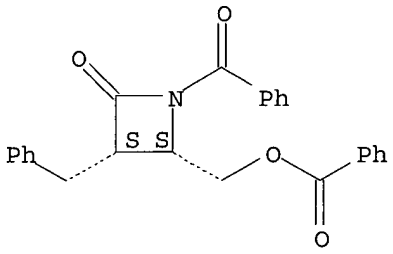
RN 342623-65-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-benzoyl-4-oxo-3-(phenylmethyl)-,
(4-carboxyphenyl)methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



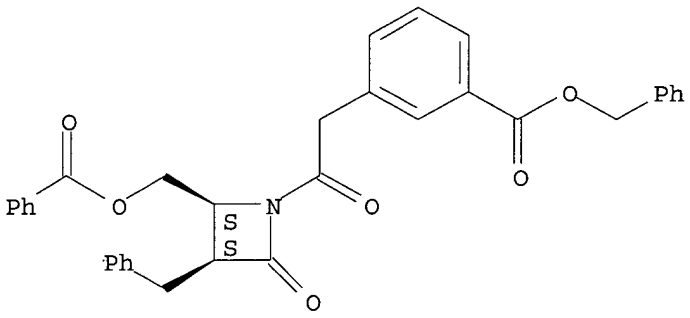
RN 342623-73-8 HCAPLUS
CN 2-Azetidinone, 1-benzoyl-4-[(benzoyloxy)methyl]-3-(phenylmethyl)-,
(3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



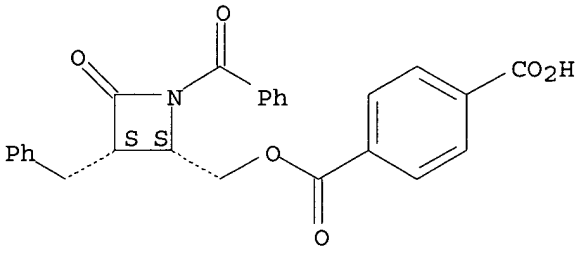
RN 342623-75-0 HCAPLUS
CN Benzoic acid, 3-[2-[(2R,3R)-2-[(benzoyloxy)methyl]-4-oxo-3-(phenylmethyl)-1-azetidinyl]-2-oxoethyl]-, phenylmethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



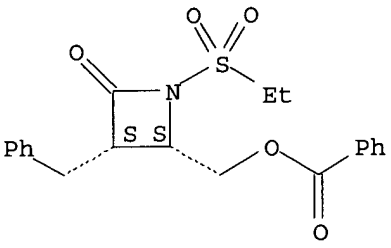
RN 342623-77-2 HCAPLUS
CN 1,4-Benzenedicarboxylic acid, mono[[(2R,3R)-1-benzoyl-4-oxo-3-(phenylmethyl)-2-azetidinyl]methyl] ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



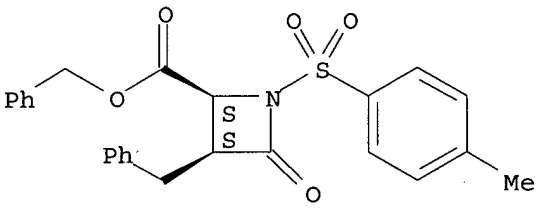
RN 342623-82-9 HCAPLUS
CN 2-Azetidinone, 4-[(benzoyloxy)methyl]-1-(ethylsulfonyl)-3-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



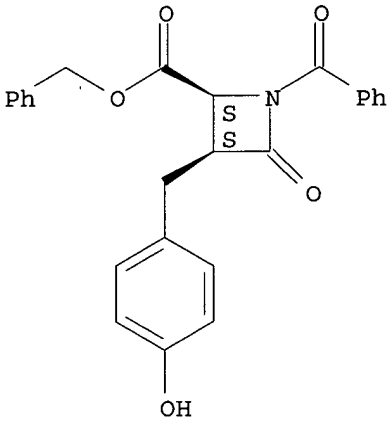
RN 342623-84-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(4-methylphenyl)sulfonyl]-4-oxo-3-(phenylmethyl)-, phenylmethyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



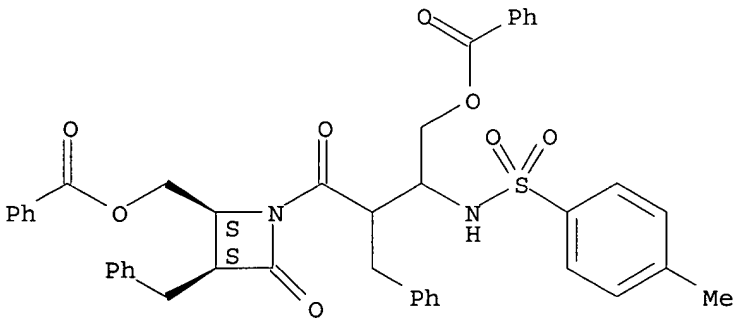
RN 342623-88-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-benzoyl-3-[(4-hydroxyphenyl)methyl]-4-oxo-, phenylmethyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



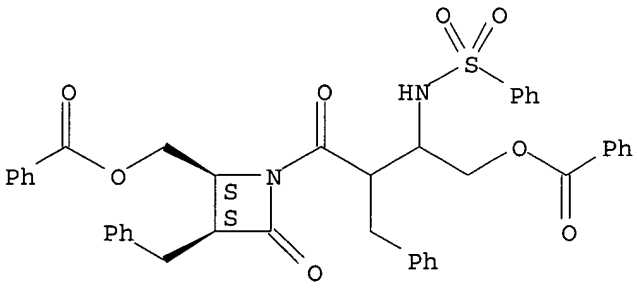
IT **197712-35-9P 197712-36-0P**
RL: BYP (Byproduct); SPN (Synthetic preparation); PREP (Preparation)
(design, synthesis, and proposed active site binding anal. of monocyclic 2-azetidinone inhibitors of prostate-specific antigen)
RN 197712-35-9 HCAPLUS
CN 2-Azetidinone, 4-[(benzoyloxy)methyl]-1-[4-(benzoyloxy)-3-[[(4-methylphenyl)sulfonyl]amino]-1-oxo-2-(phenylmethyl)butyl]-3-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 197712-36-0 HCAPLUS
CN 2-Azetidinone, 4-[(benzoyloxy)methyl]-1-[4-(benzoyloxy)-1-oxo-2-(phenylmethyl)-3-[(phenylsulfonyl)amino]butyl]-3-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **193959-45-4P 193959-46-5P 193959-47-6P**
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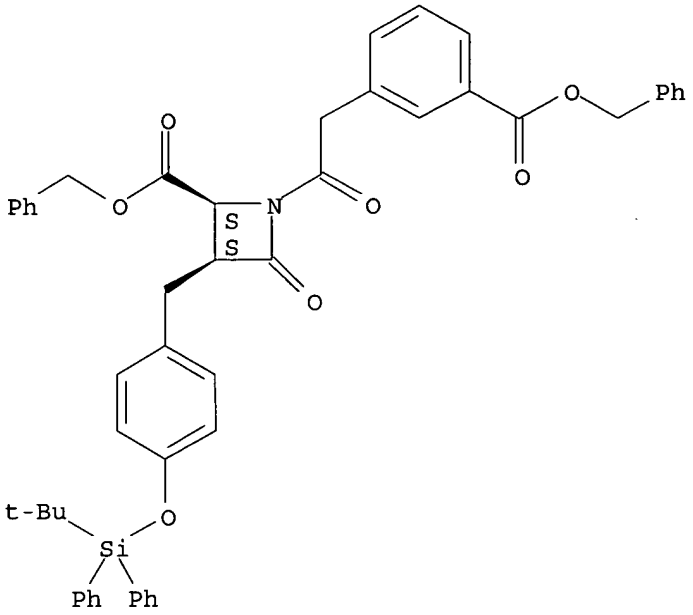
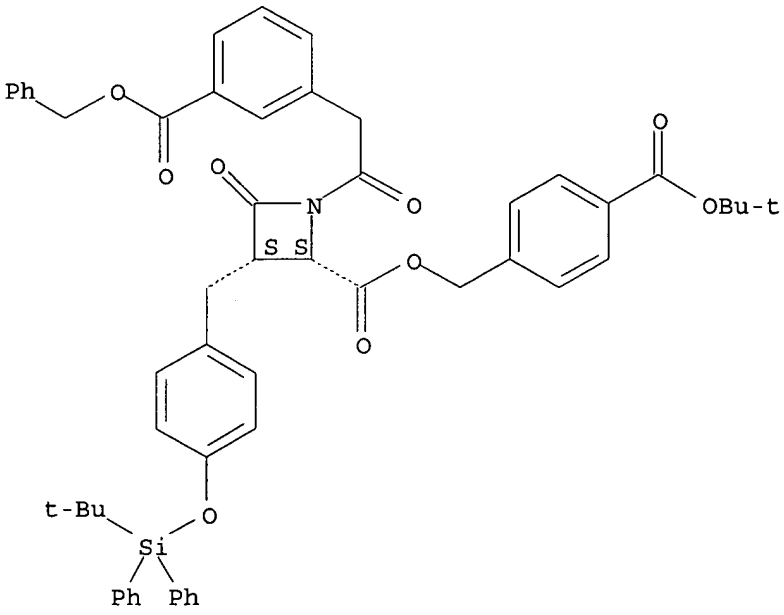
342624-31-1P 342624-46-8P 342624-50-4P
342624-70-8P 342624-75-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design, synthesis, and proposed active site binding anal. of monocyclic 2-azetidinone inhibitors of prostate-specific antigen)
RN 193959-45-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]phenyl]methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, phenylmethyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 193959-46-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]phenyl]methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, [4-[(1,1-dimethylethoxy)carbonyl]phenyl]methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

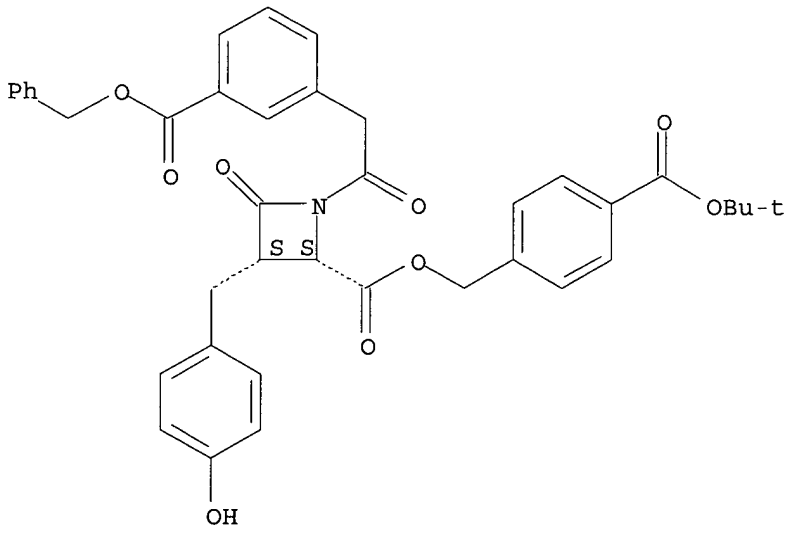
Relative stereochemistry.



RN 193959-47-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[(4-hydroxyphenyl)methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, [4-[(1,1-

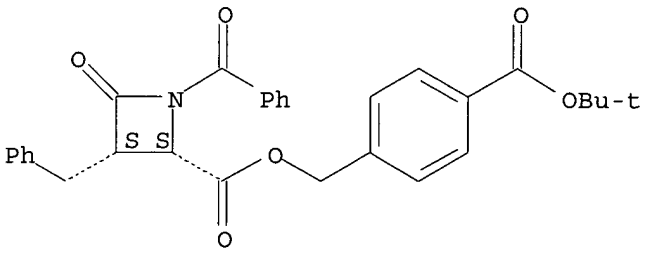
dimethylethoxy)carbonyl]phenyl]methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



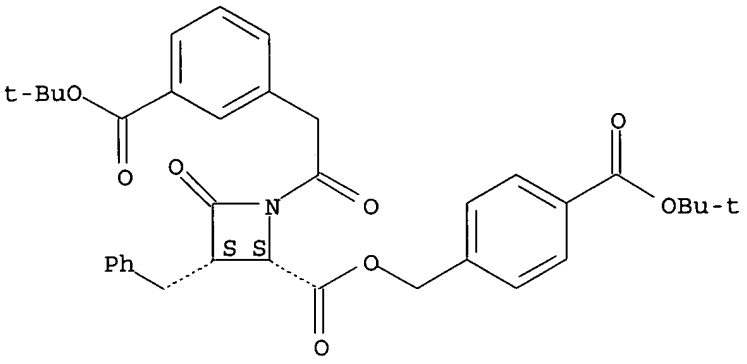
RN 342624-25-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-benzoyl-4-oxo-3-(phenylmethyl)-, [4-[(1,1-dimethylethoxy)carbonyl]phenyl]methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



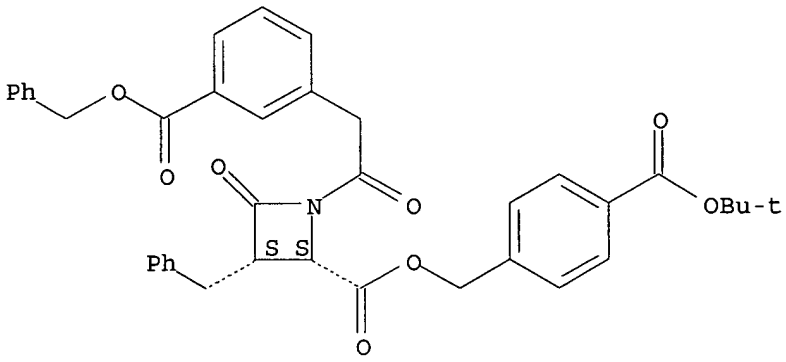
RN 342624-27-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[3-[(1,1-dimethylethoxy)carbonyl]phenyl]acetyl]-4-oxo-3-(phenylmethyl)-, [4-[(1,1-dimethylethoxy)carbonyl]phenyl]methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 342624-29-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-3-(phenylmethyl)-, [4-[(1,1-dimethylethoxy)carbonyl]phenyl]methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

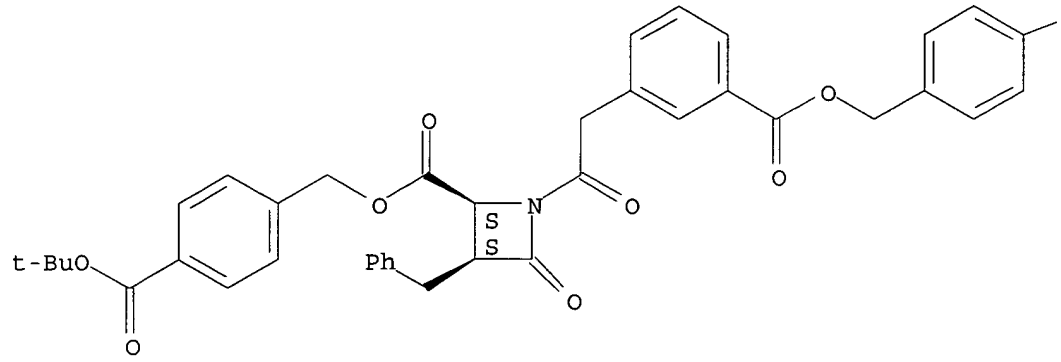
Relative stereochemistry.



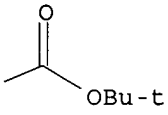
RN 342624-31-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[3-[[[4-[(1,1-dimethylethoxy)carbonyl]phenyl]methoxy]carbonyl]phenyl]acetyl]-4-oxo-3-(phenylmethyl)-, [4-[(1,1-dimethylethoxy)carbonyl]phenyl]methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

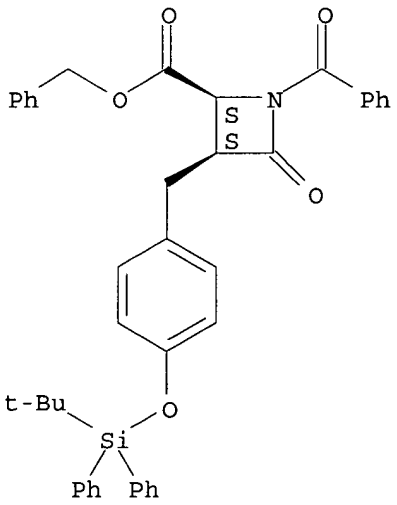


PAGE 1-B



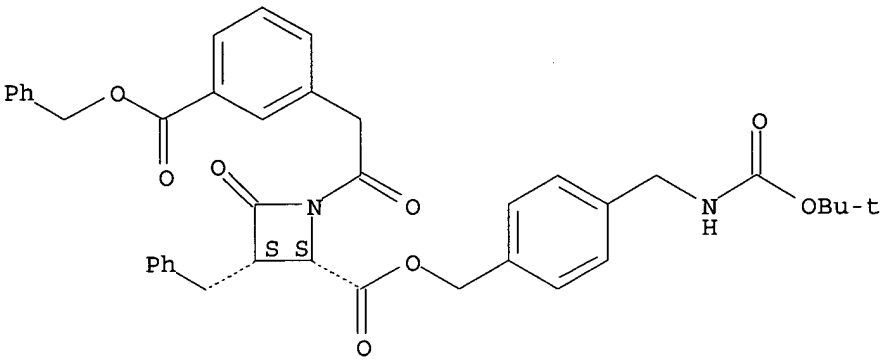
RN 342624-46-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-benzoyl-3-[[4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]phenyl]methyl]-4-oxo-, phenylmethyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



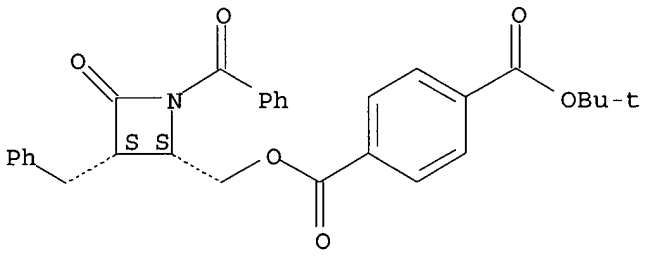
RN 342624-50-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-3-(phenylmethyl)-, [4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



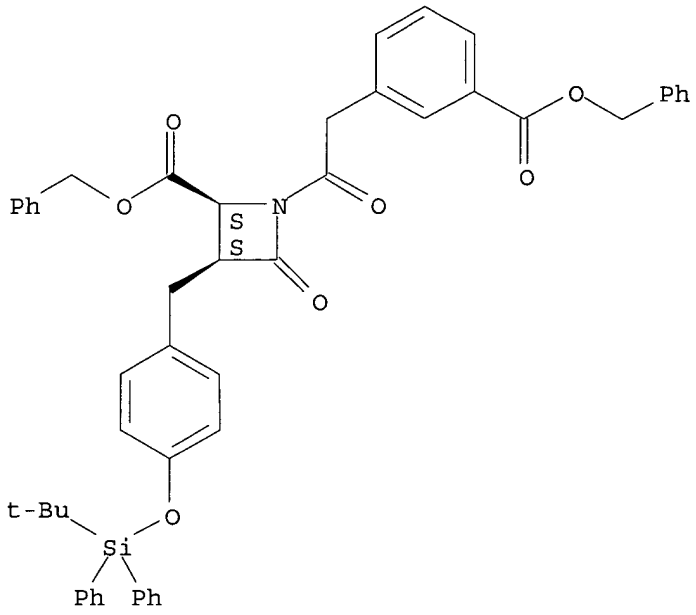
RN 342624-70-8 HCAPLUS
CN 1,4-Benzenedicarboxylic acid, [(2R,3R)-1-benzoyl-4-oxo-3-(phenylmethyl)-2-azetidinyl]methyl 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



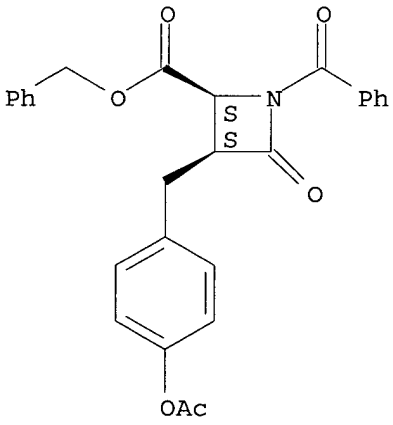
RN 342624-75-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]phenyl]methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, phenylmethyl ester, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **342624-42-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(design, synthesis, and proposed active site binding anal. of monocyclic 2-azetidinone inhibitors of prostate-specific antigen)
RN 342624-42-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[4-(acetyloxy)phenyl]methyl]-1-benzoyl-4-oxo-, phenylmethyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

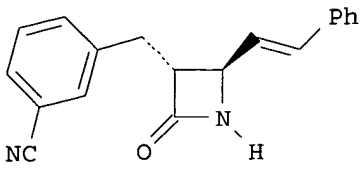
L6 ANSWER 19 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:433346 HCAPLUS
DOCUMENT NUMBER: 133:73861
TITLE: Preparation of α-amidinobenzyl-β-(aroylamino)alkanoates and analogs as factor Xa

inhibitors
INVENTOR(S): Klein, Scott I.; Guertin, Kevin R.; Spada, Alfred P.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products, Inc., USA
SOURCE: U.S., 118 pp., Cont.-in-part of U.S. 9724118.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6080767	A	20000627	US 1997-884405	19970627
WO 9724118	A1	19970710	WO 1996-US20770	19961223
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2264556	AA	19990107	CA 1998-2264556	19980626
WO 9900356	A1	19990107	WO 1998-US13550	19980626
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9881771	A1	19990119	AU 1998-81771	19980626
AU 741173	B2	20011122		
EP 931060	A1	19990728	EP 1998-931728	19980626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
BR 9806060	A	19990831	BR 1998-6060	19980626
JP 2001500532	T2	20010116	JP 1999-505870	19980626
AP 1061	A	20020424	AP 1999-1467	19980626
W: GH, GM, KE, LS, MW, SD, SZ, UG, ZW				
ZA 9805664	A	19990113	ZA 1998-5664	19980629
NO 9900854	A	19990423	NO 1999-854	19990223
NO 314758	B1	20030519		
US 6323227	B1	20011127	US 1999-259528	19990226
US 6277865	B1	20010821	US 1999-273618	19990322

PRIORITY APPLN. INFO.:		
US 1996-9485P	P	19960102
WO 1996-US20770	A2	19961223
US 1997-884405	A	19970627
US 1998-79002P	P	19980323
WO 1998-US13550	W	19980626

OTHER SOURCE(S): MARPAT 133:73861
GI



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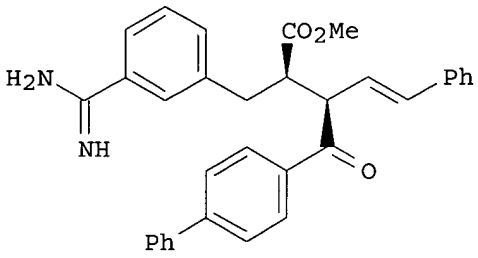


II

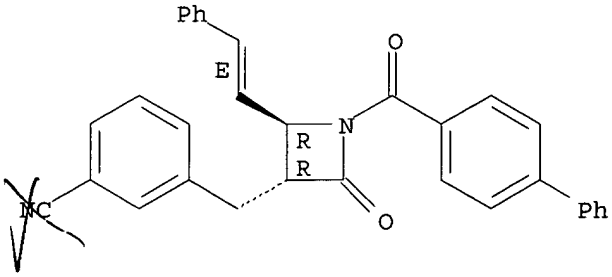
AB H2NCR1R2ZCH2CHR3CHR4NR8COR5 [R1,R2 = H; R1R2 = NR9; R3 = H, COR6, CO2R6, CON(R6)2,CH2OR7, CH2SR7; R4 = H, (hydroxy)alkyl, aminoalkyl, (CH2CH2)nR, (CH:CH)nR, CH2R; R = (un)substituted (hetero)aryl; R5 = (ar)alk(en)yl, heterocyclyl, (hetero)aryl, etc.; R6,R8 = H or alkyl; R7 = H, alkyl, acyl, (hetero)aryl, etc.; R9 = H, OH, alkoxy(carbonyl), alkanoyl, etc.; Z = phenylene; n = 0-2] were prepared as factor Xa inhibitors (no data). Thus, 4-(NC)C6H4CH:CHCO2Me was cyclocondensed with 4-(MeO)C6H4N:CHCH:CHPh (preparation each given) to give, after N-deprotection, β-lactam I. The latter was N-acylated by 4-PhC6H4COCl and the product hydrolyzed to give, after amination/esterification, title compound II.

IT **193151-15-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of α-amidinobenzyl-β-(aroylamino)alkanoates and analogs as factor Xa inhibitors)

RN 193151-15-4 HCAPLUS

CN 2-Azetidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-3-[(3-cyanophenyl)methyl]-4-[(1E)-2-phenylethenyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

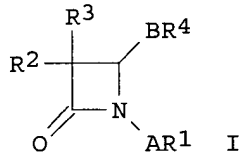
L6 ANSWER 20 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:84762 HCAPLUS
DOCUMENT NUMBER: 132:122507

TITLE: Preparation of monocyclic β-lactams as chymase inhibitors
INVENTOR(S): Uenaka, Masaaki; Kii, Makoto; Nakajima, Masatoshi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005204	A1	20000203	WO 1999-JP3864	19990716
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9946541	A1	20000214	AU 1999-46541	19990716
EP 1099690	A1	20010516	EP 1999-929887	19990716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1998-207540 A 19980723
WO 1999-JP3864 W 19990716

OTHER SOURCE(S): MARPAT 132:122507
GI



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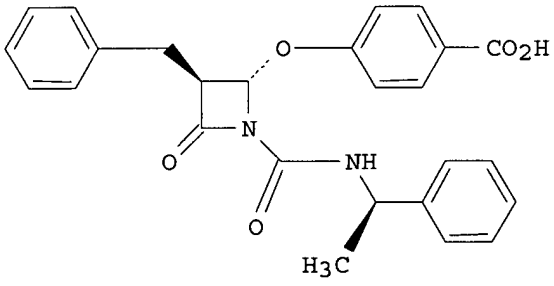


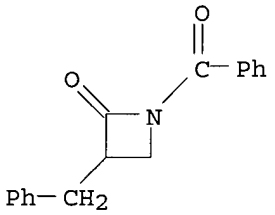
II

AB Title compds. [I; A = CO, CONH; R1 = alkyl, aryl; R2 = H, alkyl; R3 = H,

alkyl; B = S, O; R4 = aryl], pharmaceutically acceptable salts, hydrates, and stereoisomers are prepared as prodrugs with chymase and cytokine inhibition activities. The title compound II was prepared and tested.

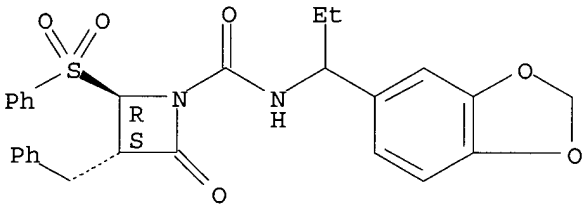
IT **256409-59-3P 256409-61-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of monocyclic β-lactams as chymase inhibitors)

RN 256409-59-3 HCAPLUS
CN 2-Azetidinone, 1-benzoyl-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 256409-61-7 HCAPLUS
CN 1-Azetidinecarboxamide, N-[1-(1,3-benzodioxol-5-yl)propyl]-2-oxo-3-(phenylmethyl)-4-(phenylsulfonyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 94 THERE ARE 94 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

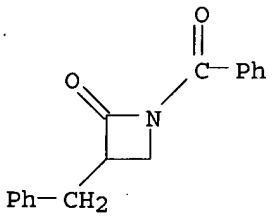
L6 ANSWER 21 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:819347 HCAPLUS
DOCUMENT NUMBER: 132:64103
TITLE: Preparation of amidino and guanidino azetidinone compounds as tryptase inhibitors
INVENTOR(S): Bisacchi, Gregory; Slusarchyk, William A.; Treuner, Uwe; Sutton, James C.; Zahler, Robert; Seiler, Steven; Kronenthal, David R.; Randazzo, Michael E.; Xu, Zhongmin; Shi, Zhongping; Schwinden, Mark D.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 326 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967215	A1	19991229	WO 1999-US13811	19990618

alkyl; B = S, O; R4 = aryl], pharmaceutically acceptable salts, hydrates, and stereoisomers are prepared as prodrugs with chymase and cytokine inhibition activities. The title compound II was prepared and tested.

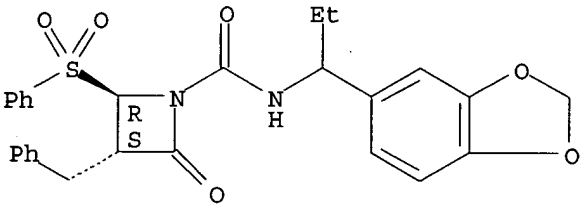
IT **256409-59-3P 256409-61-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of monocyclic β-lactams as chymase inhibitors)

RN 256409-59-3 HCAPLUS
CN 2-Azetidinone, 1-benzoyl-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 256409-61-7 HCAPLUS
CN 1-Azetidinecarboxamide, N-[1-(1,3-benzodioxol-5-yl)propyl]-2-oxo-3-(phenylmethyl)-4-(phenylsulfonyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 94 THERE ARE 94 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:819347 HCAPLUS
DOCUMENT NUMBER: 132:64103
TITLE: Preparation of amidino and guanidino azetidinone compounds as tryptase inhibitors
INVENTOR(S): Bisacchi, Gregory; Slusarchyk, William A.; Treuner, Uwe; Sutton, James C.; Zahler, Robert; Seiler, Steven; Kronenthal, David R.; Randazzo, Michael E.; Xu, Zhongmin; Shi, Zhongping; Schwinden, Mark D.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 326 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967215	A1	19991229	WO 1999-US13811	19990618

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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AU 752320	B2	20020912			
EP 1089973	A1	20010411	EP 1999-930402		19990618
EP 1089973	B1	20051109			
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BR 9911373	A	20010918	BR 1999-11373		19990618
JP 2002518478	T2	20020625	JP 2000-555869		19990618
RU 2211832	C2	20030910	RU 2001-102266		19990618
NZ 507627	A	20031219	NZ 1999-507627		19990618
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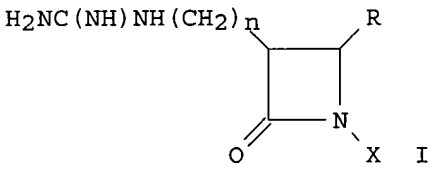
			WO 1999-US13811	W	19990618

OTHER SOURCE(S): MARPAT 132:64103

GI



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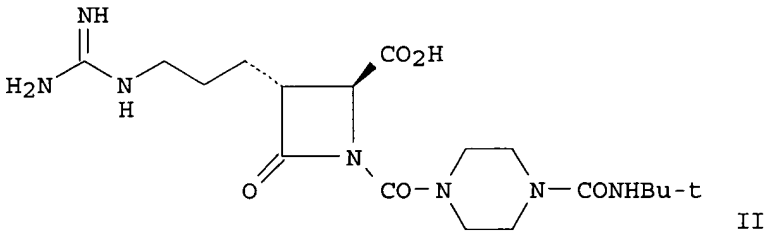


II

AB Novel β-lactam compds., e.g. of formula I [R - CO2H, CONH-alkyl, etc.; X = CONH(CH2)2NHCO2alkyl, etc.; n = 1-6;], are prepared as inhibitors of in vivo enzyme systems including tryptase, thrombin, trypsin, factor Xa, factor VIIa, and urokinase-type plasminogen activator (no data). The tryptase activity makes the title compds. useful as antiinflammatory agents in the treatment of chronic asthma and allergic rhinitis. Thus, II was prepared from (4S)-N-(tert-butyldimethylsilyl)azetidin-2-one-4-carboxylic acid, tert-butyl-1-piperazine carboxylate and tert-Bu isocyanate.

IT **253174-68-4P 253174-69-5P 253174-70-8P 253174-71-9P 253174-72-0P 253174-73-1P 253174-74-2P 253174-96-8P 253175-00-7P**

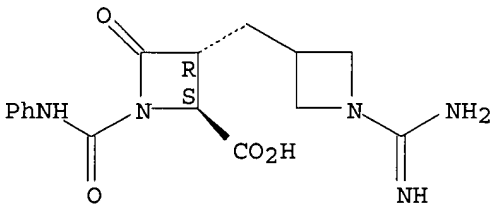
253177-54-7P 253177-55-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidino and guanidino azetidinone compds. as tryptase inhibitors)

RN 253174-68-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-azetidinyl]methyl]-4-oxo-1-[(phenylamino)carbonyl]-, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

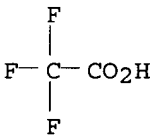
CRN 253174-67-3
CMF C16 H19 N5 O4

Absolute stereochemistry.



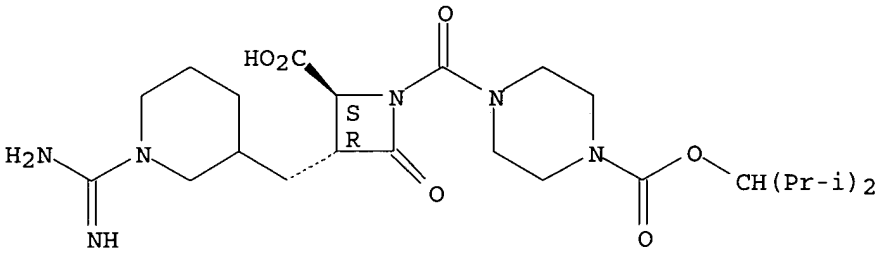
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 253174-69-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester, monohydrochloride (9CI) (CA INDEX NAME)

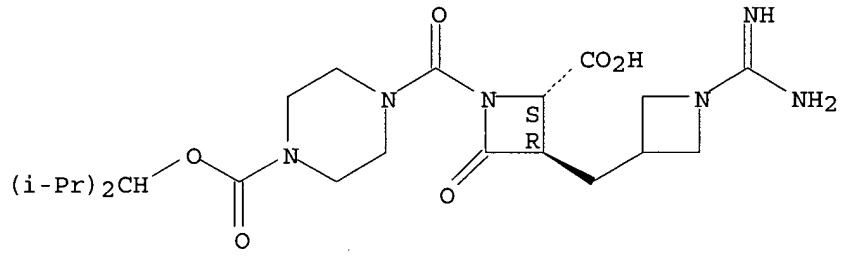
Absolute stereochemistry.



● HCl

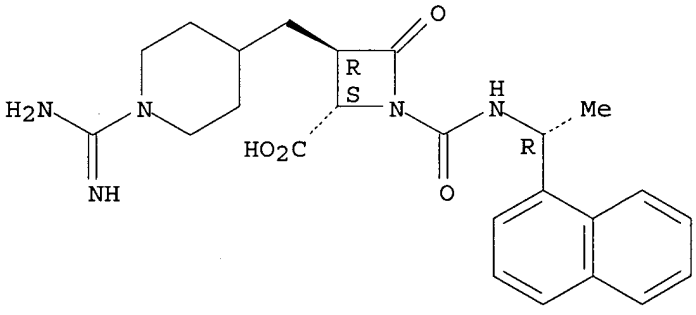
RN 253174-70-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-azetidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253174-71-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-4-piperidinyl]methyl]-1-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]-4-oxo-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

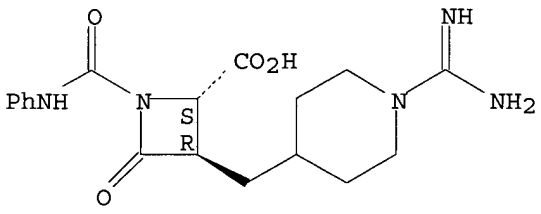


● HCl

RN 253174-72-0 HCAPLUS

CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-4-piperidinyl]methyl]-4-oxo-1-[(phenylamino)carbonyl]-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

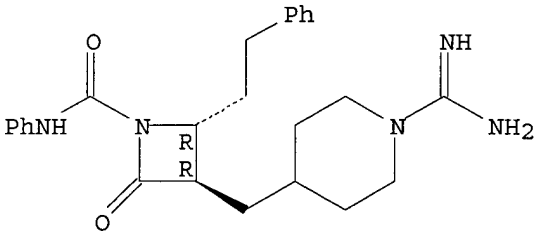
Absolute stereochemistry.



● HCl

RN 253174-73-1 HCAPLUS
CN 1-Azetidinecarboxamide, 3-[[1-(aminoiminomethyl)-4-piperidinyl]methyl]-2-oxo-N-phenyl-4-(2-phenylethyl)-, monohydrochloride, (3R,4R)-rel- (9CI) (CA INDEX NAME)

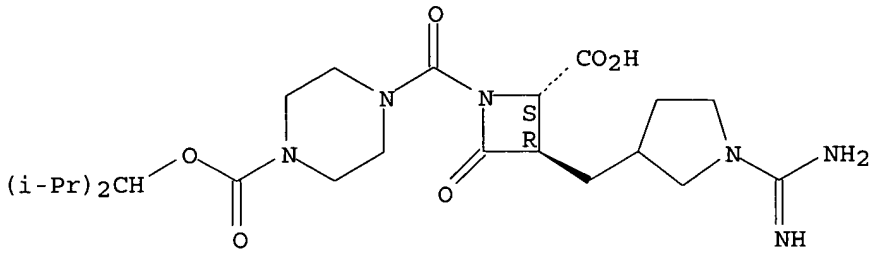
Relative stereochemistry.



● HCl

RN 253174-74-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-pyrrolidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



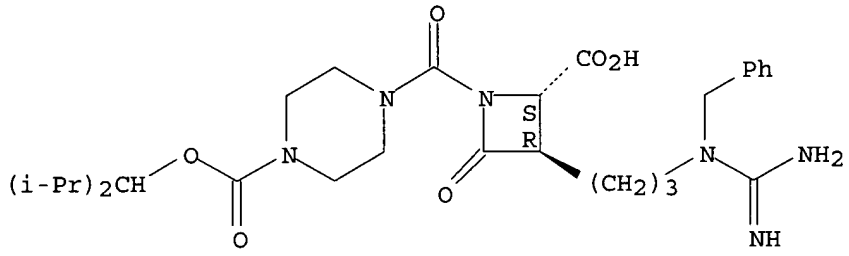
● HCl

RN 253174-96-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[3-[(aminoiminomethyl)(phenylmethyl)amino]propyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

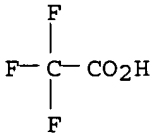
CRN 253174-95-7
CMF C28 H42 N6 O6

Absolute stereochemistry.



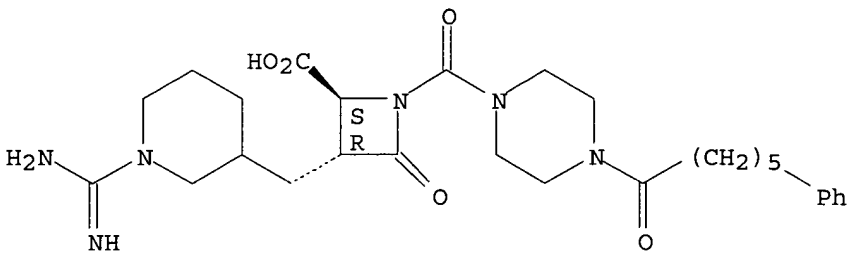
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 253175-00-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

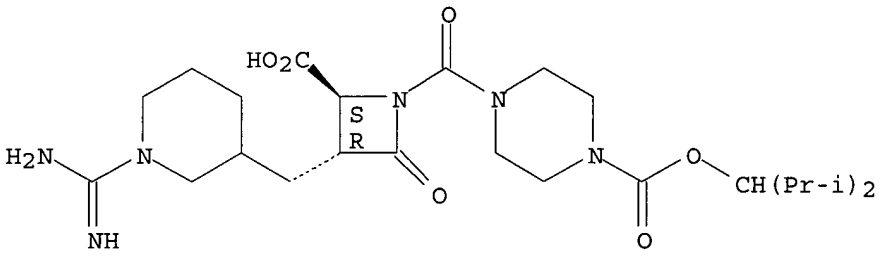
Absolute stereochemistry.



● HCl

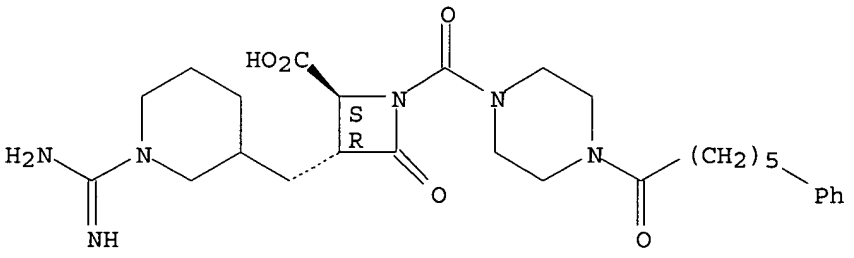
RN 253177-54-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-2-carboxy-4-oxo-1-azetidinyl]carbonyl]-, 1-[2-methyl-1-(1-methylethyl)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253177-55-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[1-(aminoiminomethyl)-3-piperidinyl]methyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **253175-13-2P 253175-15-4P 253175-17-6P**
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253175-89-2P 253175-93-8P 253175-95-0P

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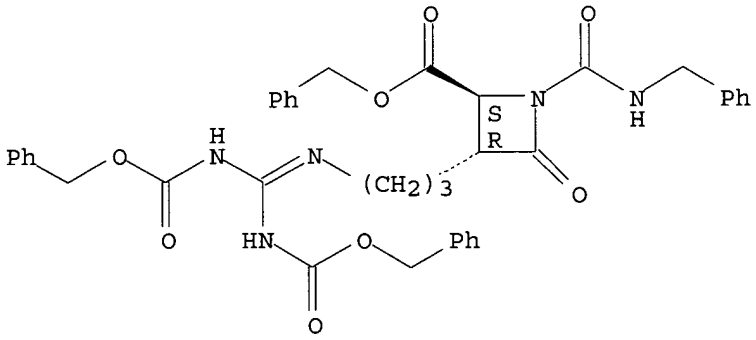
253177-28-5P 253177-35-4P 253177-36-5P
253177-38-7P 253177-39-8P 253177-41-2P
253177-42-3P 253177-43-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidino and guanidino azetidinone compds. as tryptase inhibitors)

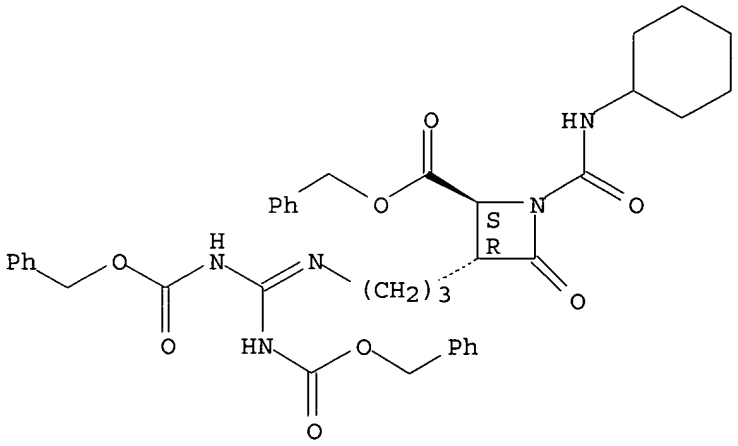
RN 253175-13-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[(phenylmethyl)amino]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



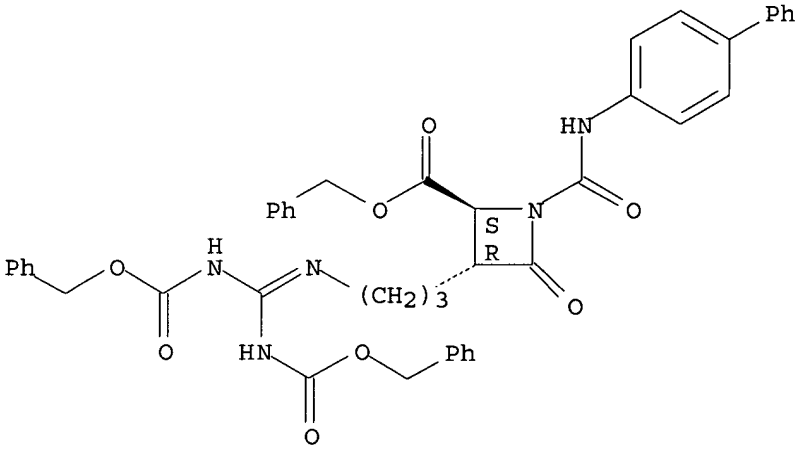
RN 253175-15-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[(cyclohexylamino)carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



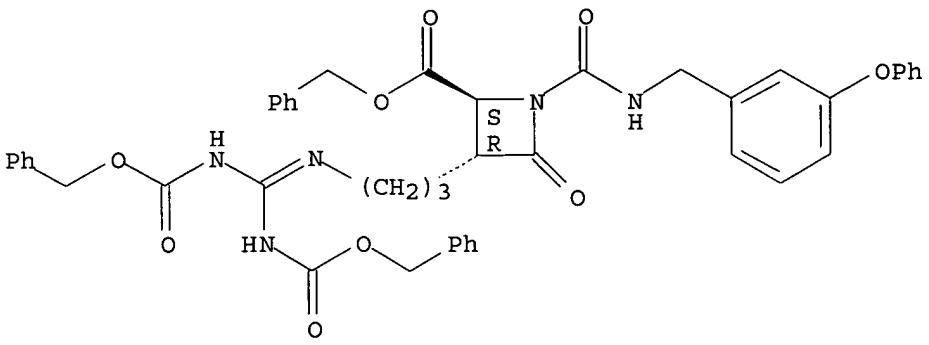
RN 253175-17-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[([1,1'-biphenyl]-4-ylamino)carbonyl]-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



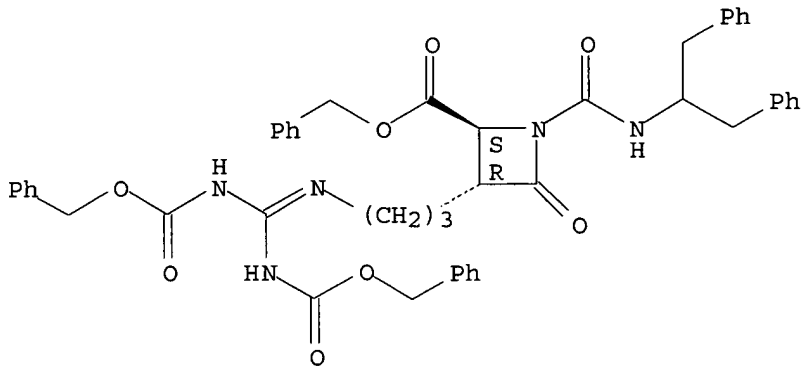
RN 253175-25-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[[(3-phenoxyphenyl)methyl]amino]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



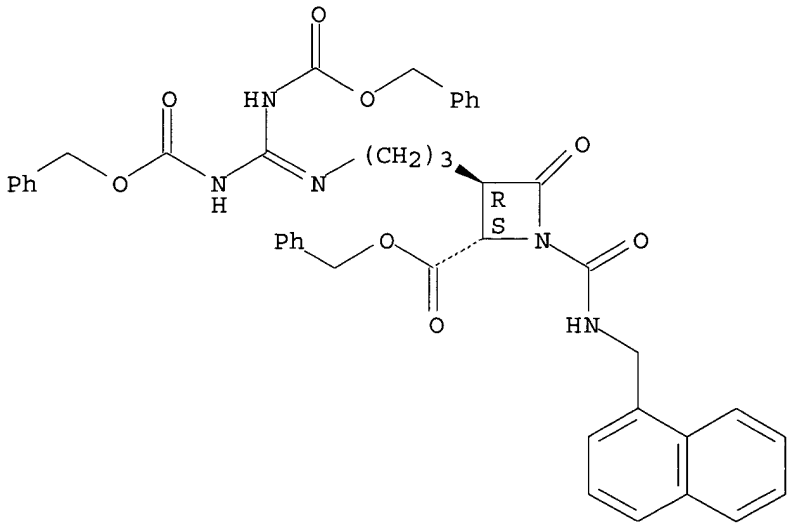
RN 253175-27-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[[2-phenyl-1-(phenylmethyl)ethyl]amino]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



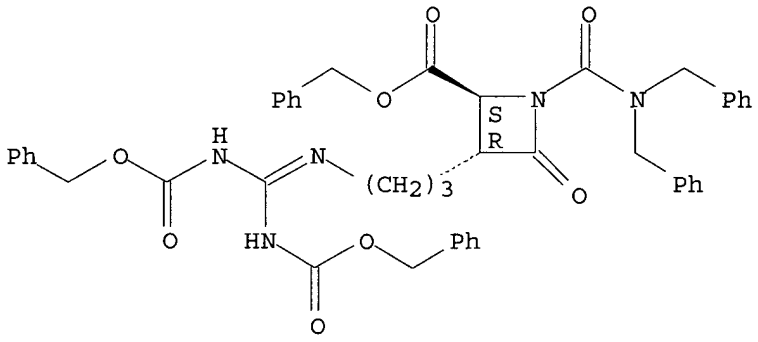
RN 253175-30-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[(1-naphthalenylmethyl)amino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



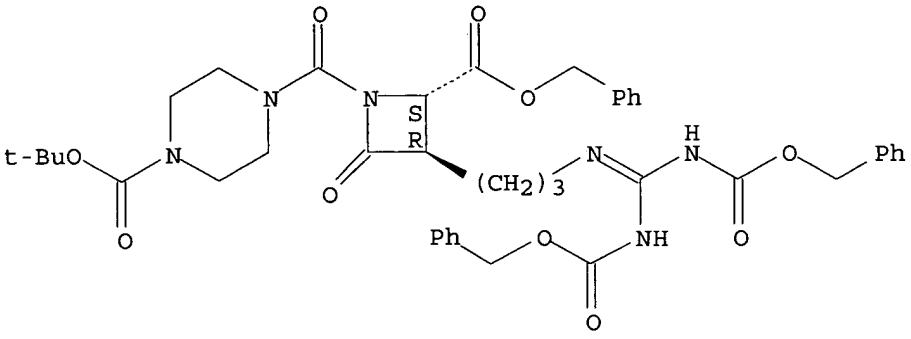
RN 253175-35-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[bis(phenylmethyl)amino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



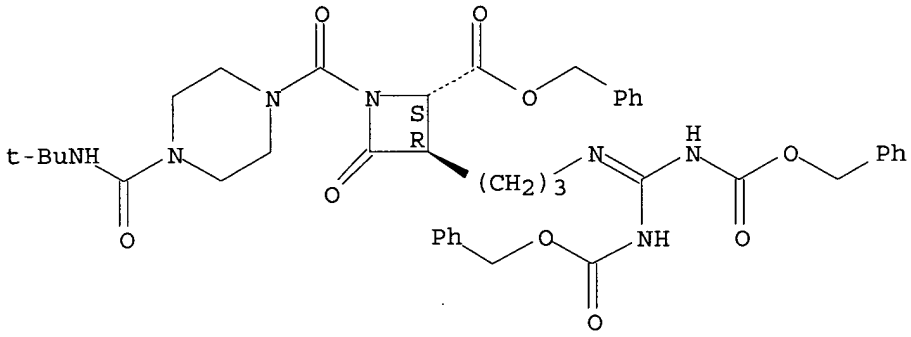
RN 253175-38-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



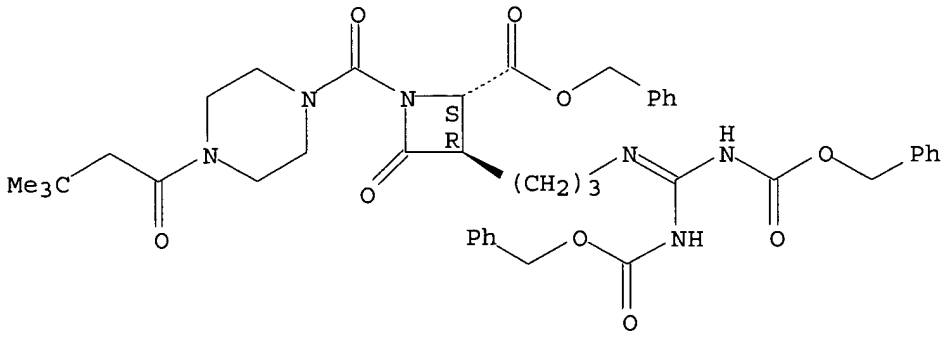
RN 253175-43-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253175-50-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-(3,3-dimethyl-1-oxobutyl)-1-piperazinyl]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

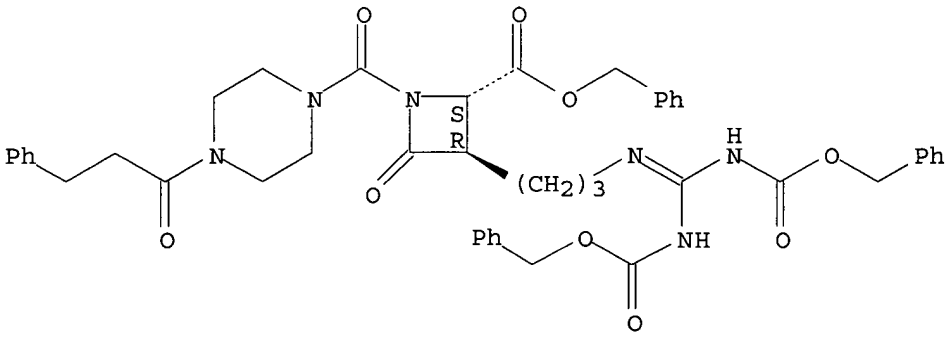
Absolute stereochemistry.



RN 253175-58-5 HCAPLUS

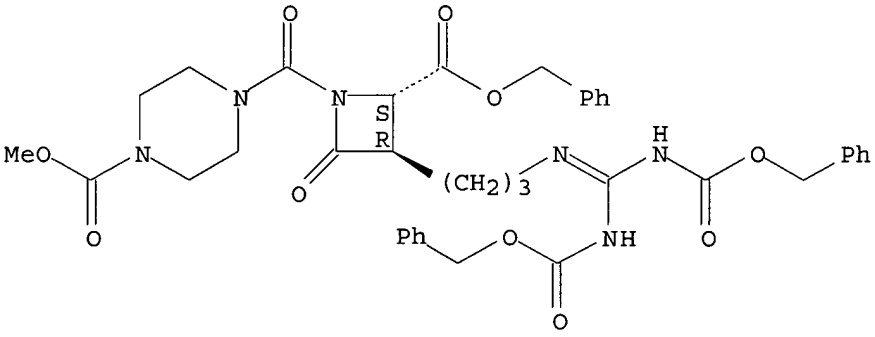
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(1-oxo-3-phenylpropyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



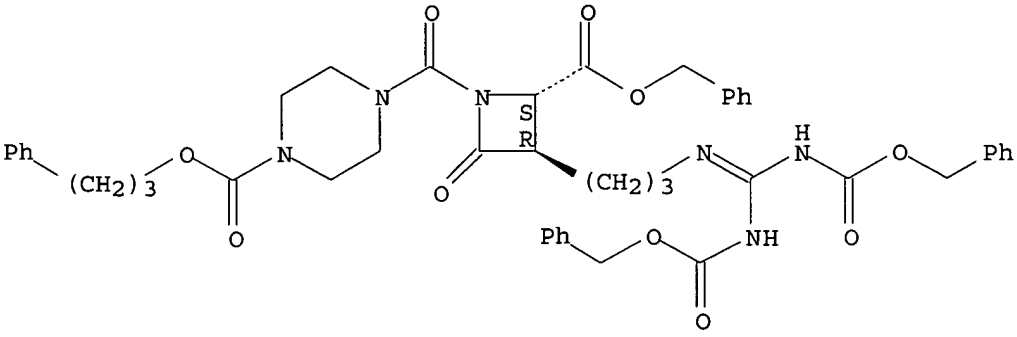
RN 253175-63-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



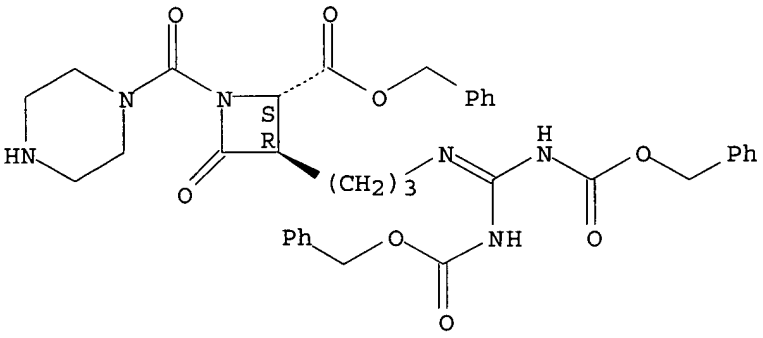
RN 253175-67-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



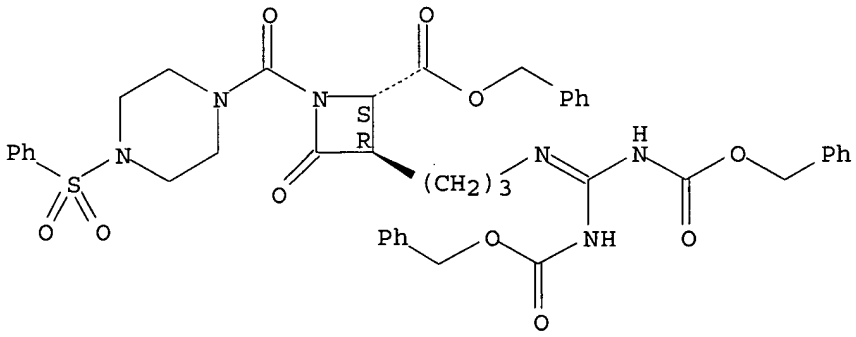
RN 253175-68-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-(1-piperazinylcarbonyl)-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253175-73-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(phenylsulfonyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

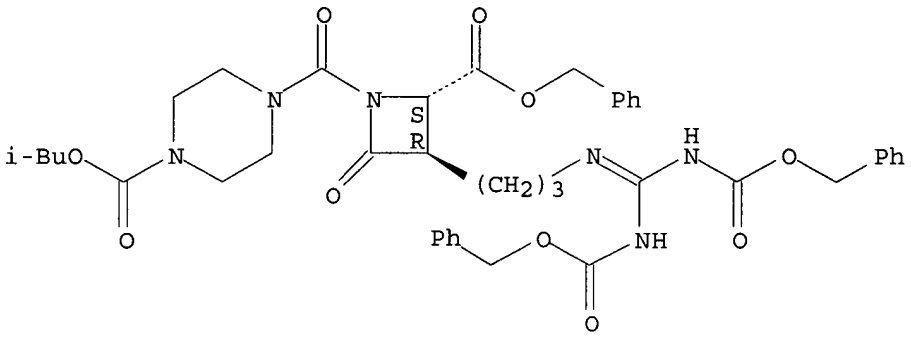
Absolute stereochemistry.



RN 253175-80-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-

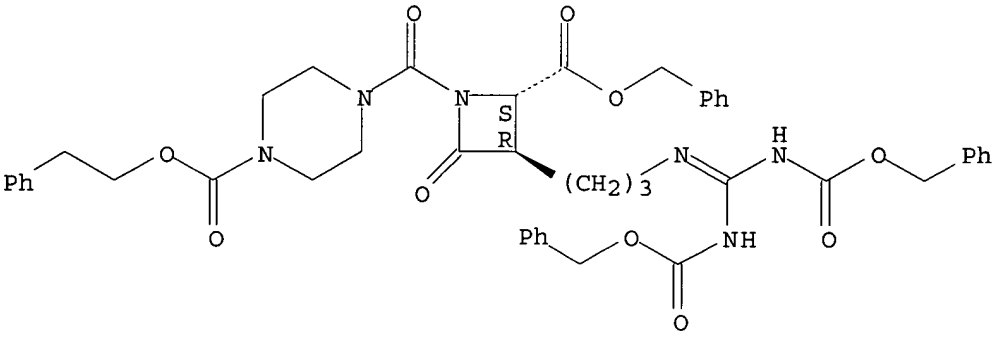
[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



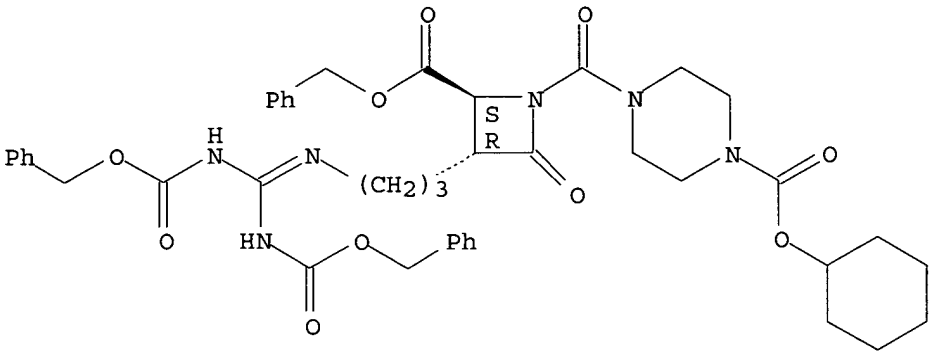
RN 253175-83-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



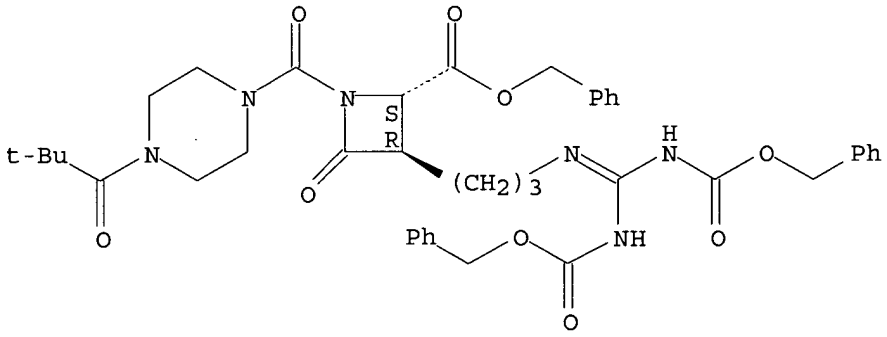
RN 253175-87-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



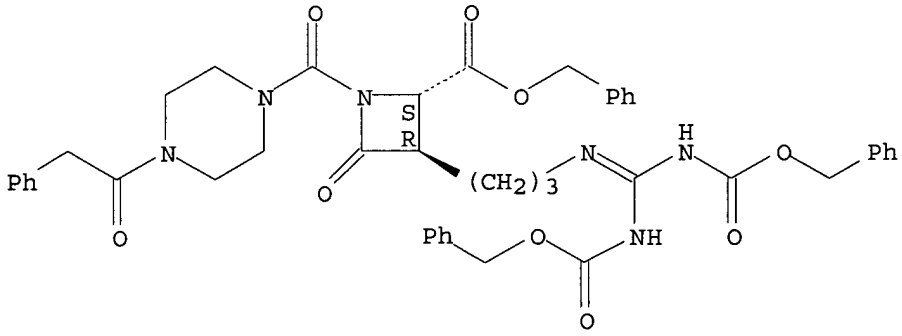
RN 253175-89-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-(2,2-dimethyl-1-oxopropyl)-1-piperazinyl]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253175-93-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(phenylacetyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

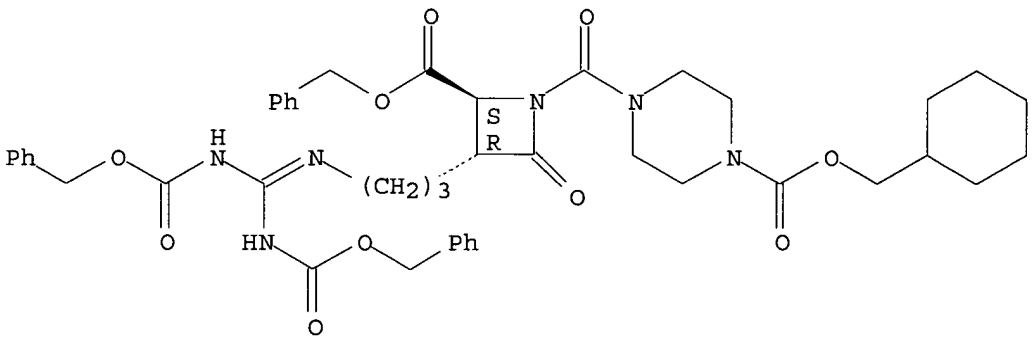
Absolute stereochemistry.



RN 253175-95-0 HCAPLUS

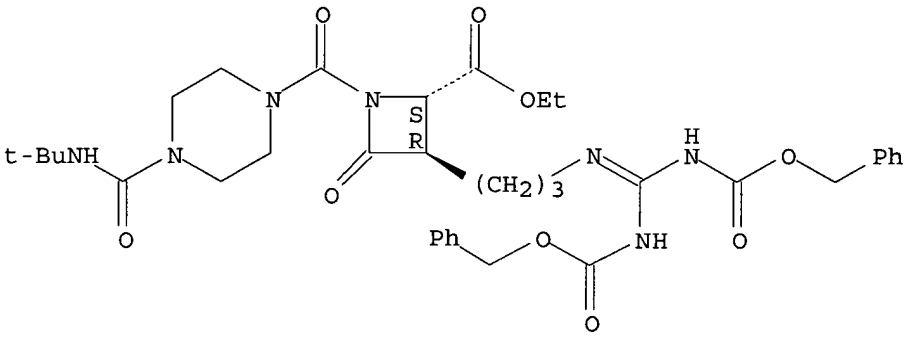
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, cyclohexylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



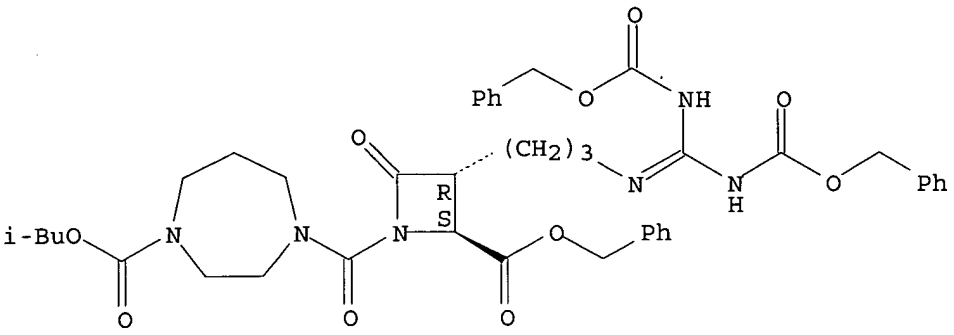
RN 253175-97-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, ethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



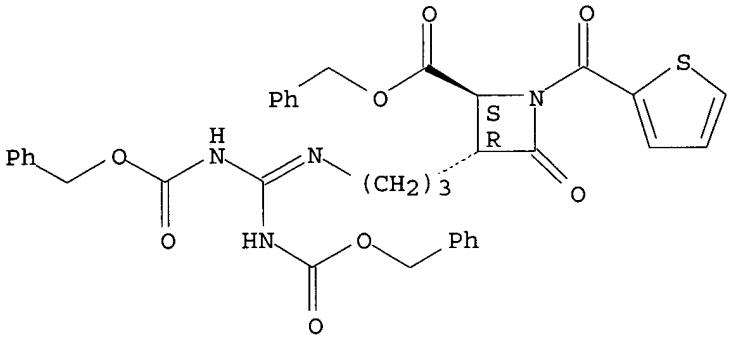
RN 253176-01-1 HCAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[[(3R,4S)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]hexahydro-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



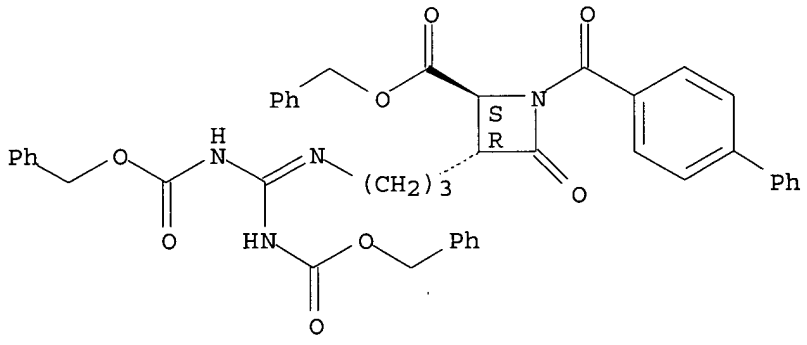
RN 253176-02-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-(2-thienylcarbonyl)-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253176-03-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-([1,1'-biphenyl]-4-ylcarbonyl)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

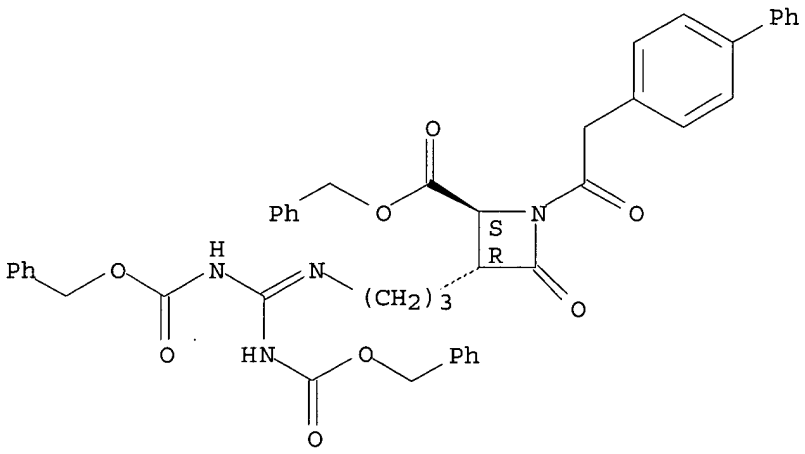
Absolute stereochemistry.



RN 253176-04-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-([1,1'-biphenyl]-4-ylacetyl)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-,

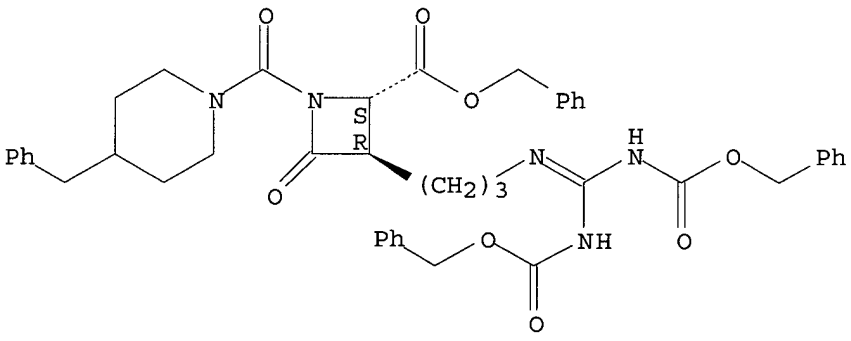
phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



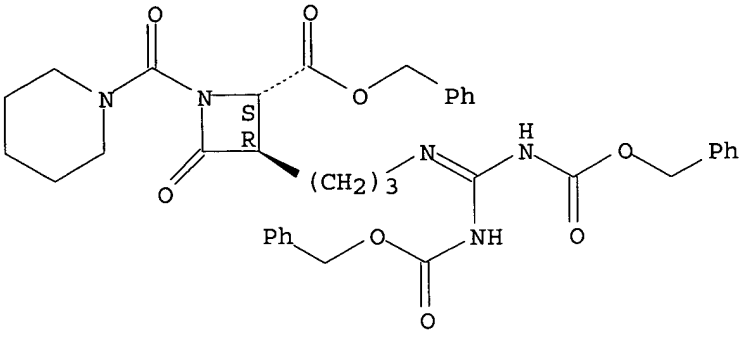
RN 253176-05-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(phenylmethyl)-1-piperidinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



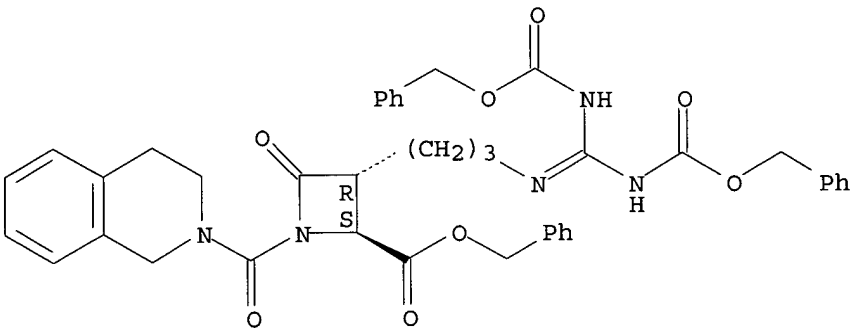
RN 253176-06-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-(1-piperidinylcarbonyl)-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



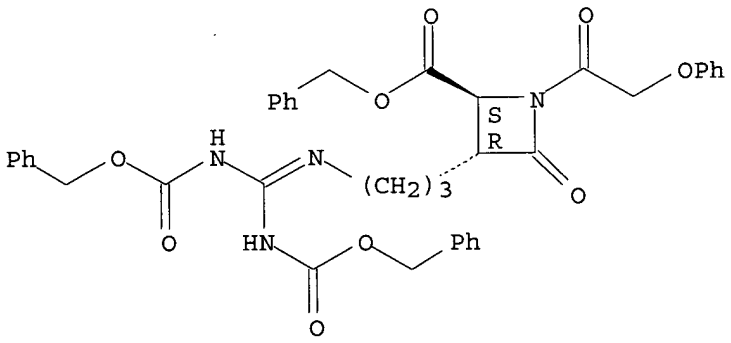
RN 253176-07-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253176-08-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-(phenoxyacetyl)-, phenylmethyl ester, (2S,3R)-(9CI) (CA INDEX NAME)

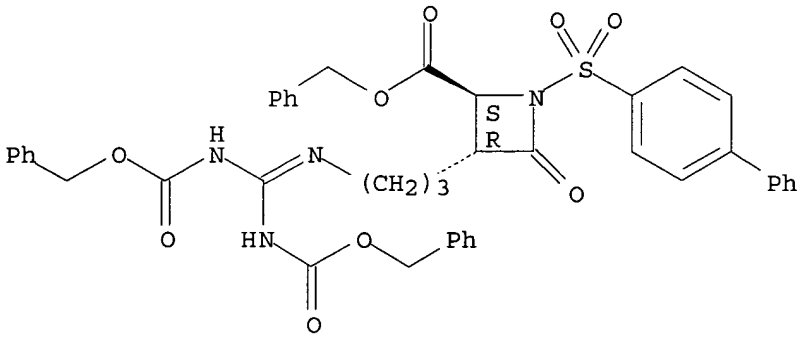
Absolute stereochemistry.



RN 253176-09-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-([1,1'-biphenyl]-4-ylsulfonyl)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-,

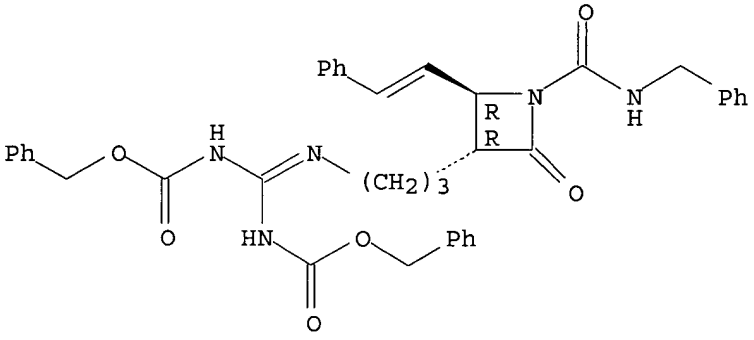
phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



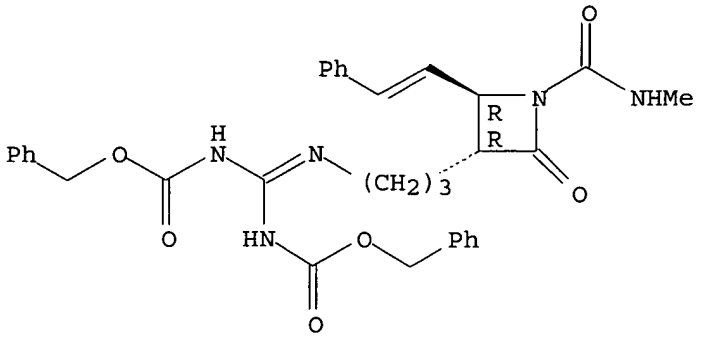
RN 253176-10-2 HCAPLUS
CN Carbamic acid, [[3-[(3R,4R)-2-oxo-4-(2-phenylethenyl)-1-[[(phenylmethyl)amino]carbonyl]-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



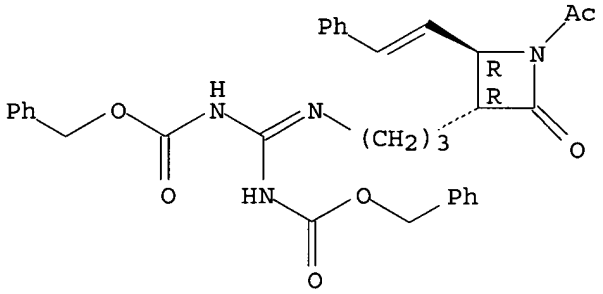
RN 253176-11-3 HCAPLUS
CN Carbamic acid, [[3-[(3R,4R)-1-[(methylamino)carbonyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



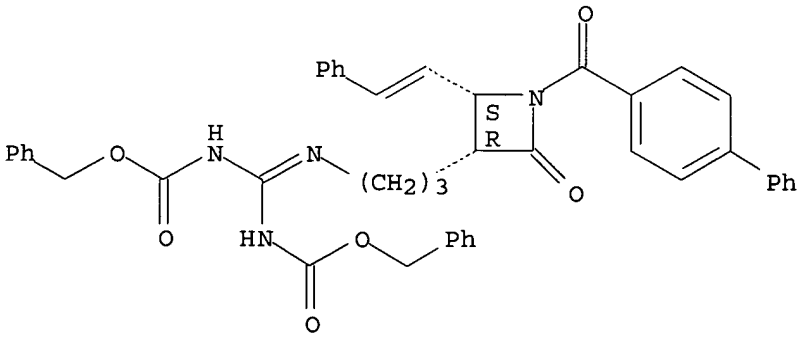
RN 253176-13-5 HCAPLUS
CN Carbamic acid, [[3-[(3R,4R)-1-acetyl-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 253176-15-7 HCAPLUS
CN Carbamic acid, [[3-[(3R,4S)-1-([1,1'-biphenyl]-4-ylcarbonyl)-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, rel- (9CI) (CA INDEX NAME)

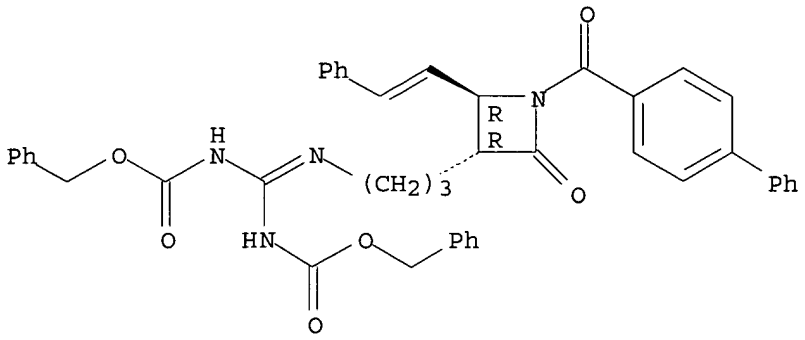
Relative stereochemistry.
Double bond geometry unknown.



RN 253176-16-8 HCAPLUS
CN Carbamic acid, [[3-[(3R,4R)-1-([1,1'-biphenyl]-4-ylcarbonyl)-2-oxo-4-(2-

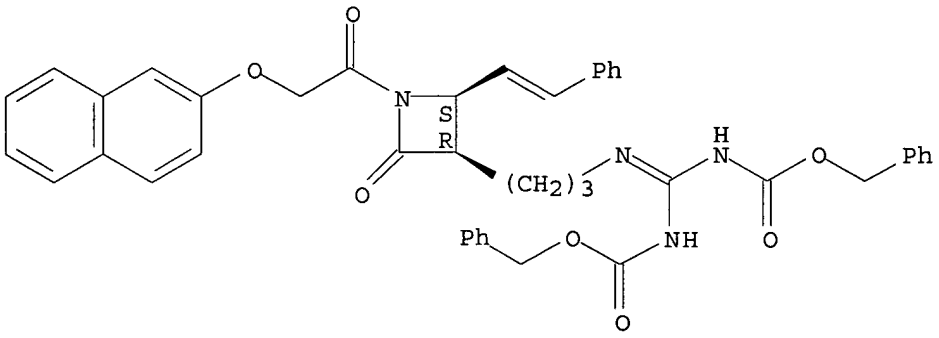
phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



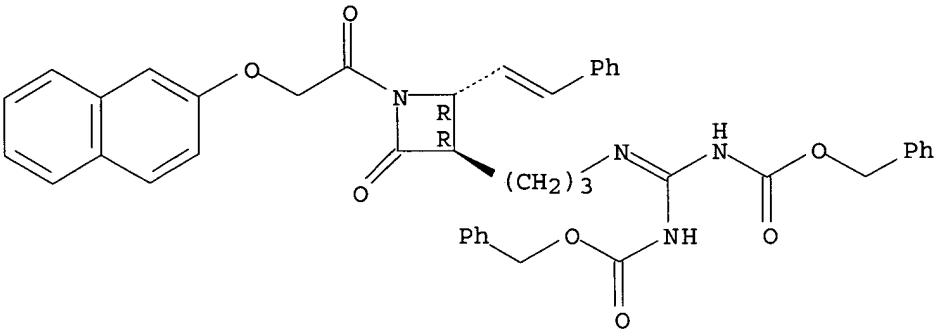
RN 253176-17-9 HCAPLUS
CN Carbamic acid, [[3-[(3R,4S)-1-[(2-naphthalenyloxy)acetyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



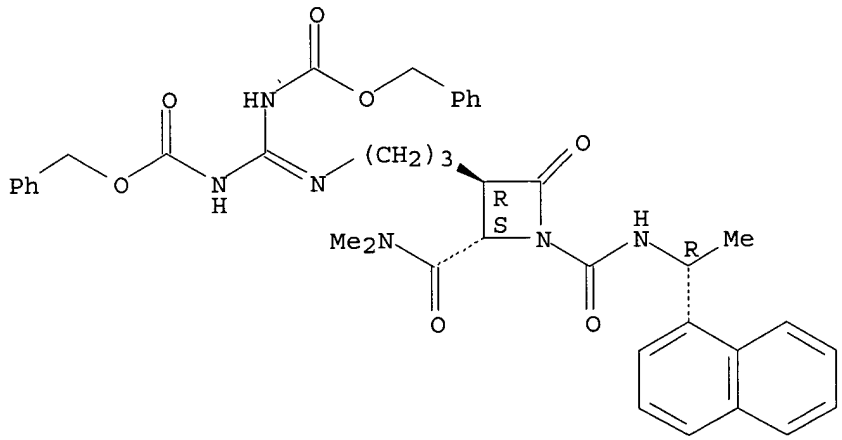
RN 253176-18-0 HCAPLUS
CN Carbamic acid, [[3-[(3R,4R)-1-[(2-naphthalenyloxy)acetyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



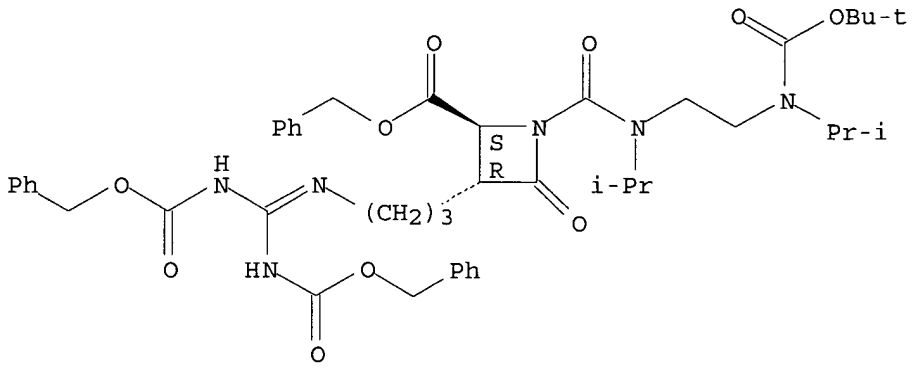
RN 253176-20-4 HCAPLUS
CN Carbamic acid, [[3-[(2S,3R)-2-[(dimethylamino)carbonyl]-1-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]-4-oxo-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



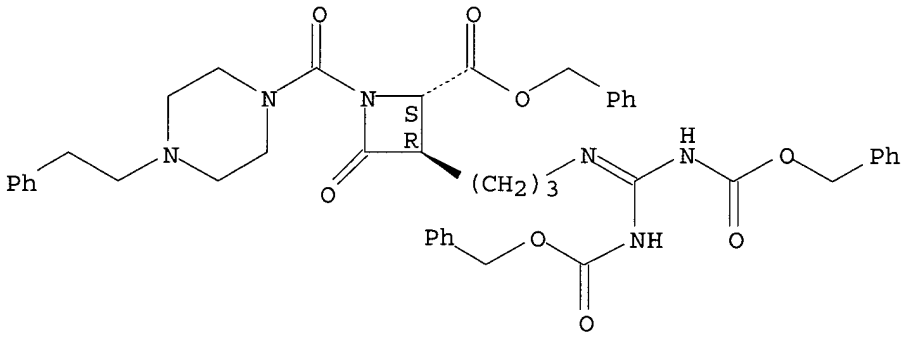
RN 253176-23-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[[2-[[(1,1-dimethylethoxy)carbonyl](1-methylethyl)amino]ethyl](1-methylethyl)amino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



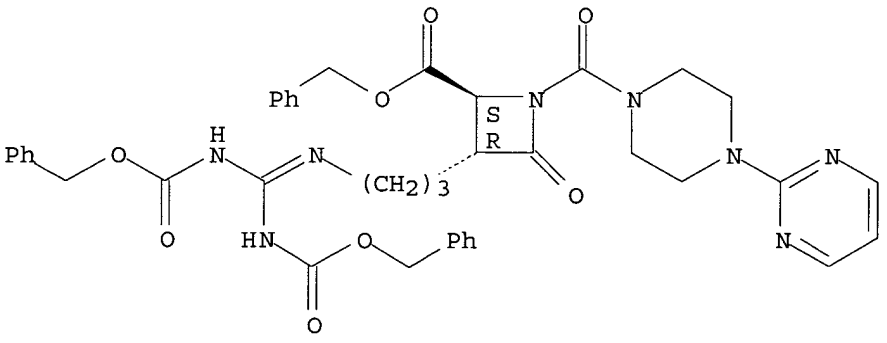
RN 253176-25-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(2-phenylethyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253176-27-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-(2-pyrimidinyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

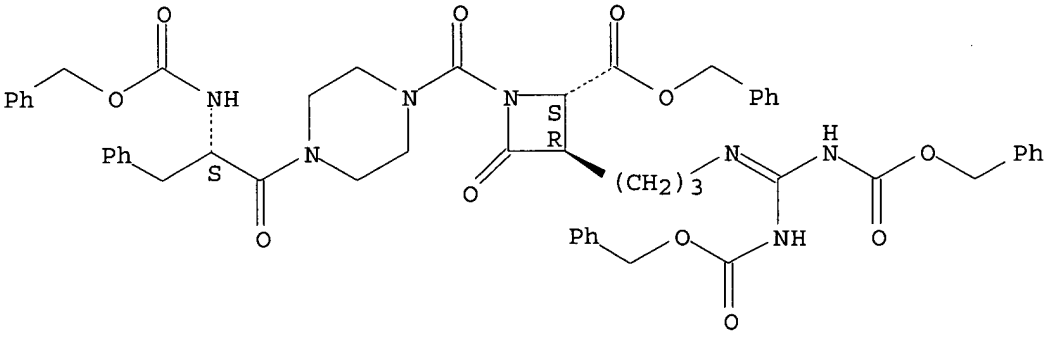
Absolute stereochemistry.



RN 253176-31-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]meth

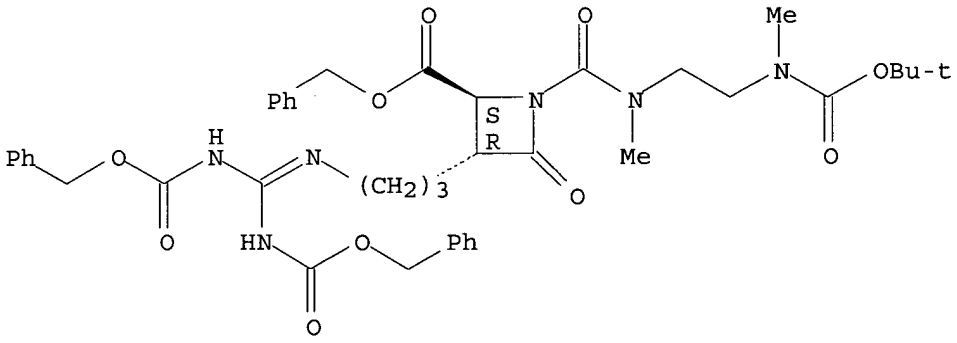
ylene]amino]propyl]-4-oxo-1-[[4-[(2S)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



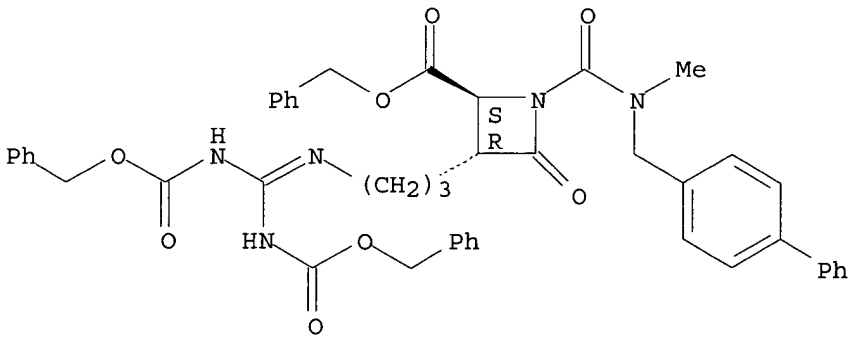
RN 253176-33-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[[2-[[(1,1-dimethylethoxy)carbonyl]methylamino]ethyl]methylamino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



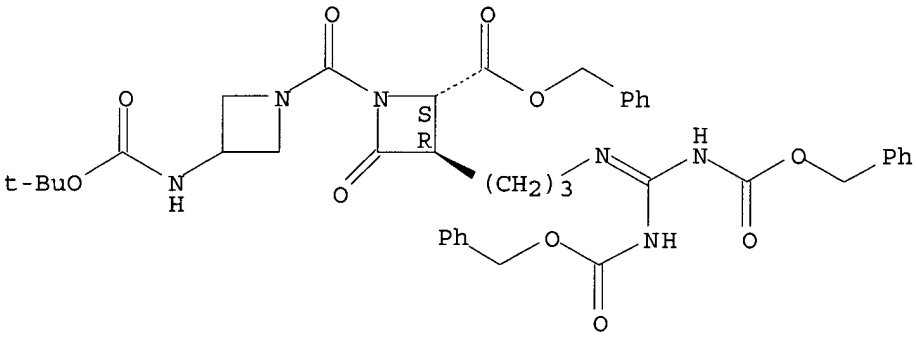
RN 253176-35-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[([1,1'-biphenyl]-4-ylmethyl)methylamino]carbonyl]-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253176-37-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-azetidinyl]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

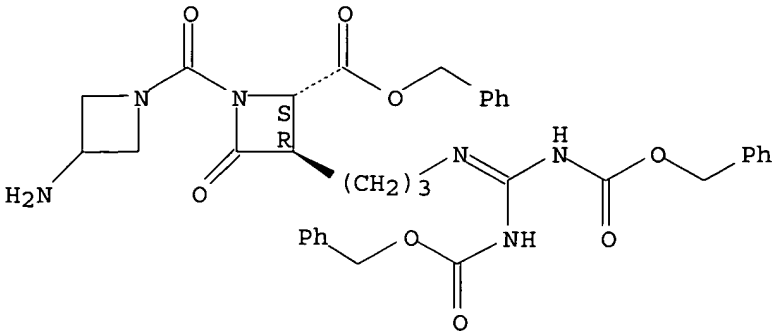


RN 253176-39-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(3-amino-1-azetidinyl)carbonyl]-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-, phenylmethyl ester, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

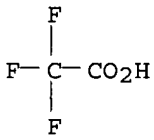
CRN 253176-38-4
CMF C35 H38 N6 O8

Absolute stereochemistry.



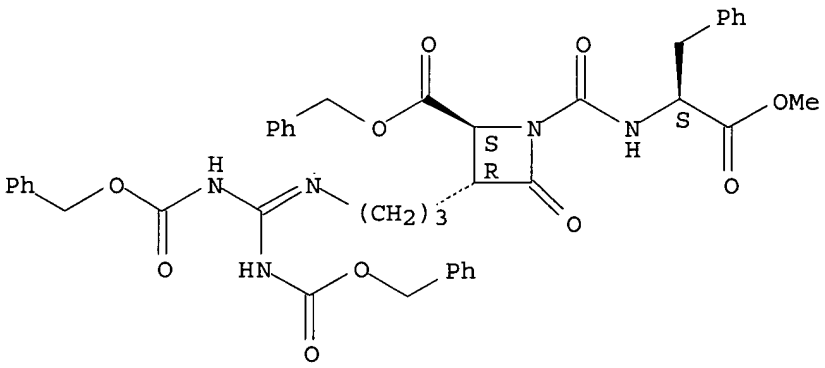
CM 2

CRN 76-05-1
CMF C2 H F3 O2



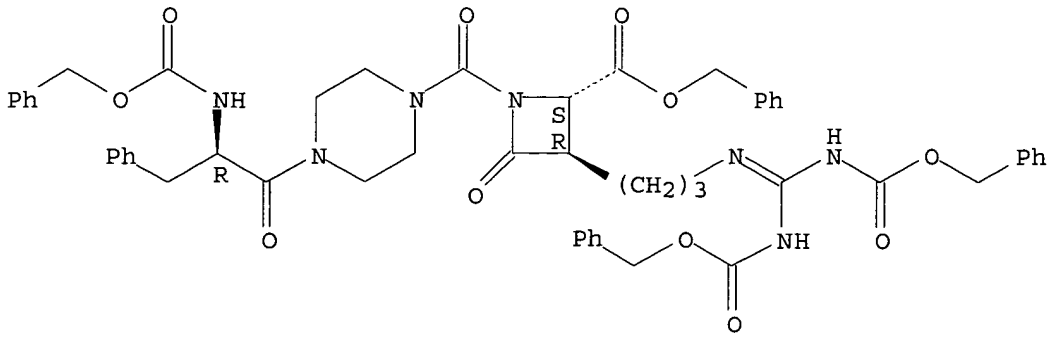
RN 253176-40-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[[(1S)-2-methoxy-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



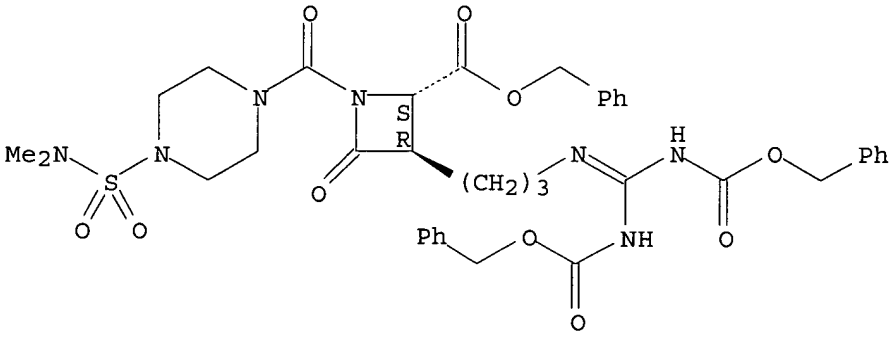
RN 253176-44-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[[4-[(2R)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



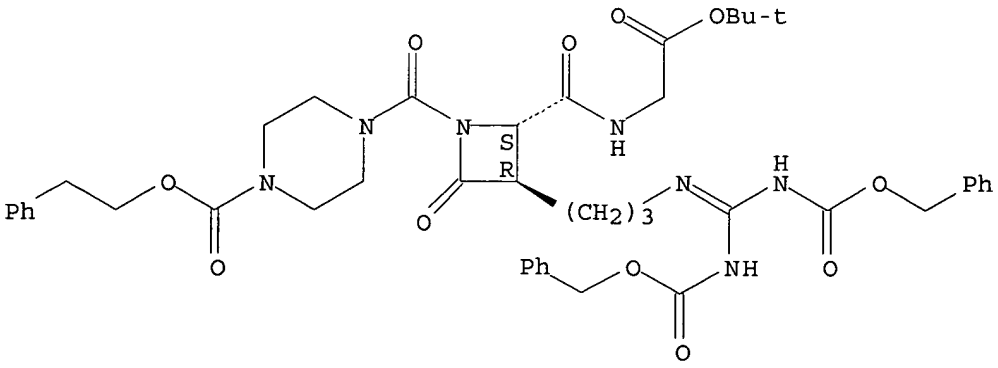
RN 253176-48-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-[(dimethylamino)sulfonyl]-1-piperazinyl]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



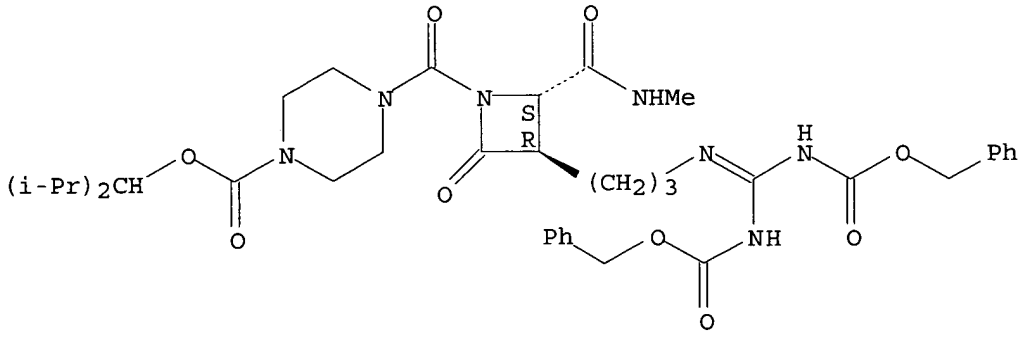
RN 253176-50-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]amino]carbonyl]-4-oxo-1-azetidinyl]carbonyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



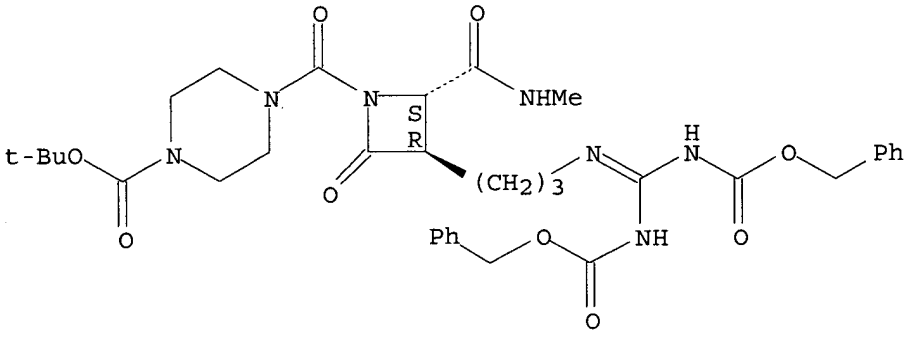
RN 253176-52-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-[(methylamino)carbonyl]-4-oxo-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



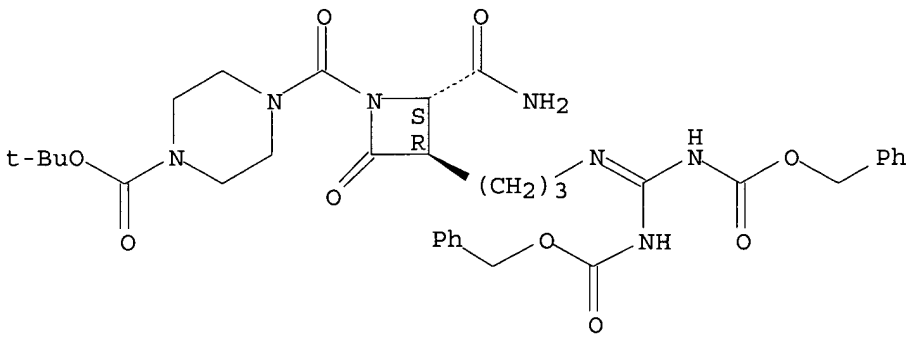
RN 253176-53-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-[(methylamino)carbonyl]-4-oxo-1-azetidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



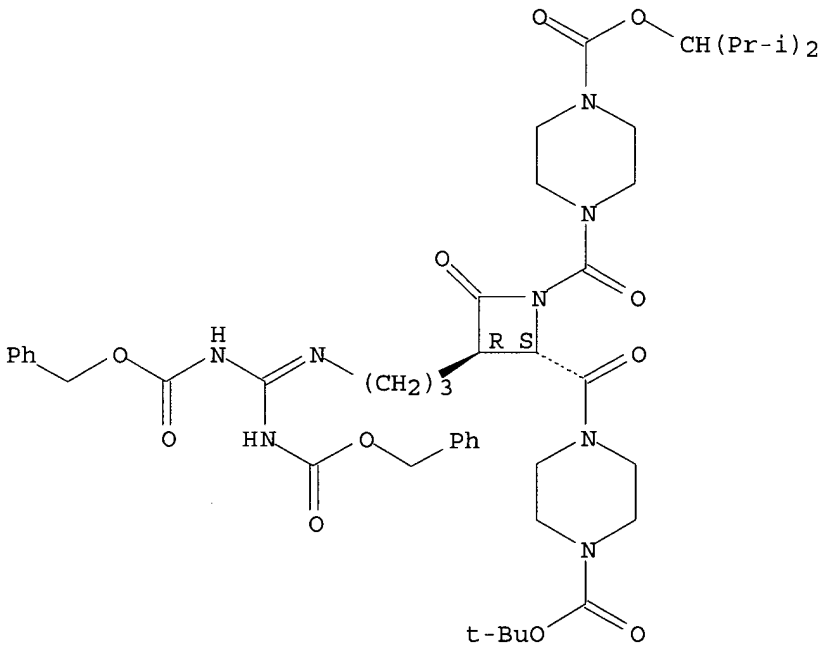
RN 253176-55-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-2-(aminocarbonyl)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-azetidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



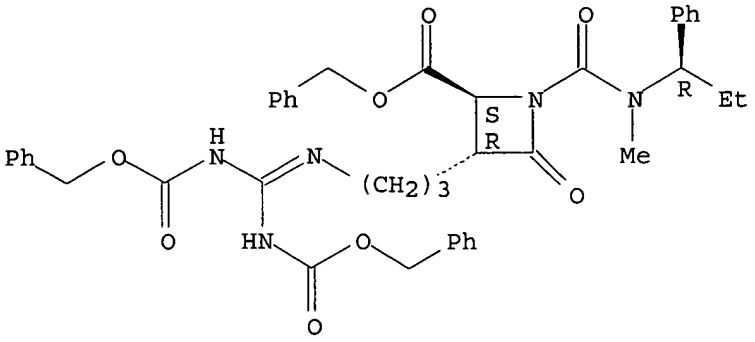
RN 253176-57-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S,3R)-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-2-[[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



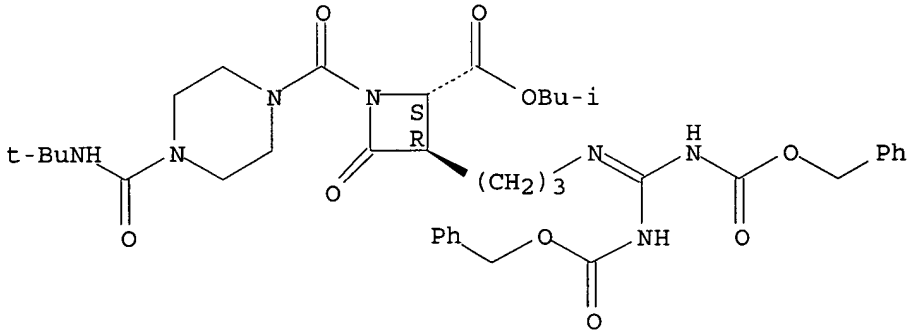
RN 253176-59-9 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[methyl[(1R)-1-phenylpropyl]amino]carbonyl]-4-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



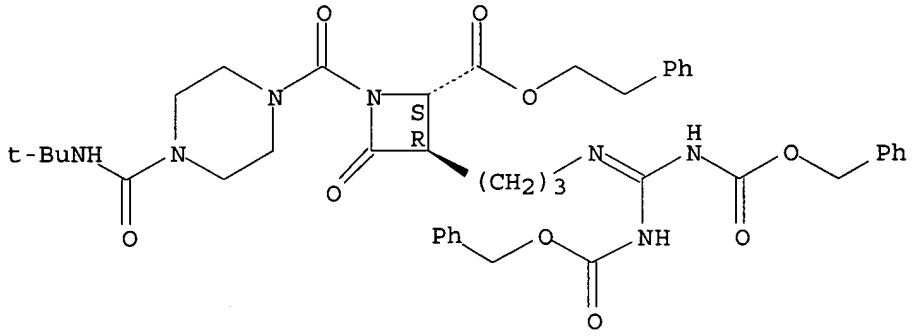
RN 253176-61-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, 2-methylpropyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253176-63-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-4-oxo-, 2-phenylethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

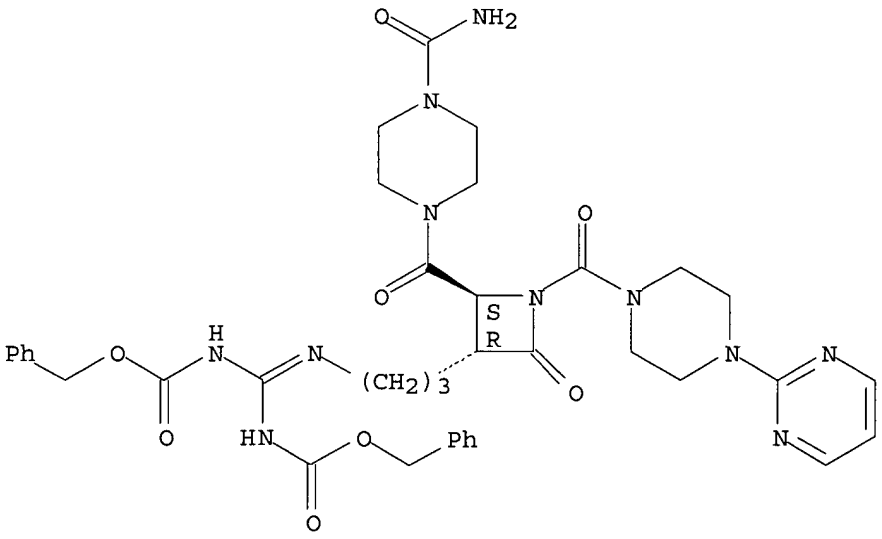
Absolute stereochemistry.



RN 253176-65-7 HCAPLUS

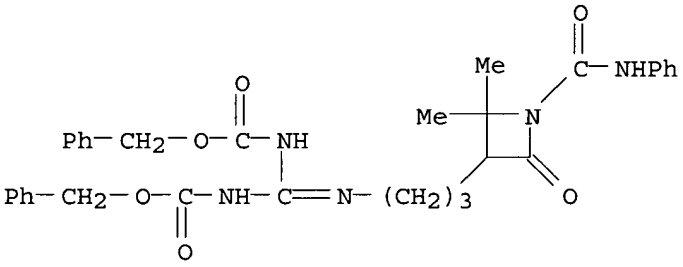
CN Carbamic acid, [[3-[(2S,3R)-2-[[4-(aminocarbonyl)-1-piperazinyl]carbonyl]-4-oxo-1-[[4-(2-pyrimidinyl)-1-piperazinyl]carbonyl]-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253176-72-6 HCAPLUS

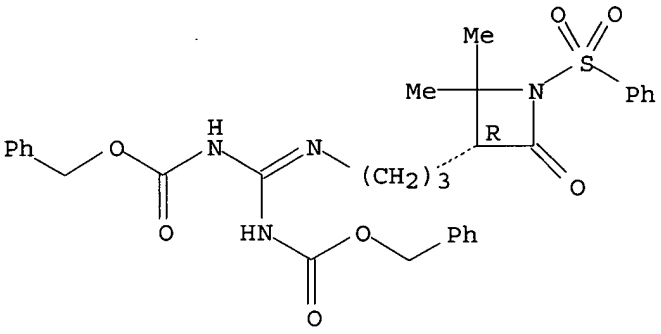
CN Carbamic acid, [[3-[2,2-dimethyl-4-oxo-1-[(phenylamino)carbonyl]-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



RN 253176-75-9 HCAPLUS

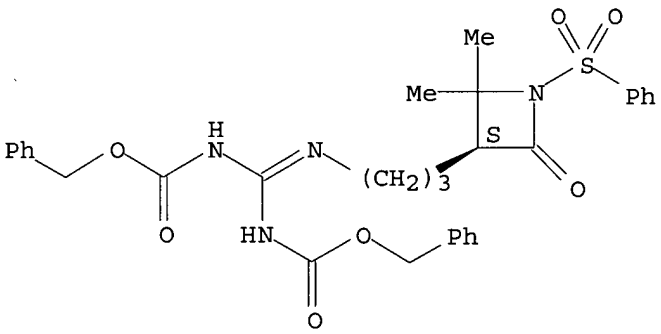
CN Carbamic acid, [[3-[(3R)-2,2-dimethyl-4-oxo-1-(phenylsulfonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



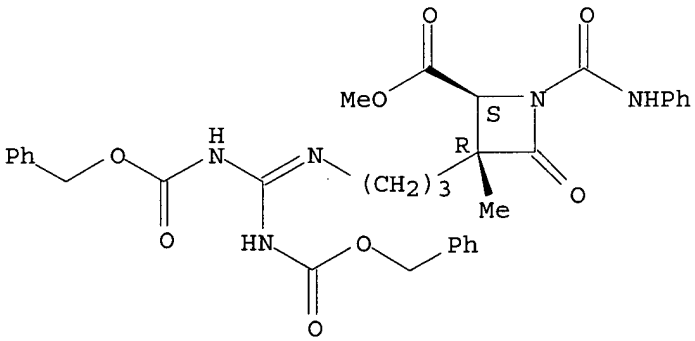
RN 253176-76-0 HCAPLUS
CN Carbamic acid, [[3-[(3S)-2,2-dimethyl-4-oxo-1-(phenylsulfonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253176-84-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-3-methyl-4-oxo-1-[(phenylamino)carbonyl]-, methyl ester, (2R,3S)-rel- (9CI) (CA INDEX NAME)

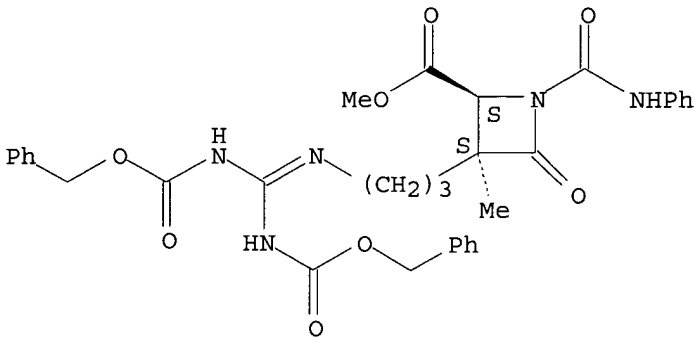
Relative stereochemistry.



RN 253176-92-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-3-methyl-4-oxo-1-[(phenylamino)carbonyl]-, methyl

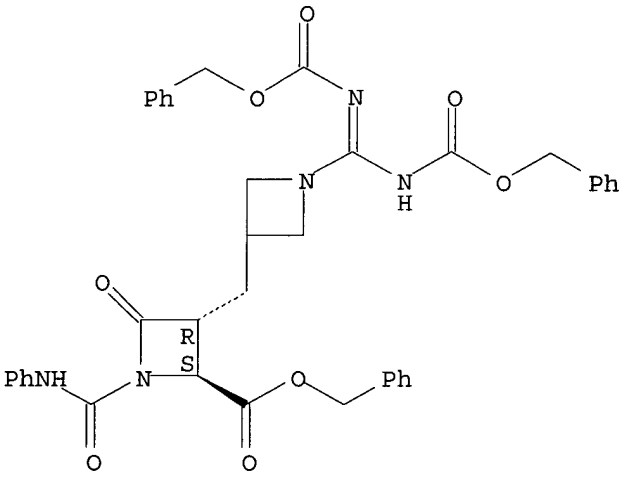
ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



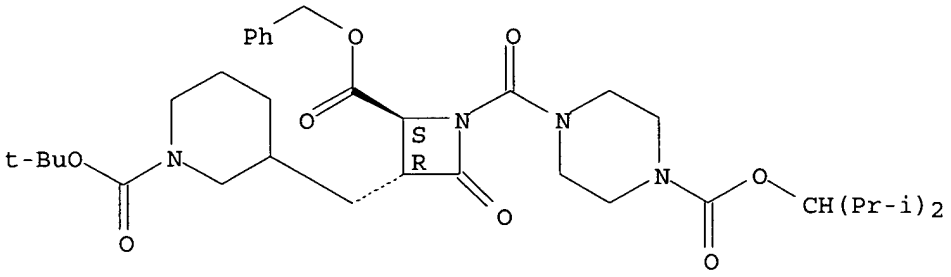
RN 253177-02-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[(phenylamino)carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-azetidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253177-07-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[[1-[(1,1-dimethylethoxy)carbonyl]-3-piperidinyl]methyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

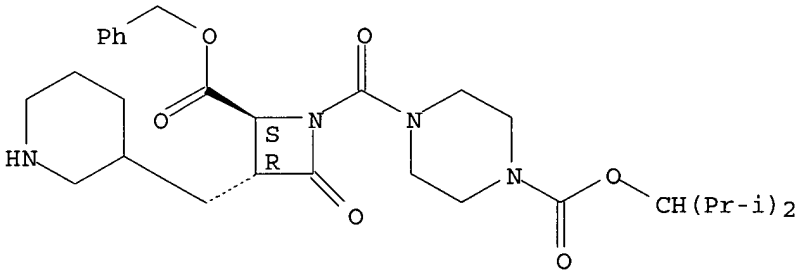


RN 253177-09-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-(3-piperidinylmethyl)-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

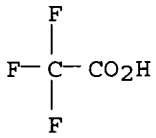
CRN 253177-08-1
CMF C30 H44 N4 O6

Absolute stereochemistry.



CM 2

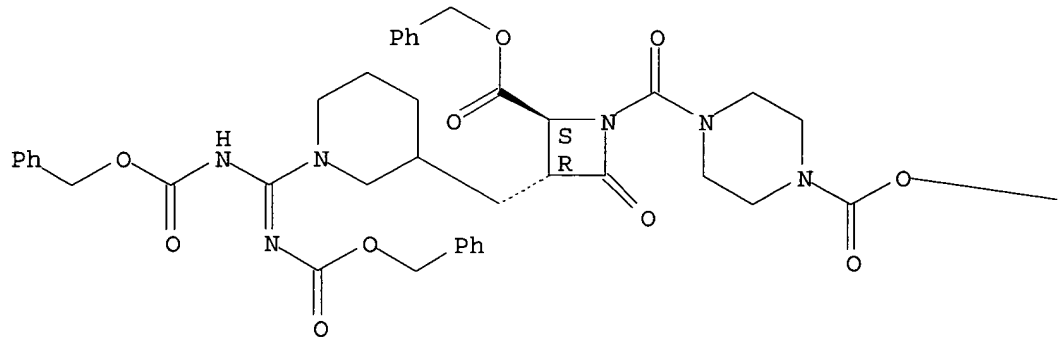
CRN 76-05-1
CMF C2 H F3 O2



RN 253177-10-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-2-oxo-4-[(phenylmethoxy)carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

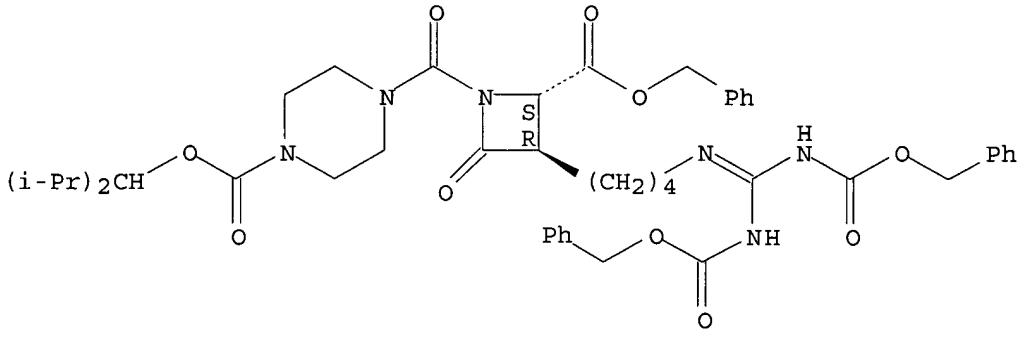


PAGE 1-B

—CH(Pr-i)$_2$

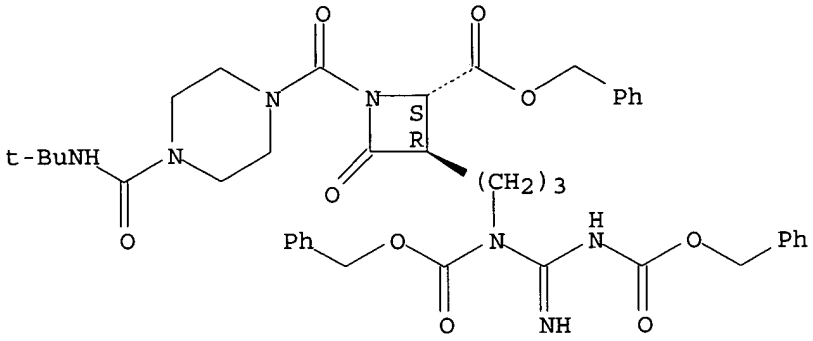
RN 253177-17-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[4-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]butyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



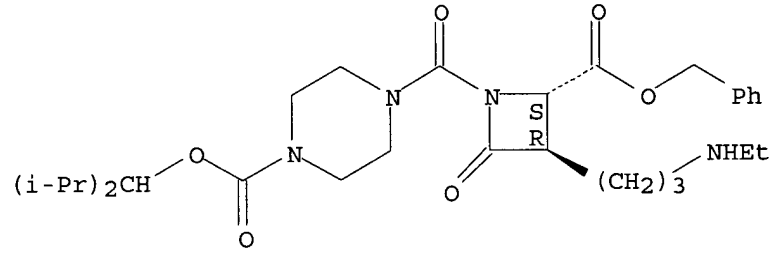
RN 253177-28-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]carbonyl]-3-[3-[[imino[[(phenylmethoxy)carbonyl]amino]methyl][(phenylmethoxy)carbonyl]amino]propyl]-4-oxo-, phenylmethyl ester, (2S,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



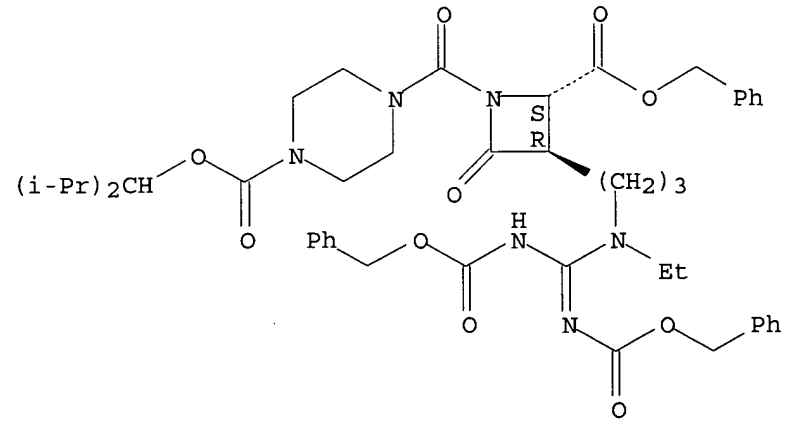
RN 253177-35-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-(ethylamino)propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253177-36-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3R,4S)-3-[3-[ethyl[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]amino]propyl]-2-oxo-4-[(phenylmethoxy)carbonyl]-1-azetidinyl]carbonyl]-, 2-methyl-1-(1-methylethyl)propyl ester (9CI) (CA INDEX NAME)

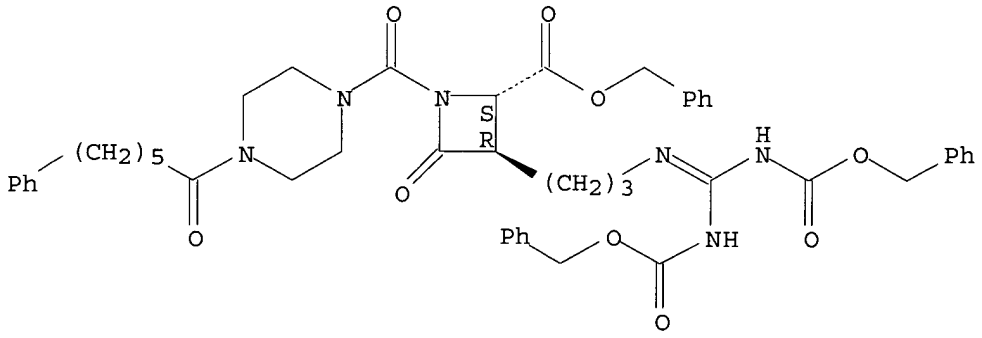
Absolute stereochemistry.



RN 253177-38-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]meth

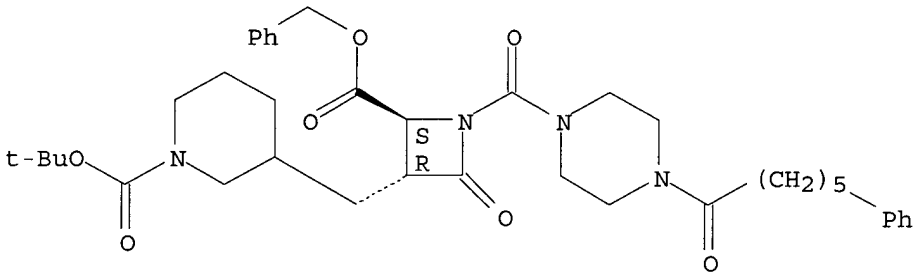
ylene]amino]propyl]-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253177-39-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[(3R,4S)-2-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-4-[(phenylmethoxy)carbonyl]-3-azetidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

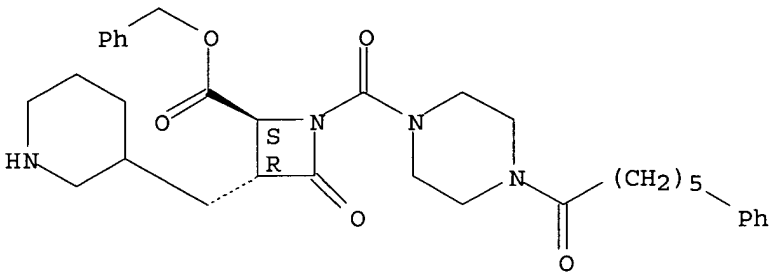


RN 253177-41-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-(3-piperidinylmethyl)-, phenylmethyl ester, (2S,3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

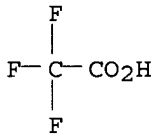
CRN 253177-40-1
CMF C34 H44 N4 O5

Absolute stereochemistry.



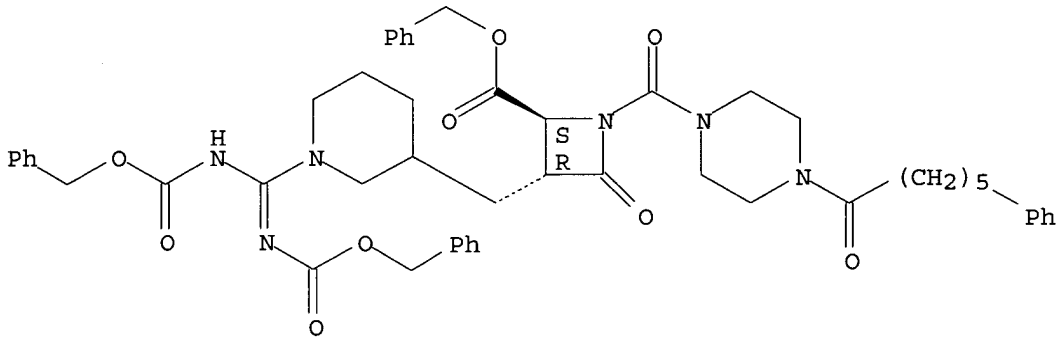
CM 2

CRN 76-05-1
CMF C2 H F3 O2

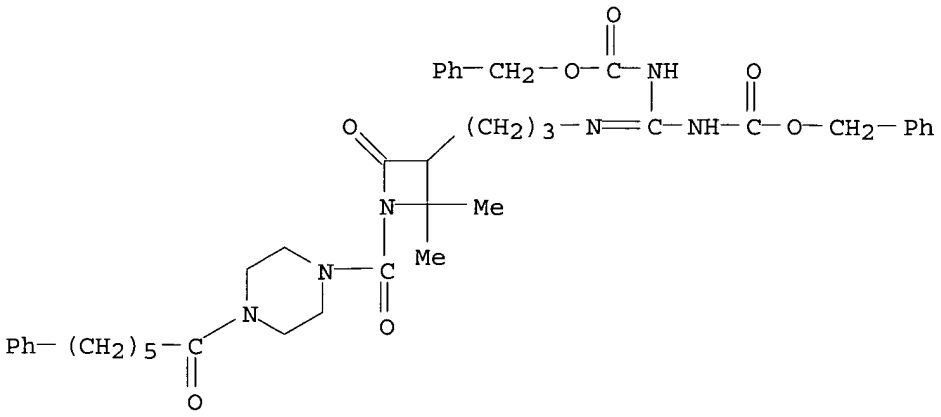


RN 253177-42-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-[[1-[[[(phenylmethoxy)carbonyl]amino][[(phenylmethoxy)carbonyl]imino]methyl]-3-piperidinyl]methyl]-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253177-43-4 HCAPLUS
CN Carbamic acid, [[3-[2,2-dimethyl-4-oxo-1-[[4-(1-oxo-6-phenylhexyl)-1-piperazinyl]carbonyl]-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



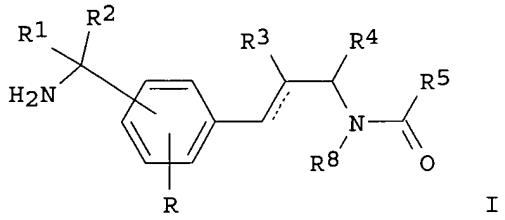
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:34887 HCAPLUS
DOCUMENT NUMBER: 130:110161
TITLE: Preparation of substituted N-[(aminoiminomethyl or aminomethyl)phenyl]propyl amides as Factor Xa inhibitors
INVENTOR(S): Klein, Scott I.; Guertin, Kevin R.; Spada, Alfred P.; Pauls, Heinz W.; Gong, Yong; McGarry, Daniel G.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 252 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9900356	A1	19990107	WO 1998-US13550	19980626
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6080767	A	20000627	US 1997-884405	19970627
CA 2264556	AA	19990107	CA 1998-2264556	19980626
AU 9881771	A1	19990119	AU 1998-81771	19980626
AU 741173	B2	20011122		
EP 931060	A1	19990728	EP 1998-931728	19980626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
BR 9806060	A	19990831	BR 1998-6060	19980626
JP 2001500532	T2	20010116	JP 1999-505870	19980626
AP 1061	A	20020424	AP 1999-1467	19980626
W: GH, GM, KE, LS, MW, SD, SZ, UG, ZW				
NO 9900854	A	19990423	NO 1999-854	19990223

NO 314758	B1	20030519			
US 6323227	B1	20011127	US 1999-259528		19990226
PRIORITY APPLN. INFO.:			US 1997-884405	A2	19970627
			US 1996-9485P	P	19960102
			WO 1996-US20770	A2	19961223
			WO 1998-US13550	W	19980626

OTHER SOURCE(S): MARPAT 130:110161
GI



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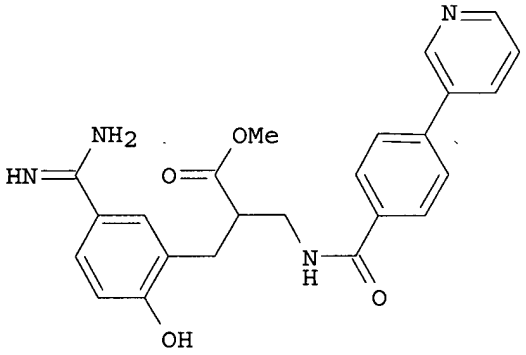


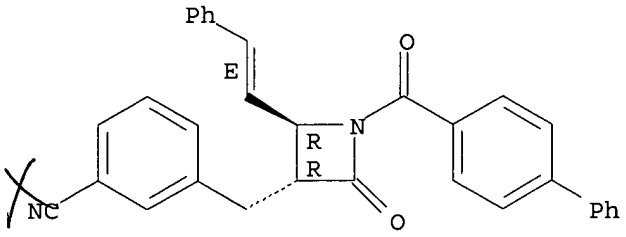
II

AB Title compds. I [R = H, OH, NH2; R1 = R2 = H; or R1R2 = :NR9; R3 = H, CO2R6, COR6, CON(R6)2, CH2OR7, CH2SR7; R4 = H, alkyl, alkyl-Q, thioheterocyclyl, (CH2CH2)nAr, (CH:CH)nAr, CH2Ar; R5 = alk(en/yn)yl, cycloalk(en)yl, heterocycl(en)yl, aryl, heteroaryl, fused systems, etc.; R6 = H, lower alkyl; R7 = H, lower alkyl, aralkyl, lower acyl, aroyl, heteroaroyl; R8 = H, lower alkyl; R9 = H, R10O2C, R10O, HO, cyano, R10CO, OHC, lower alkyl, O2N, Y1'Y2'N; R10 = alkyl, aralkyl, heteroaralkyl; Y1', Y2' = H, alkyl; Q = R7O, R7S, Y1Y2N; Y1, Y2 = H, alkyl, aryl, aralkyl; or one of Y1 and Y2 = acyl or aroyl and the other is as given; Ar = aryl or heteroaryl; n = 0-2] and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates, are useful as Factor Xa inhibitors. For example, 4-(pyridin-3-yl)benzoic acid was amidated with tert-Bu 3-aminopropionate-HCl via the acid chloride, and the resulting β-acylamino ester underwent a sequence of (1) α-alkylation with 5-iodo-2-[(2-methoxyethoxy)methoxy]benzyl bromide, (2) acidic deprotection of the MEM group, and conversion to the Me ester, (3) Pd-catalyzed cyanation of the iodide, and (4) Pinner reaction and ammonolysis of the nitrile, to give title compound II. Three example compds. showed Ki values of 19.0-94.0 nM in a Factor Xa assay, 46 nM to 1.72 μM in a trypsin assay, and 477 nM to 2.71 μM in a thrombin assay.

IT **193151-15-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(intermediate; preparation of substituted [(aminoiminomethyl)- or [(aminomethyl)phenyl]propyl amides as Factor Xa inhibitors)
RN 193151-15-4 HCAPLUS
CN 2-Azetidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-3-[(3-cyanophenyl)methyl]-4-[(1E)-2-phenylethenyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

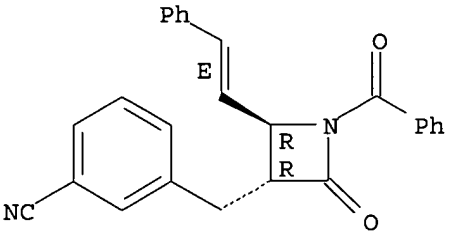


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:66713 HCAPLUS
DOCUMENT NUMBER: 128:136097
TITLE: Identification and Initial Structure-Activity Relationships of a Novel Class of Nonpeptide Inhibitors of Blood Coagulation Factor Xa
AUTHOR(S): Klein, Scott I.; Czekaj, Mark; Gardner, Charles J.; Guertin, Kevin R.; Cheney, Daniel L.; Spada, Alfred P.; Bolton, Scott A.; Brown, Karen; Colussi, Dennis; Heran, Christopher L.; Morgan, Suzanne R.; Leadley, Robert J.; Dunwiddie, Christopher T.; Perrone, Mark H.; Chu, Valeria
CORPORATE SOURCE: Departments of Cardiovascular Drug Discovery and New Leads Generation, Rhone-Poulenc Rorer, Collegeville, PA, 19426, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(4), 437-450
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The discovery and some of the basic structure-activity relationships of a series of novel nonpeptide inhibitors of blood coagulation Factor Xa is described. These inhibitors are functionalized β-alanines, exemplified by benzoylstyryl-β-alanine Me ester (I). Docking expts. placing I in the active site of factor Xa implied that the most expeditious route to enhancing in vitro potency was to modify the group occupying the S3 site of the enzyme. Increasing the hydrophobic contacts between the inhibitor and the enzyme in this region led to phenylbenzoyl-β-alanine Me ester, which has served as the prototype for this series. In addition, an enantioselective synthesis of these substituted β-alanines was also developed.
IT **202208-78-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and identification and MSBAR of nonpeptide inhibitors of blood coagulation factor Xa)

RN 202208-78-4 HCAPLUS
CN 2-Azetidinone, 1-benzoyl-3-[(3-cyanophenyl)methyl]-4-(2-phenylethenyl)-, [3α,4β(E)]- (9CI) (CA INDEX NAME)

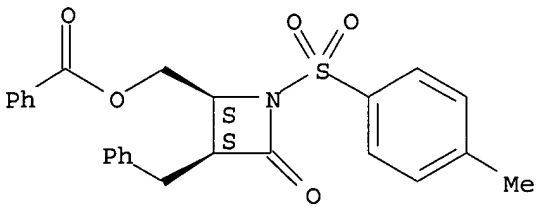
Relative stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

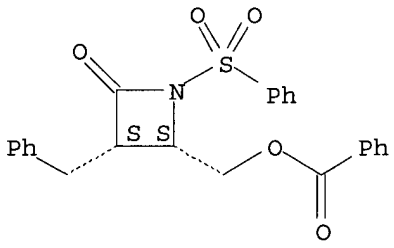
L6 ANSWER 24 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:653963 HCAPLUS
DOCUMENT NUMBER: 127:331336
TITLE: An investigation of the N-arylsulfonylation of 2-azetidinones
AUTHOR(S): Adlington, Robert M.; Baldwin, Jack E.; Mccoull, William; Pritchard, Gareth J.; Schofield, Christopher J.; Westwood, Nicholas J.
CORPORATE SOURCE: The Dyson Perrins Laboratory, University of Oxford, Oxford, OX1 3QY, UK
SOURCE: Synthetic Communications (1997), 27(21), 3803-3813
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N-Arylsulfonylation of 2-azetidinones can lead to the diastereoselective formation of oligomerization products. However, a simple increase of arylsulfonyl chloride concentration minimized oligomerization and allowed preparation of 1-arylsulfonyl-2-azetidinones in good yield.
IT **197712-32-6P 197712-33-7P 197712-34-8P 197712-35-9P 197712-36-0P 197844-62-5P 197844-67-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(oligomerization in the N-arylsulfonylation of 2-azetidinones)
RN 197712-32-6 HCAPLUS
CN 2-Azetidinone, 4-[(benzoyloxy)methyl]-1-[(4-methylphenyl)sulfonyl]-3-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



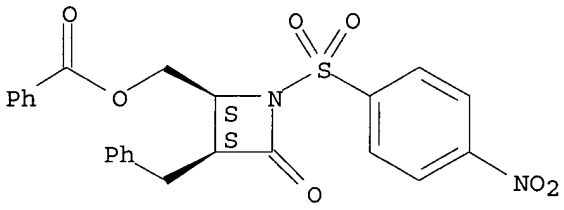
RN 197712-33-7 HCAPLUS
CN 2-Azetidinone, 4-[(benzoyloxy)methyl]-3-(phenylmethyl)-1-(phenylsulfonyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



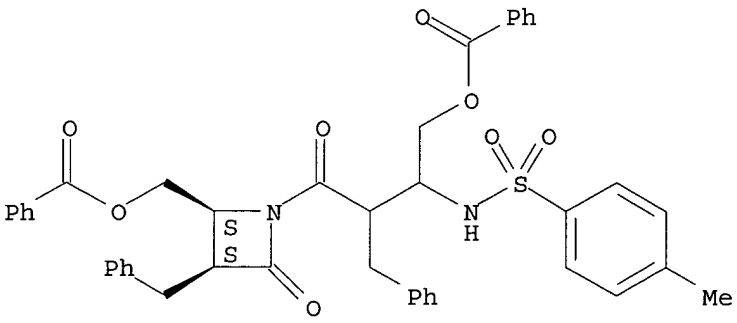
RN 197712-34-8 HCAPLUS
CN 2-Azetidinone, 4-[(benzoyloxy)methyl]-1-[(4-nitrophenyl)sulfonyl]-3-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



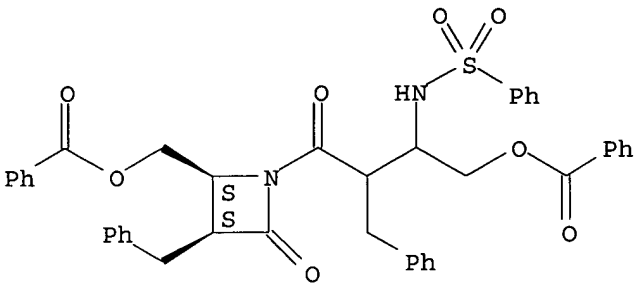
RN 197712-35-9 HCAPLUS
CN 2-Azetidinone, 4-[(benzoyloxy)methyl]-1-[4-(benzoyloxy)-3-[[(4-methylphenyl)sulfonyl]amino]-1-oxo-2-(phenylmethyl)butyl]-3-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



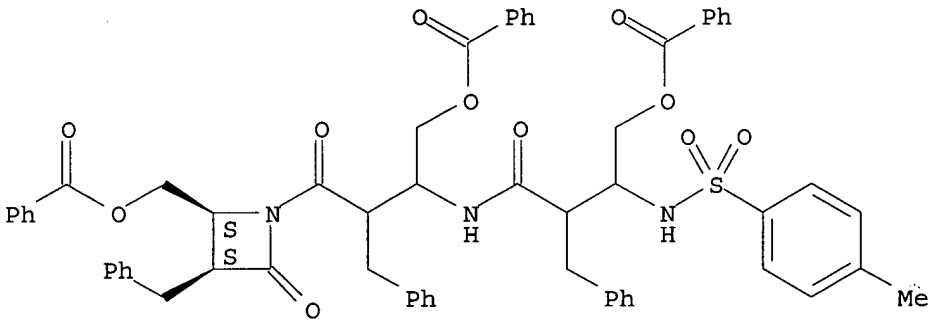
RN 197712-36-0 HCAPLUS
CN 2-Azetidinone, 4-[(benzoyloxy)methyl]-1-[4-(benzoyloxy)-1-oxo-2-(phenylmethyl)-3-[(phenylsulfonyl)amino]butyl]-3-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



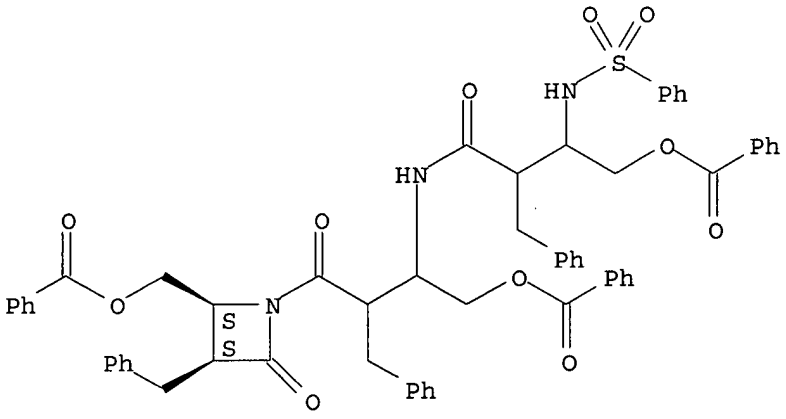
RN 197844-62-5 HCAPLUS
CN Benzenepropanamide, N-[1-[(benzoyloxy)methyl]-3-[2-[(benzoyloxy)methyl]-4-oxo-3-(phenylmethyl)-1-azetidinyl]-3-oxo-2-(phenylmethyl)propyl]-α-[2-(benzoyloxy)-1-[[(4-methylphenyl)sulfonyl]amino]ethyl]-, (2α,3α)-[partial]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 197844-67-0 HCAPLUS
CN Benzenepropanamide, N-[1-[(benzoyloxy)methyl]-3-[2-[(benzoyloxy)methyl]-4-oxo-3-(phenylmethyl)-1-azetidinyl]-3-oxo-2-(phenylmethyl)propyl]-α-[2-(benzoyloxy)-1-[(phenylsulfonyl)amino]ethyl]-, (2α,3α)-[partial]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:543463 HCAPLUS
DOCUMENT NUMBER: 127:136073
TITLE: Preparation of substituted N-[(aminoiminomethyl or aminomethyl)phenyl]propyl amides as factor Xa inhibitors
INVENTOR(S): Guertin, Kevin R.; Klein, Scott I.; Spada, Alfred P.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA; Guertin, Kevin R.; Klein, Scott I.; Spada, Alfred P.
SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724118	A1	19970710	WO 1996-US20770	19961223
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2241904	AA	19970710	CA 1996-2241904	19961223
CA 2241904	C	20041221		
AU 9715207	A1	19970728	AU 1997-15207	19961223
AU 723338	B2	20000824		
CN 1208347	A	19990217	CN 1996-199894	19961223
EP 906094	A1	19990407	EP 1996-945304	19961223
EP 906094	B1	20030625		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9612423	A	19991228	BR 1996-12423	19961223
JP 2000502710	T2	20000307	JP 1997-524560	19961223
AP 861	A	20000801	AP 1998-1288	19961223
W: KE, LS, MW, SD, SZ, UG				
PL 185460	B1	20030530	PL 1996-327633	19961223
AT 243512	E	20030715	AT 1996-945304	19961223
PT 906094	T	20031128	PT 1996-945304	19961223
ES 2197257	T3	20040101	ES 1996-945304	19961223
SK 284507	B6	20050505	SK 1998-897	19961223
US 6080767	A	20000627	US 1997-884405	19970627
NO 9803039	A	19980902	NO 1998-3039	19980630
NO 310719	B1	20010820		
BG 64143	B1	20040227	BG 1998-102619	19980710
US 6140504	A	20001031	US 2000-499335	20000204

PRIORITY APPLN. INFO.: US 1996-9485P P 19960102
WO 1996-US20770 W 19961223

OTHER SOURCE(S): MARPAT 127:136073
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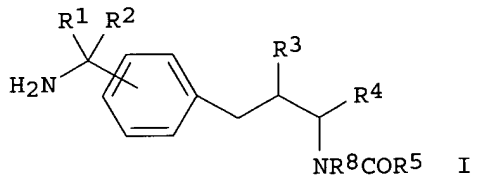

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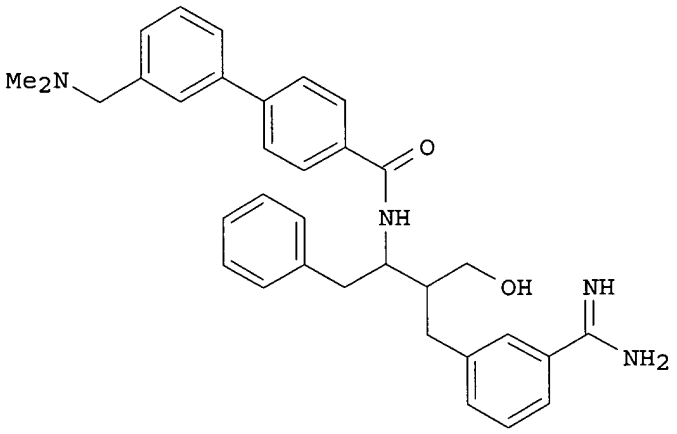


II

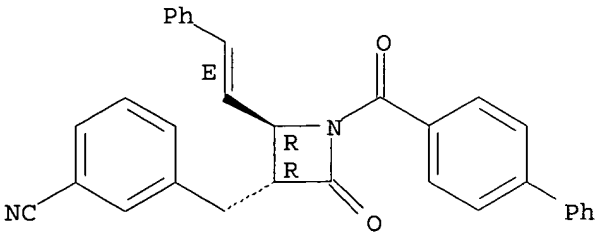
AB Title compds. I [R1 = R2 = H; R1R2 = NR9; R3 = CO2R6, COR6, CONR62, CH2OR7, CH2SR7; R4 = H, alkyl, cycloalkyl, cycloalkylalkyl, (CH2CH2)nAr, (CH:CH)nAr, CH2Ar; R5 = alkyl, alkenyl, optionally substituted aryl, optionally substituted heteroaryl; R6 = H, lower alkyl; R7 = H, lower alkyl, lower acyl, aroyl, heteroaroyl; R8 = H, lower alkyl; R9 = R10O2C, R10O, HO, cyano, R10CO, OHC, lower alkyl, O2N, Y1Y2N; R10 = optionally substituted alkyl, optionally substituted aralkyl, optionally substituted heteroalkyl; Y1, Y2 = independently H, alkyl; Ar = optionally substituted aryl, optionally substituted heteroaryl; n = 0-2], a pharmaceutically acceptable salt thereof, N-oxide thereof, hydrate thereof, or solvate thereof, exhibit useful pharmacol. activity and accordingly are incorporated into pharmaceutical compns. and used in the treatment of patients suffering from certain medical disorders. More especially, they are factor Xa inhibitors. The present invention is directed to compds. I, compns. containing compds. I, methods for their preparation and their use, which are for treating a patient suffering from, or subject to, conditions which can be ameliorated by the administration of an inhibitor of factor Xa. Thus, compound II, prepared in several steps from Boc-D-Phe-OH, 3-NCC6H4CH2Br, and 3-(Me2NCH2)C6H3-p-C6H4CO2H showed Ki values of 27.0 nM, 1.27 μM, and 2.71 μM, in factor Xa, trypsin, and thrombin assays, resp,.

IT **193151-15-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted [(aminoiminomethyl)- or [(aminomethyl)phenyl]propyl amides as factor Xa inhibitors)

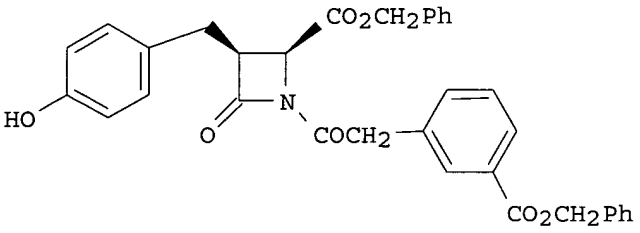
RN 193151-15-4 HCAPLUS

CN 2-Azetidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-3-[(3-cyanophenyl)methyl]-4-[(1E)-2-phenylethenyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L6 ANSWER 26 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:468983 HCAPLUS
DOCUMENT NUMBER: 127:176286
TITLE: Design and synthesis of novel monocyclic β-lactam inhibitors of prostate specific antigen
AUTHOR(S): Adlington, Robert M.; Baldwin, Jack E.; Chen, Beining; Cooper, Stephen L.; Mccoull, William; Pritchard, Gareth J.; Howe, Trevor J.; Becker, Gerald W.; Hermann, Robert B.; Mcnulty, Ann M.; Neubauer, Blake L.
CORPORATE SOURCE: The Dyson Perrins Laboratory, University of Oxford, Oxford, OX1 3QY, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(13), 1689-1694
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



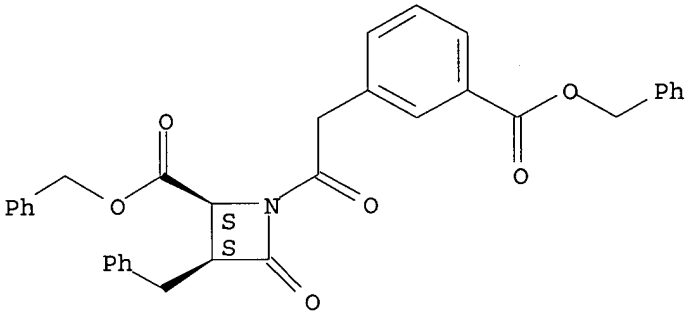
I

AB A novel series of monocyclic β-lactam analogs e.g., I was designed using a homol. derived model of prostate specific antigen (PSA) and by application of a multiple copy simultaneous search technique. Syntheses were conducted by assembly of the β-lactam core via a Staudinger reaction with elaboration at the 1, 3 and 4 positions to probe active site binding. Inhibition against PSA was evaluated.

IT **193959-17-0P 193959-19-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(design and synthesis of novel monocyclic β-lactam inhibitors of prostate specific antigen)
RN 193959-17-0 HCAPLUS

CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]ac etyl]-3-(phenylmethyl)-, phenylmethyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

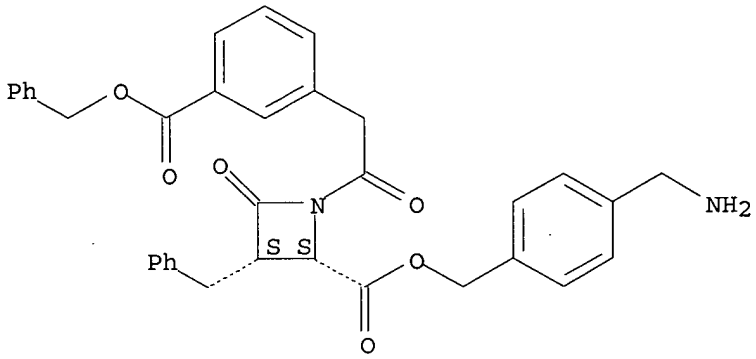


RN 193959-19-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]ac etyl]-3-(phenylmethyl)-, [4-(aminomethyl)phenyl]methyl ester, (2R,3R)-rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

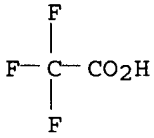
CRN 193959-18-1
CMF C35 H32 N2 O6

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

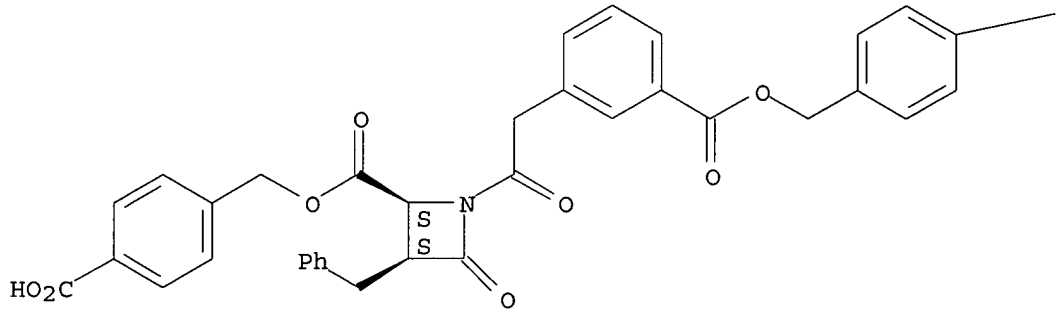


IT **193959-20-5P 193959-21-6P 193959-22-7P**
193959-23-8P 193959-27-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design and synthesis of novel monocyclic β-lactam inhibitors of prostate specific antigen)

RN 193959-20-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[3-[[(4-carboxyphenyl)methoxy]carbonyl]phenyl]acetyl]-4-oxo-3-(phenylmethyl)-, 2-[(4-carboxyphenyl)methyl] ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

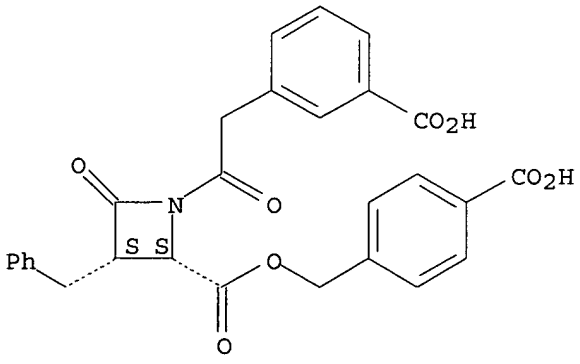


PAGE 1-B

—CO_2H

RN 193959-21-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-[(3-carboxyphenyl)acetyl]-4-oxo-3-(phenylmethyl)-, 2-[(4-carboxyphenyl)methyl] ester, cis- (9CI) (CA INDEX NAME)

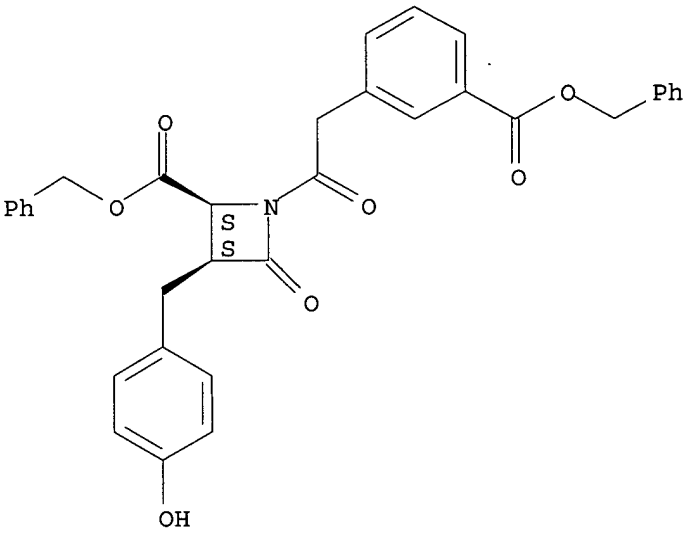
Relative stereochemistry.



RN 193959-22-7 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[(4-hydroxyphenyl)methyl]-4-oxo-1-[[3-

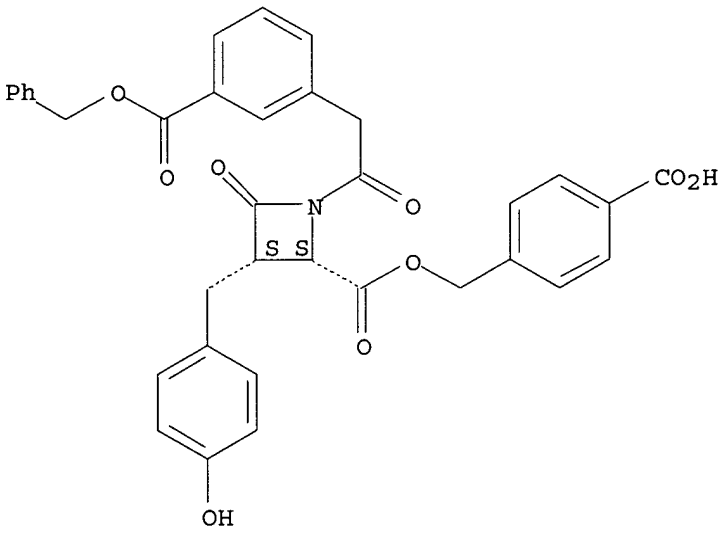
[(phenylmethoxy)carbonyl]phenyl]acetyl]-, phenylmethyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



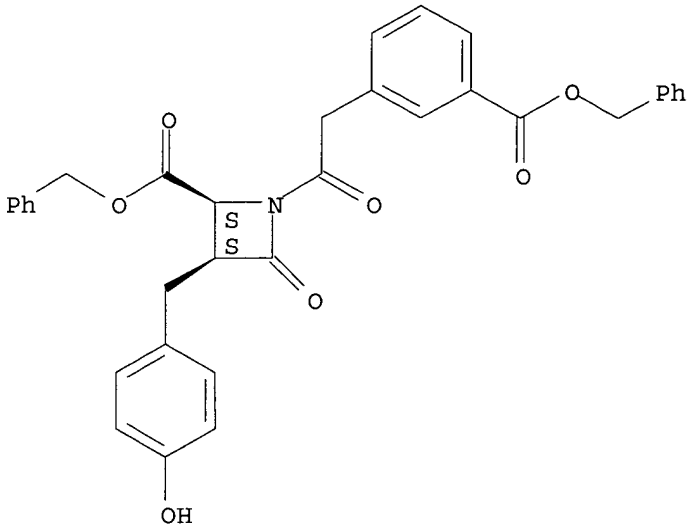
RN 193959-23-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[(4-hydroxyphenyl)methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, (4-carboxyphenyl)methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



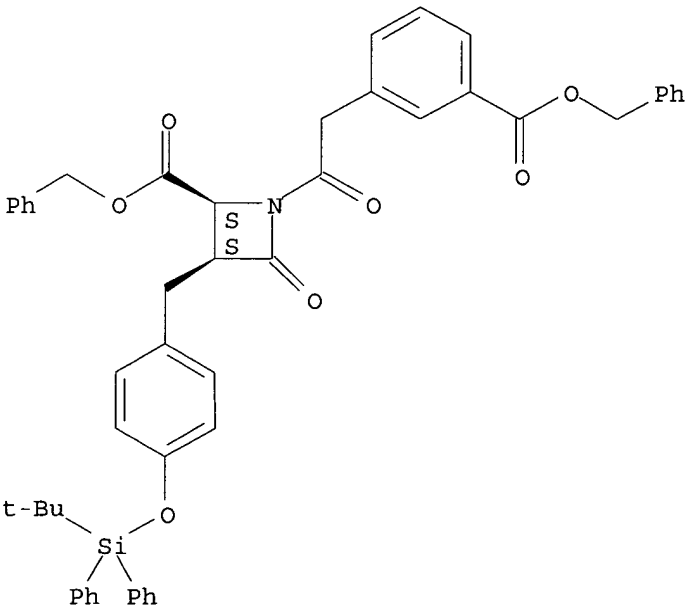
RN 193959-27-2 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[(4-hydroxyphenyl)methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, phenylmethyl ester, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



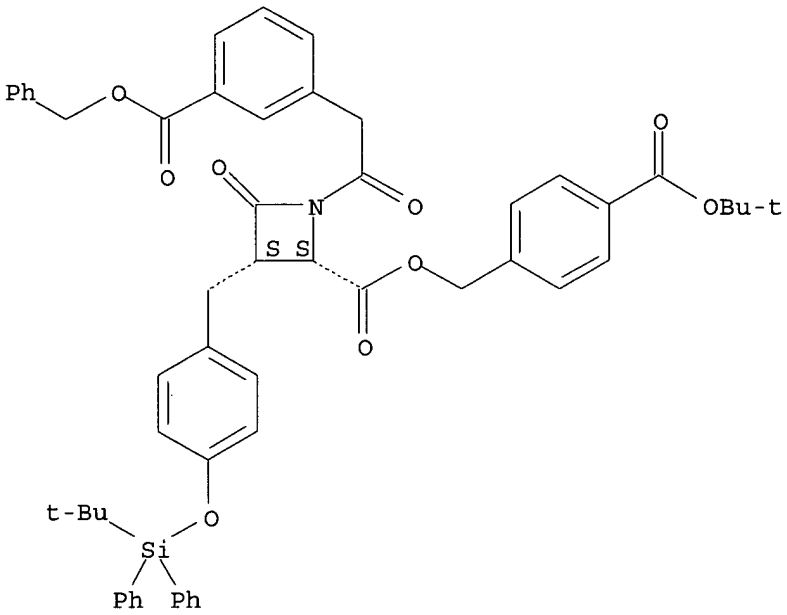
IT **193959-45-4P 193959-46-5P 193959-47-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design and synthesis of novel monocyclic β-lactam inhibitors of prostate specific antigen)
RN 193959-45-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]phenyl]methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, phenylmethyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



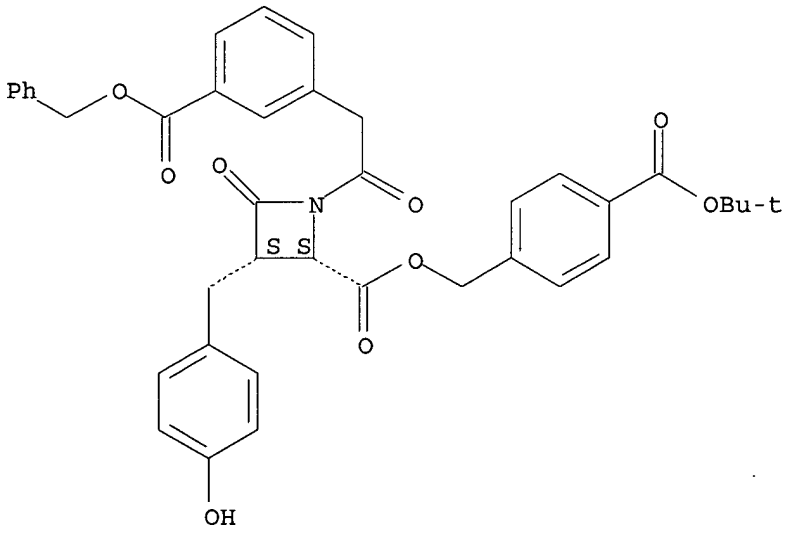
RN 193959-46-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[[4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]phenyl]methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, [4-[(1,1-dimethylethoxy)carbonyl]phenyl]methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 193959-47-6 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[(4-hydroxyphenyl)methyl]-4-oxo-1-[[3-[(phenylmethoxy)carbonyl]phenyl]acetyl]-, [4-[(1,1-dimethylethoxy)carbonyl]phenyl]methyl ester, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

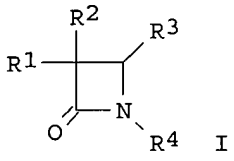


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:361625 HCAPLUS
DOCUMENT NUMBER: 126:330546
TITLE: Preparation of 1-acyl-2-oxo-3-azetidinealkanoates as cytokine inhibitors
INVENTOR(S): Dyke, Hazel Joan; Montana, John Gary
PATENT ASSIGNEE(S): Chiroscience Limited, UK; Dyke, Hazel Joan; Montana, John Gary
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9713750	A1	19970417	WO 1996-GB2464		19961009
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG					
AU 9672221	A1	19970430	AU 1996-72221		19961009
PRIORITY APPLN. INFO.:			GB 1995-20628	A	19951009
			GB 1995-20629	A	19951009
			GB 1995-20634	A	19951009
			WO 1996-GB2464	W	19961009

OTHER SOURCE(S): MARPAT 126:330546
GI



I

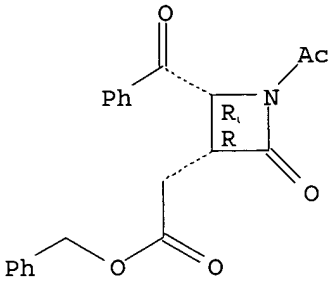
AB Title compds. [I; R1 = carboxyalkyl, tetrazolylalkyl, alkoxycarbonylalkyl, etc.; R2 = H, alkyl, groups cited for R1; R3 = COR7 or SO0-2R10; R7 = alkyl(amino), alkoxy, aryl(amino), etc.; R8 = alkyl(amino), aryl(amino), etc.; R9 = alkyl(amino), CF3, aryl(amino), etc.; R10 = alkyl(amino), CF3, arylamino, etc.] were prepared as cytokine inhibitors (no data). Thus, 4-(MeO)C6H4N:CHCOPh was cyclocondensed with EtO2CCH2CH2COCl and the isomerized and deprotected product N-acetylated to give cis-I (R1 = CH2CO2Et, R2 = H, R3 = COPh, R4 = Ac).

IT **189688-55-9P 189688-58-2P 189688-62-8P 189688-66-2P 189688-68-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-acyl-2-oxo-3-azetidinealkanoates as cytokine inhibitors)

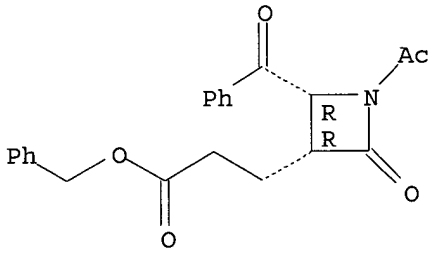
RN 189688-55-9 HCAPLUS
CN 3-Azetidineacetic acid, 1-acetyl-2-benzoyl-4-oxo-, phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



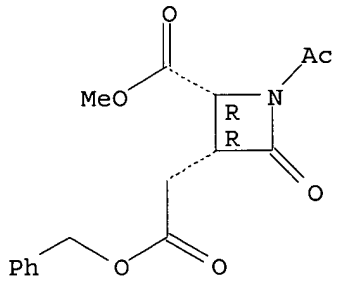
RN 189688-58-2 HCAPLUS
CN 3-Azetidinepropanoic acid, 1-acetyl-2-benzoyl-4-oxo-, phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



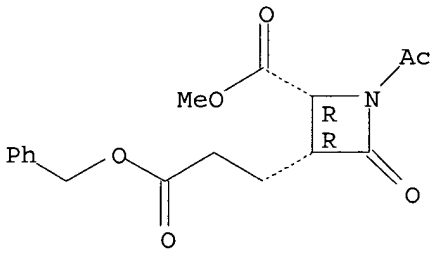
RN 189688-62-8 HCAPLUS
CN 3-Azetidineacetic acid, 1-acetyl-2-(methoxycarbonyl)-4-oxo-, phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



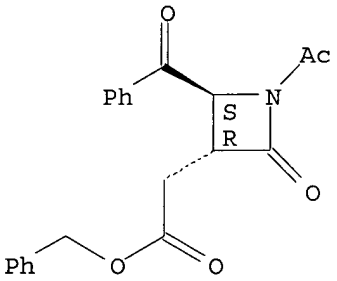
RN 189688-66-2 HCAPLUS
CN 3-Azetidinepropanoic acid, 1-acetyl-2-(methoxycarbonyl)-4-oxo-, phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 189688-68-4 HCAPLUS
CN 3-Azetidineacetic acid, 1-acetyl-2-benzoyl-4-oxo-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L6 ANSWER 28 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:777438 HCAPLUS
DOCUMENT NUMBER: 123:329602
TITLE: Azetidin-2-one derivatives as inhibitors of thrombin
AUTHOR(S): Han, William T.; Trehan, Ashok K.; Wright, J. J. Kim; Federici, Marianne E.; Seiler, Steven M.; Meanwell, Nicholas A.
CORPORATE SOURCE: Div. Chem., Bristol-Myers Equibb Pharm. Res. Inst., Wallingford, CT, 06492-7660, USA
SOURCE: Bioorganic & Medicinal Chemistry (1995), 3(8), 1123-43
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of 3-(3-guanidinopropyl)-azetidin-2-one derivs. was prepared and evaluated as inhibitors of cleavage of synthetic substrates in vitro by the serine proteases thrombin, trypsin and plasmin. The N-unsubstituted, 4-phenethyl derivative demonstrated weak inhibition of these enzymes but acetylation of the β-lactam nitrogen afforded an effective, time-dependent inhibitor of thrombin and a potent inhibitor of plasmin. Variation of the 4-position of the β-lactam ring was examined in conjunction with different N-substituents to provide a series of potent, time-dependent inhibitors of thrombin. A C-4 substituent was essential for good inhibitory properties and, in general, polar C-4 substituents enhanced the selectivity of inhibition for thrombin compared to plasmin. A trans relation between the C-4 and C-3 substituents was superior to a cis disposition while homologation of the guanidinopropyl side chain to that of a guanidinobutyl moiety reduced activity. Several compds. were

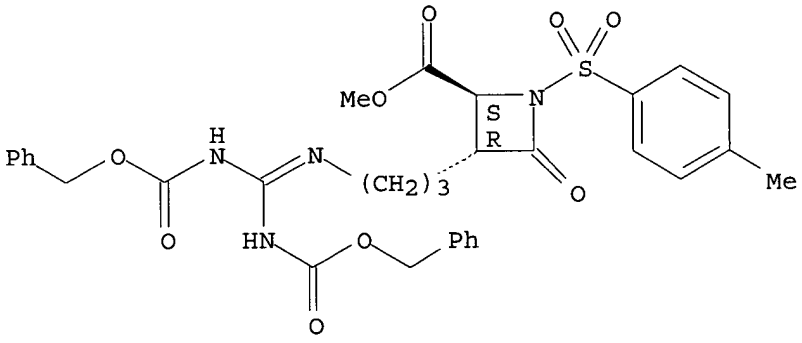
effective inhibitors of thrombin-induced clot formation in human plasma in vitro but activity in this assay did not correlate well with inhibition of thrombin-induced cleavage of a synthetic substrate, presumably a consequence of inherent chemical instability and degradation in plasma.

IT **137054-77-4P 137054-79-6P 137054-81-0P**
137054-84-3P 137054-95-6P 137054-97-8P
137055-02-8P 137055-04-0P 137055-06-2P
137055-09-5P 137055-10-8P 137055-13-1P
137055-21-1P 137055-23-3P 137055-25-5P
137055-34-6P 137089-14-6P 170237-14-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azetidinone derivs. as thrombin inhibitors)

RN 137054-77-4 HCAPLUS

CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[(4-methylphenyl)sulfonyl]-4-oxo-, methyl ester, trans- (9CI) (CA INDEX NAME)

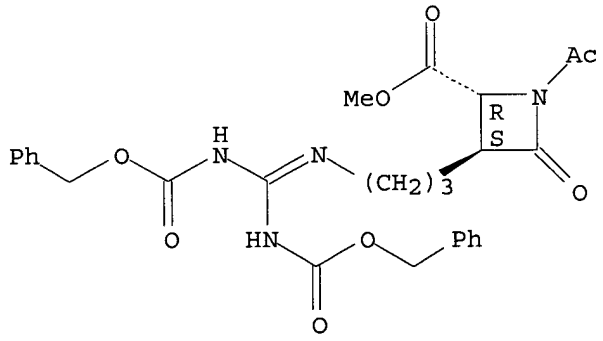
Relative stereochemistry.



RN 137054-79-6 HCAPLUS

CN 2-Azetidinecarboxylic acid, 1-acetyl-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-, methyl ester, trans- (9CI) (CA INDEX NAME)

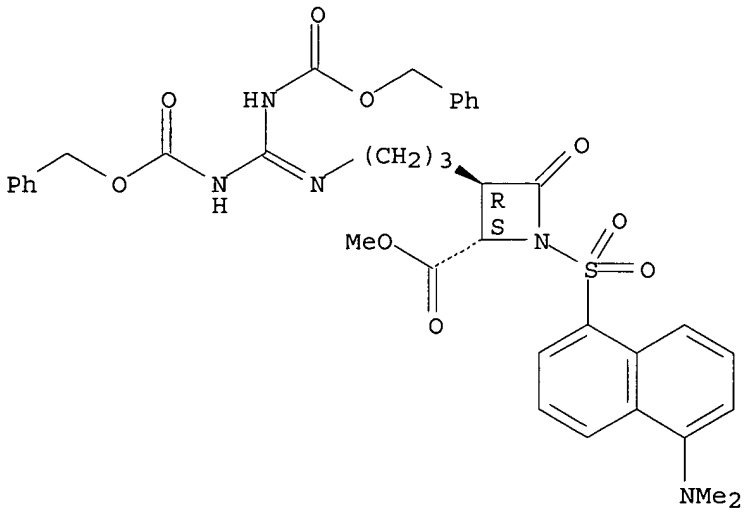
Relative stereochemistry.



RN 137054-81-0 HCAPLUS

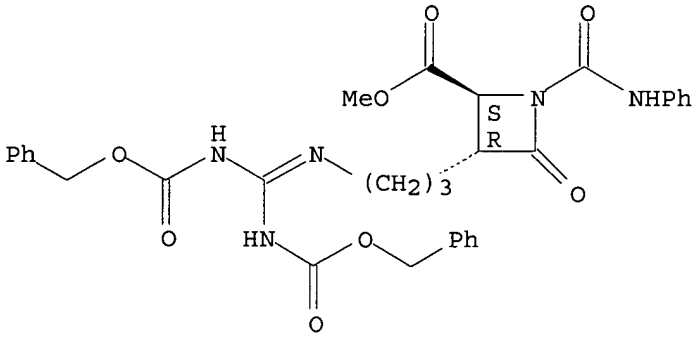
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-4-oxo-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

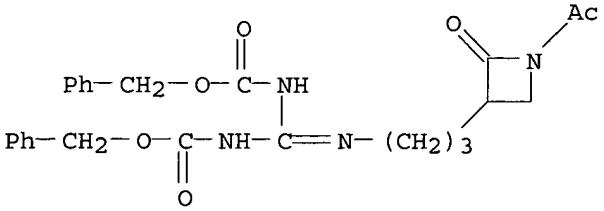


RN 137054-84-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[(phenylamino)carbonyl]-, methyl ester, trans-(9CI) (CA INDEX NAME)

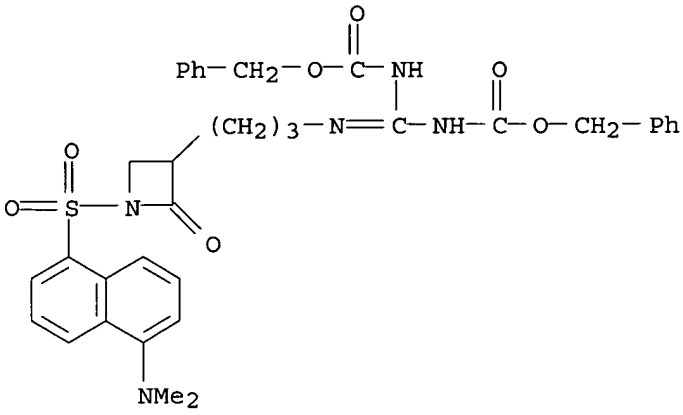
Relative stereochemistry.



RN 137054-95-6 HCAPLUS
CN Carbamic acid, [[3-(1-acetyl-2-oxo-3-azetidinyl)propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

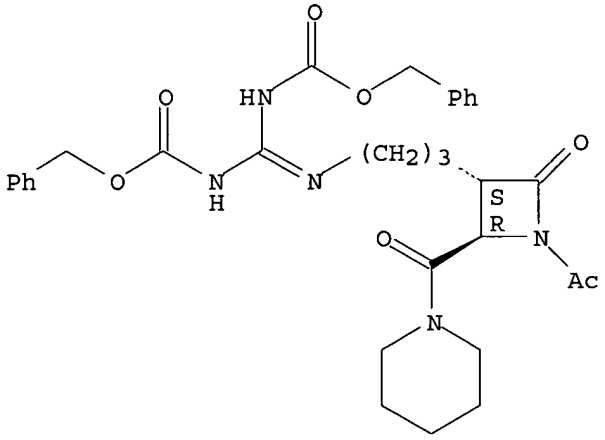


RN 137054-97-8 HCAPLUS
CN Carbamic acid, [[3-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-2-oxo-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



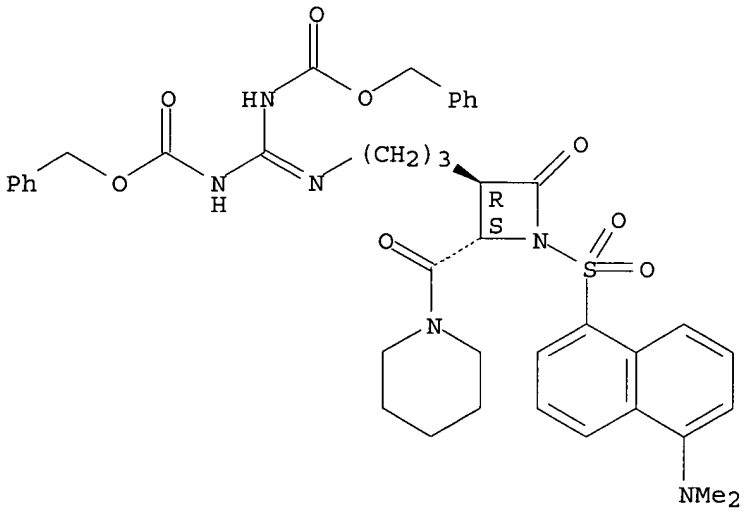
RN 137055-02-8 HCAPLUS
CN Carbamic acid, [[3-[1-acetyl-2-oxo-4-(1-piperidinylcarbonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



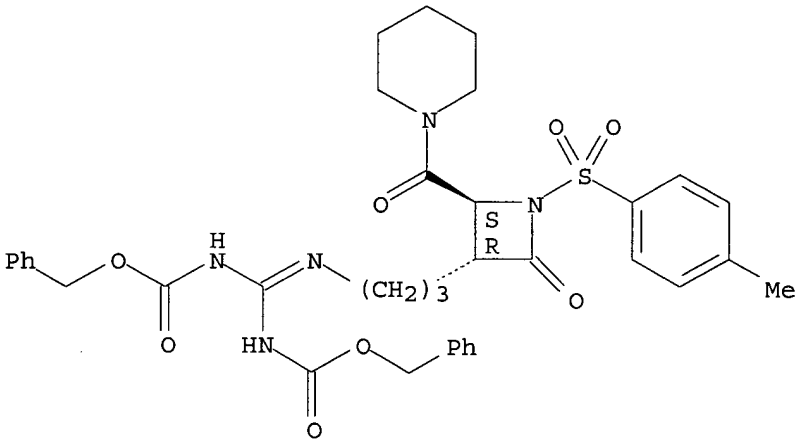
RN 137055-04-0 HCAPLUS
CN Carbamic acid, [[3-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-2-oxo-4-(1-piperidinylcarbonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



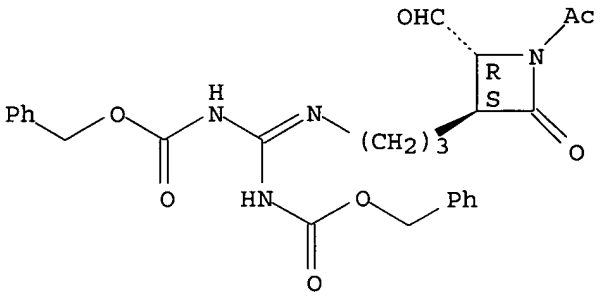
RN 137055-06-2 HCAPLUS
CN Carbamic acid, [[3-[1-[(4-methylphenyl)sulfonyl]-2-oxo-4-(1-piperidinylcarbonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



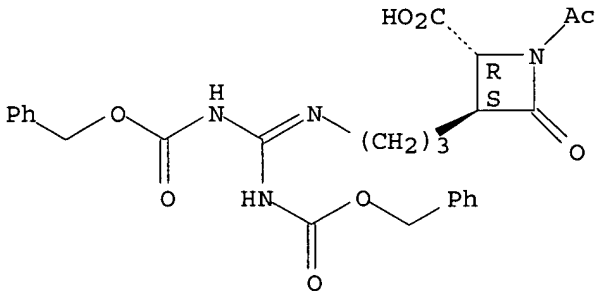
RN 137055-09-5 HCAPLUS
CN Carbamic acid, [[3-(1-acetyl-2-formyl-4-oxo-3-azetidinyl)propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



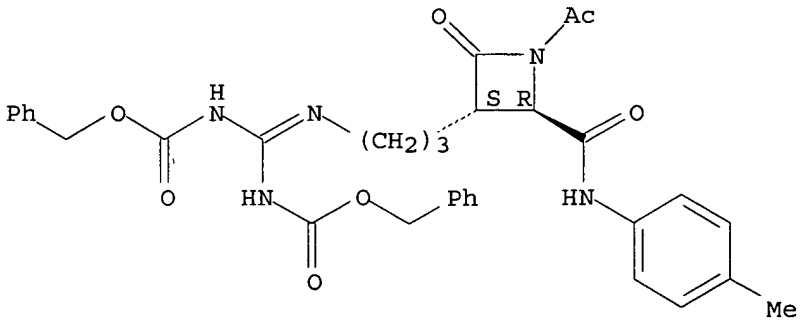
RN 137055-10-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-acetyl-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



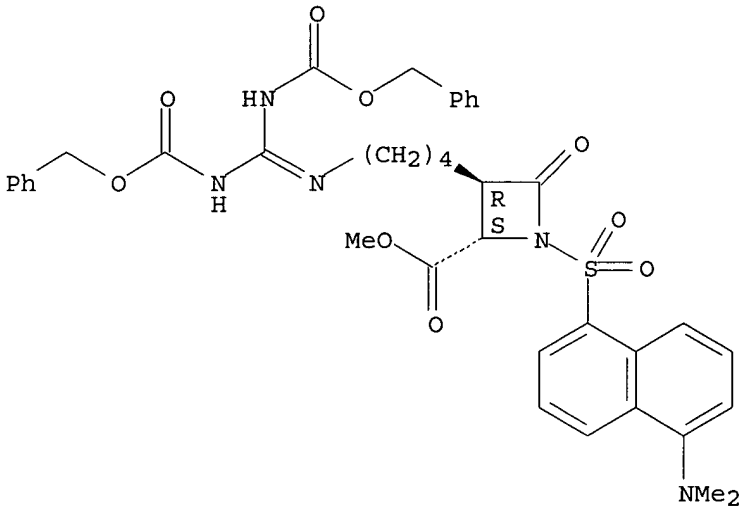
RN 137055-13-1 HCAPLUS
CN Carbamic acid, [[3-[1-acetyl-2-[[(4-methylphenyl)amino]carbonyl]-4-oxo-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

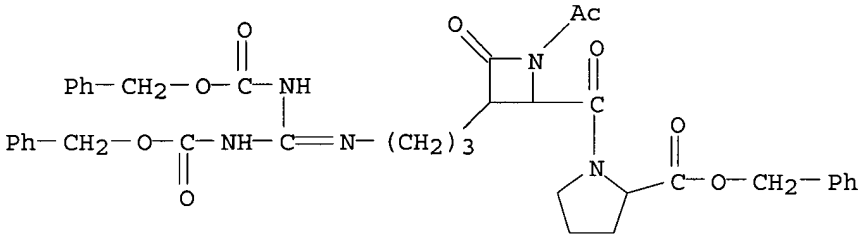


RN 137055-21-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[4-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]butyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-4-oxo-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

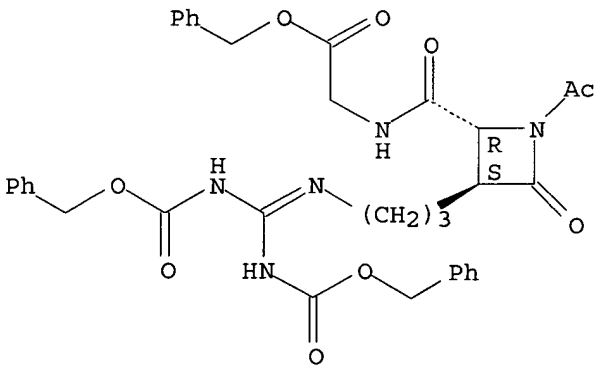


RN 137055-23-3 HCAPLUS
CN L-Proline, 1-[[1-acetyl-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-2-azetidinyl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



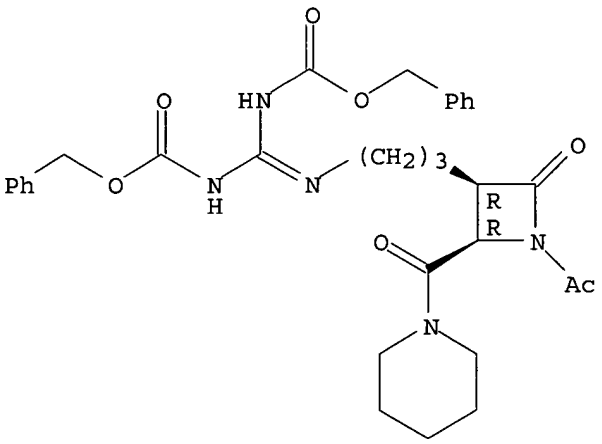
RN 137055-25-5 HCAPLUS
CN Glycine, N-[[1-acetyl-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-2-azetidinyl]carbonyl]-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



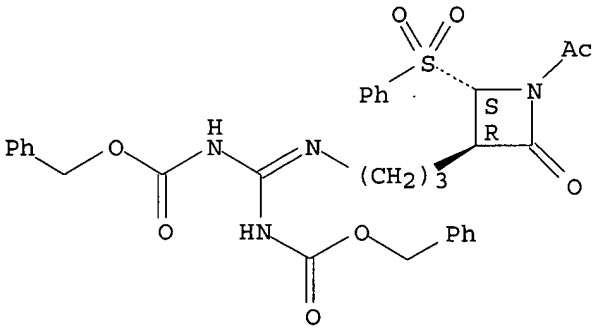
RN 137055-34-6 HCAPLUS
CN Carbamic acid, [[3-[1-acetyl-2-oxo-4-(1-piperidinylcarbonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



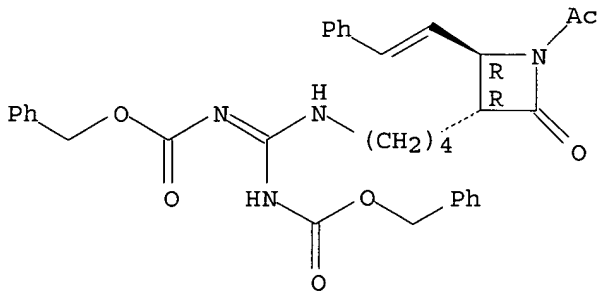
RN 137089-14-6 HCAPLUS
CN Carbamic acid, [[3-[1-acetyl-2-oxo-4-(phenylsulfonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



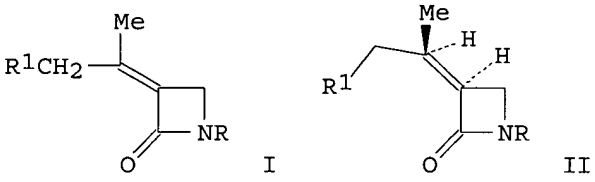
RN 170237-14-6 HCAPLUS
CN Carbamic acid, [[4-[1-acetyl-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]butyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



● HCl

L6 ANSWER 29 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:579308 HCAPLUS
DOCUMENT NUMBER: 121:179308
TITLE: N-Acyl 3-alkylidenyl- and 3-alkyl azetidin-2-ones: a new class of monocyclic β-lactam antibacterial agents. 2. Synthesis and structure-activity relationships of heteroatom substituted 3-isopropylidene and 3-isopropyl analogs
AUTHOR(S): Brickner, Steven J.; Gaikema, Jeffrey J.; Greenfield, Laura J.; Zurenko, Gary E.; Manninen, Peter R.
CORPORATE SOURCE: Upjohn Lab., Upjohn Co., Kalamazoo, MI, 49001, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(11), 2241-6
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I II

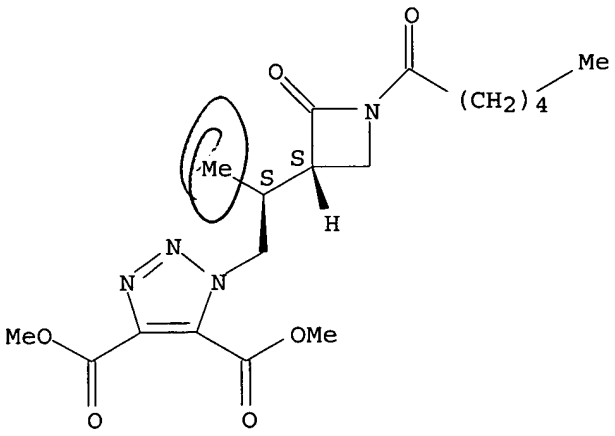
AB Title compds. I and II [R = SO3K, Ac, COPr, CO(CH2)4Me, Bz, COBu; R1 = OAc, O2CPr, O2C(CH2)4Me, NH2, NHAc, F], lacking an ionizable moiety attached to the lactam nitrogen, have in vitro antibacterial activity, being particularly potent vs anaerobes.

IT **111464-43-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 111464-43-8 HCAPLUS

CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-[2-[2-oxo-1-(1-oxohexyl)-3-azetidinyl]propyl]-, dimethyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

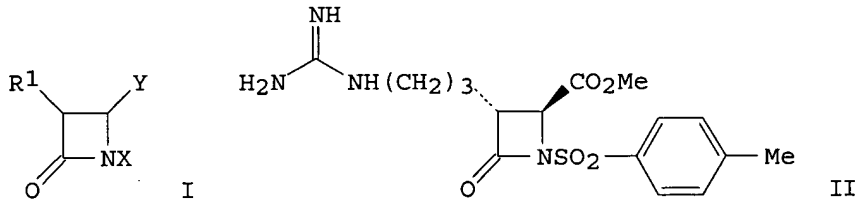


L6 ANSWER 30 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:632060 HCAPLUS
DOCUMENT NUMBER: 115:232060
TITLE: Preparation of 3-(guanidinoalkyl)azetidinones
INVENTOR(S): Han, William T.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 35 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5037819	A	19910806	US 1990-533270	19900604
US 5110812	A	19920505	US 1991-696641	19910507
US 5175283	A	19921229	US 1992-833876	19920211
US 5250677	A	19931005	US 1992-957233	19921006
US 5326863	A	19940705	US 1993-96321	19930723

PRIORITY APPLN. INFO.: US 1990-533270 A3 19900604
US 1991-696641 A3 19910507
US 1992-833876 A3 19920211
US 1992-957233 A3 19921006

OTHER SOURCE(S): MARPAT 115:232060
GI



I II

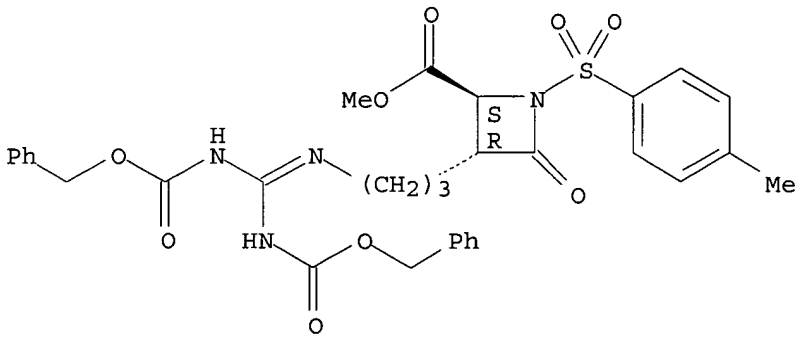
AB The title compds. [I; R1 = UNHC(:NW)NH(CH2)n; U, W = H, amino-protective group; X = H, trialkylsilyl, arylcarbamoyl, alkanoyl, arylcarbonyl, (un)substituted arylsulfonyl; Y = H, arylalkenyl, aralkyl, CHO, CO2H, alkoxycarbonyl, CONHCH2CO2R; R = H, alkyl, aralkyl; n = 3-5], exhibiting anti-thrombin and anti-trypsin activities , and thus useful for treating pancreatitis, were prepared Thus, PhCH2O2CNHC(:NCO2CH2Ph)SMe was condensed with H2N(CH2)4CO2H and the product, after esterification, cyclocondensed with cis- and trans-PhCH:CHCH:NSiMe3 (preparation given) to give I (U = W = CO2CH2Ph, X = H, Y = CH:CHPh) the latter of which was converted in 6 steps to title compound II. II had IC50 of 2-9 and 8 nM against thrombin and trypsin, resp.

IT **137054-77-4P 137054-79-6P 137054-81-0P**
137054-85-4P 137054-95-6P 137054-97-8P
137054-99-0P 137055-02-8P 137055-04-0P
137055-06-2P 137055-08-4P 137055-09-5P
137055-10-8P 137055-13-1P 137055-21-1P
137055-23-3P 137055-25-5P 137055-34-6P
137089-14-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of serine protease inhibitors)

RN 137054-77-4 HCAPLUS

CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[(4-methylphenyl)sulfonyl]-4-oxo-, methyl ester, trans- (9CI) (CA INDEX NAME)

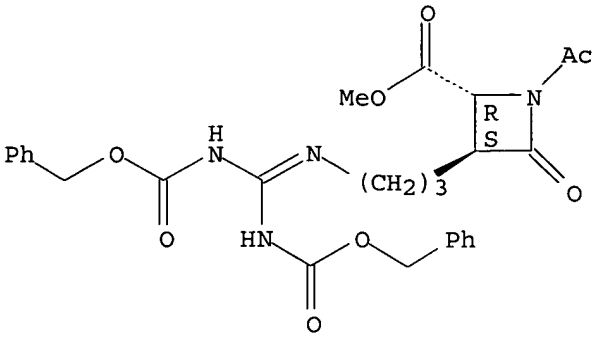
Relative stereochemistry.



RN 137054-79-6 HCAPLUS

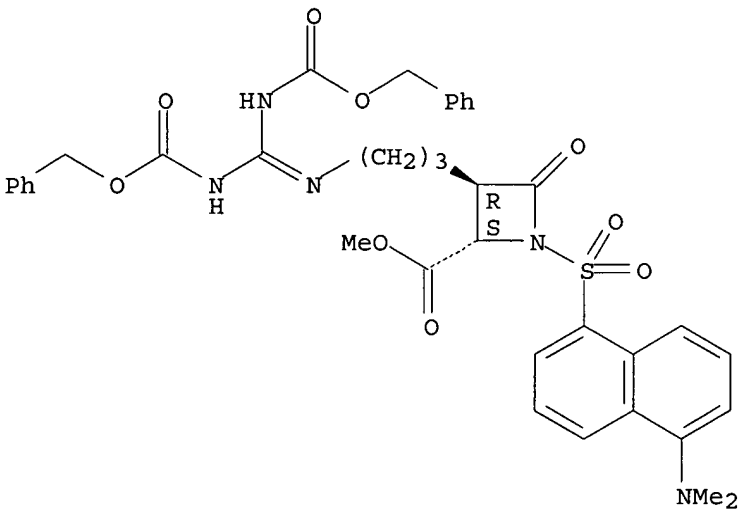
CN 2-Azetidinecarboxylic acid, 1-acetyl-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



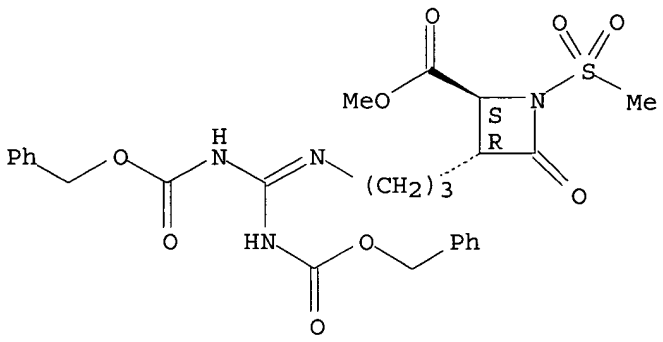
RN 137054-81-0 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-4-oxo-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

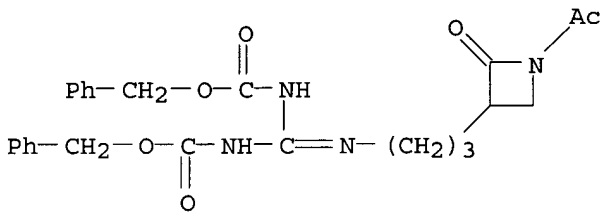


RN 137054-85-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-(methylsulfonyl)-4-oxo-, methyl ester, trans- (9CI) (CA INDEX NAME)

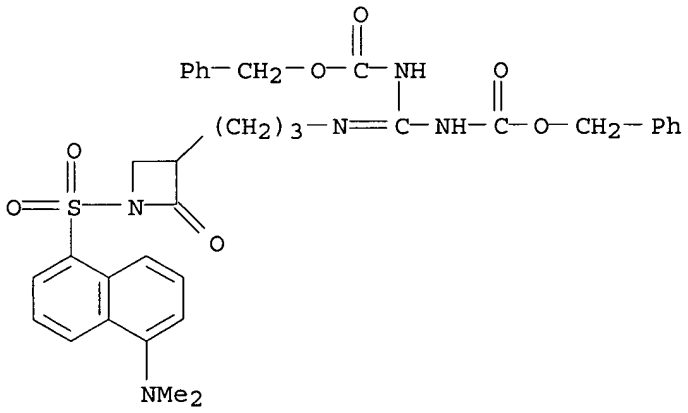
Relative stereochemistry.



RN 137054-95-6 HCAPLUS
CN Carbamic acid, [[3-(1-acetyl-2-oxo-3-azetidinyl)propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

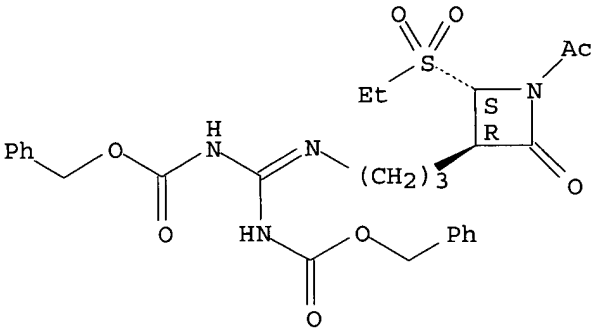


RN 137054-97-8 HCAPLUS
CN Carbamic acid, [[3-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-2-oxo-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



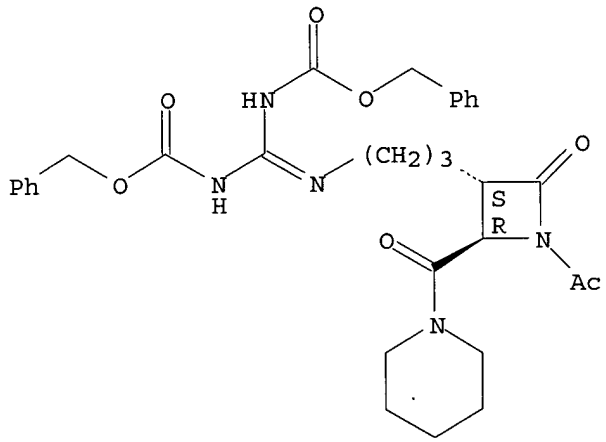
RN 137054-99-0 HCAPLUS
CN Carbamic acid, [[3-[1-acetyl-2-(ethylsulfonyl)-4-oxo-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



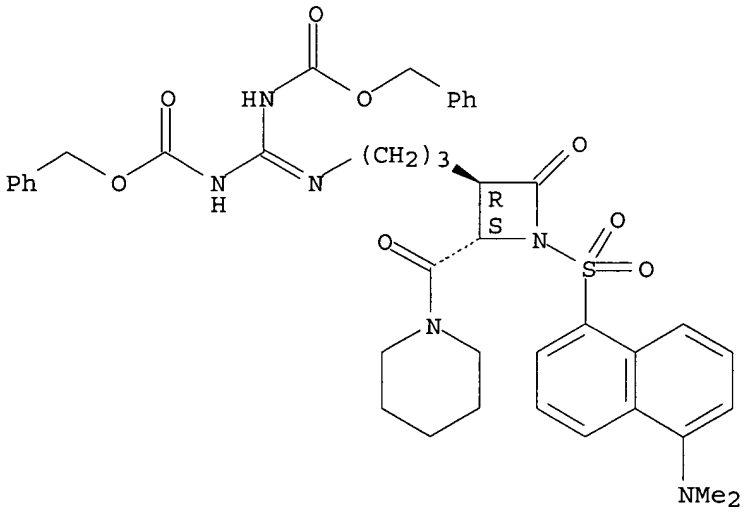
RN 137055-02-8 HCAPLUS
CN Carbamic acid, [[3-[1-acetyl-2-oxo-4-(1-piperidinylcarbonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



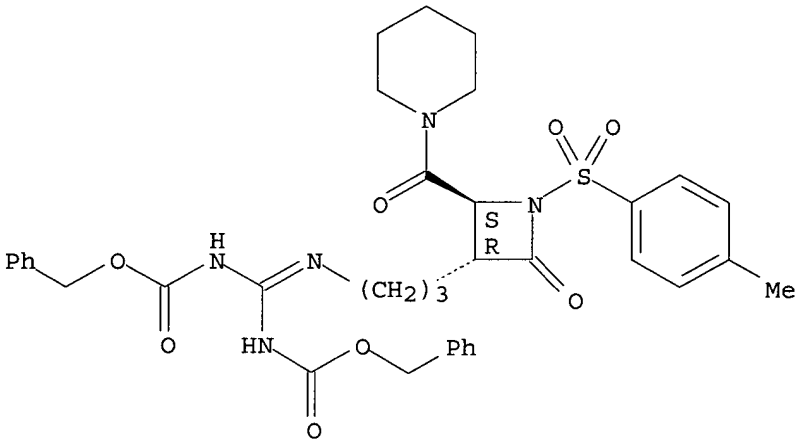
RN 137055-04-0 HCAPLUS
CN Carbamic acid, [[3-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-2-oxo-4-(1-piperidinylcarbonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



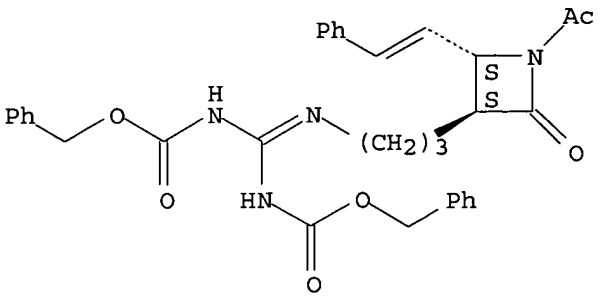
RN 137055-06-2 HCAPLUS
CN Carbamic acid, [[3-[1-[(4-methylphenyl)sulfonyl]-2-oxo-4-(1-piperidinylcarbonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



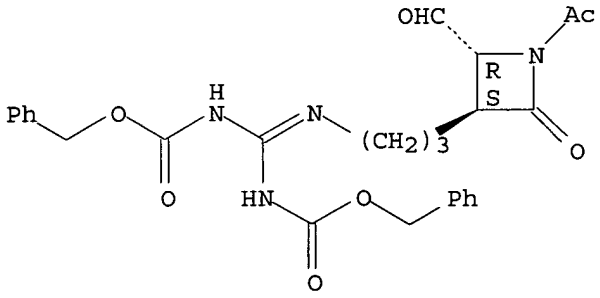
RN 137055-08-4 HCAPLUS
CN Carbamic acid, [[3-[(3R,4R)-1-acetyl-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



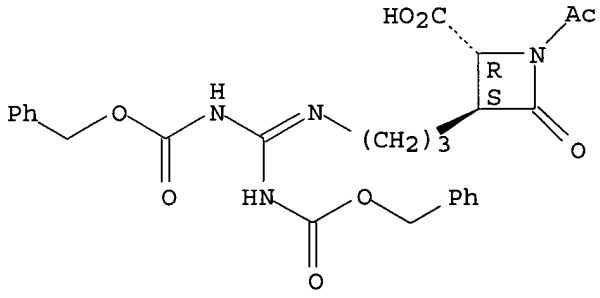
RN 137055-09-5 HCAPLUS
CN Carbamic acid, [[3-(1-acetyl-2-formyl-4-oxo-3-azetidinyl)propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



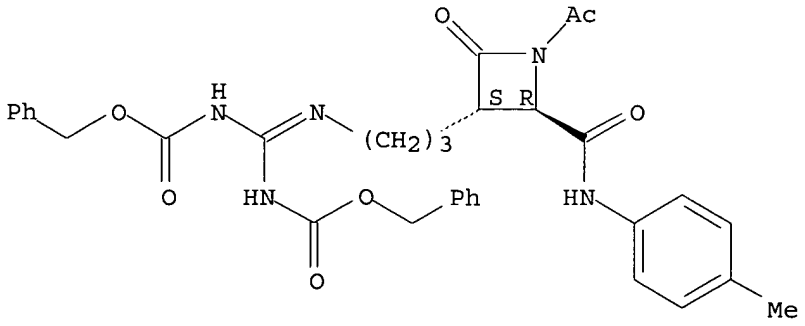
RN 137055-10-8 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-acetyl-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



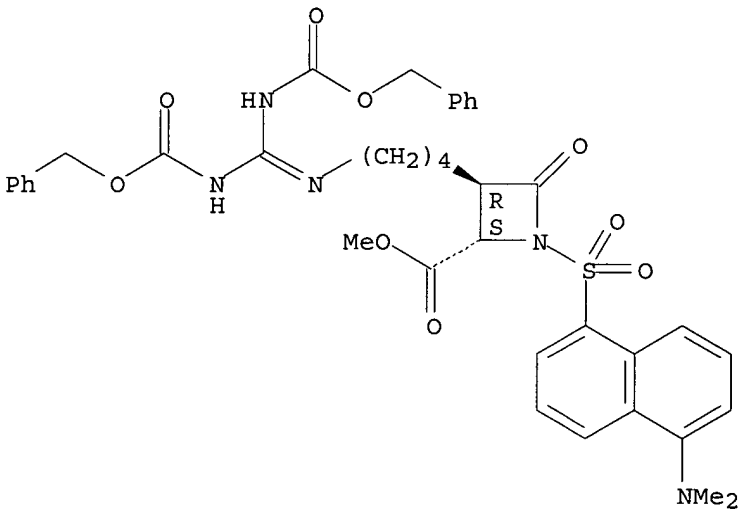
RN 137055-13-1 HCAPLUS
CN Carbamic acid, [[3-[1-acetyl-2-[[(4-methylphenyl)amino]carbonyl]-4-oxo-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

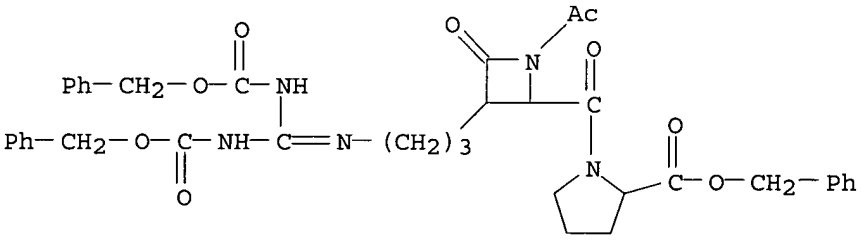


RN 137055-21-1 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[4-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]butyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-4-oxo-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



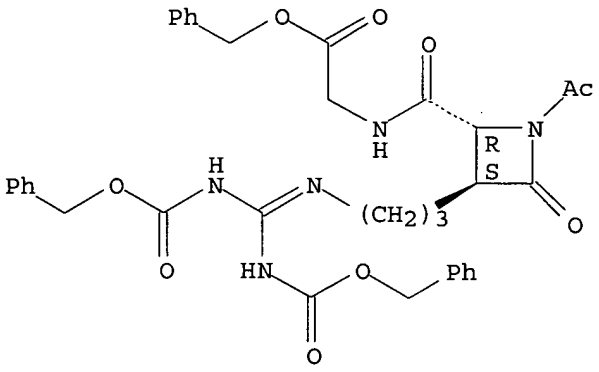
RN 137055-23-3 HCAPLUS
CN L-Proline, 1-[[1-acetyl-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-2-azetidinyl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 137055-25-5 HCAPLUS

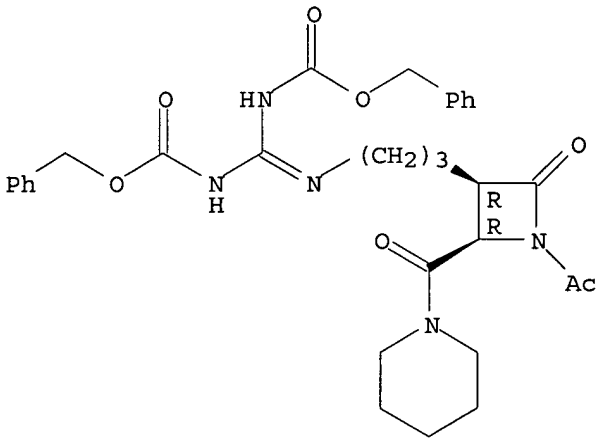
CN Glycine, N-[[1-acetyl-3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-2-azetidinyl]carbonyl]-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



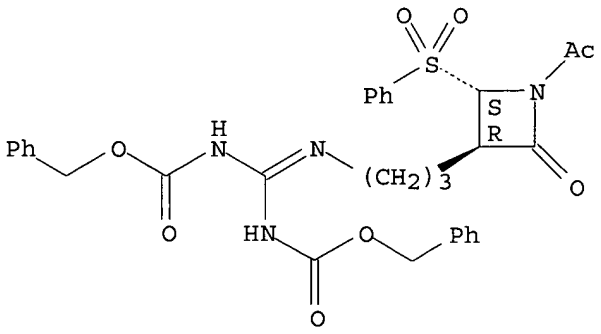
RN 137055-34-6 HCAPLUS
CN Carbamic acid, [[3-[1-acetyl-2-oxo-4-(1-piperidinylcarbonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



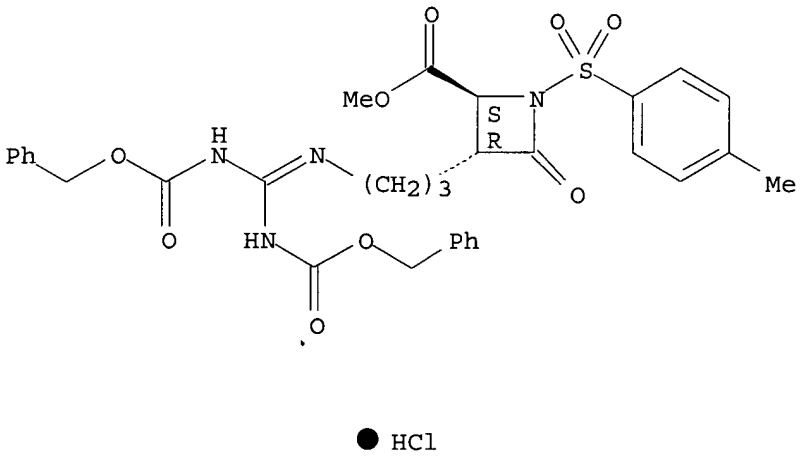
RN 137089-14-6 HCAPLUS
CN Carbamic acid, [[3-[1-acetyl-2-oxo-4-(phenylsulfonyl)-3-azetidinyl]propyl]carbonimidoyl]bis-, bis(phenylmethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **137054-78-5P 137054-84-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serine protease inhibitor)
RN 137054-78-5 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-1-[(4-methylphenyl)sulfonyl]-4-oxo-, methyl ester, monohydrochloride, trans- (9CI) (CA INDEX NAME)

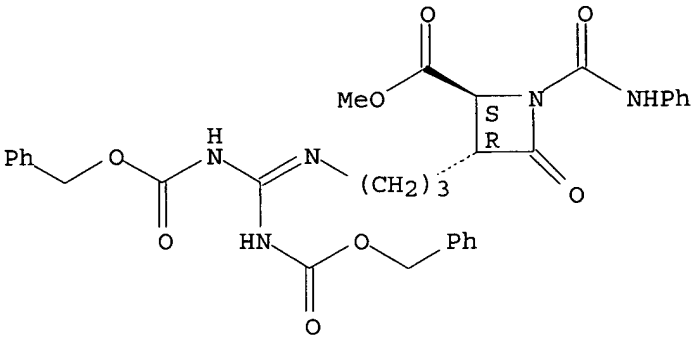
Relative stereochemistry.



● HCl

RN 137054-84-3 HCAPLUS
CN 2-Azetidinecarboxylic acid, 3-[3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]propyl]-4-oxo-1-[(phenylamino)carbonyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

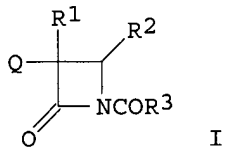
Relative stereochemistry.



L6 ANSWER 31 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:636366 HCAPLUS
DOCUMENT NUMBER: 107:236366
TITLE: Preparation of N-acyl-2-azetidinone as antibiotics
INVENTOR(S): Brickner, Steven J.
PATENT ASSIGNEE(S): Upjohn Co. , USA
SOURCE: Eur. Pat. Appl., 67 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 232017	A1	19870812	EP 1987-300226		19870112
R: AT, ES, GR					
WO 8704429	A1	19870730	WO 1987-US23		19870112
W: AU, DK, FI, JP, KR, NO, US					
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
AU 8768496	A1	19870814	AU 1987-68496		19870112
EP 282492	A1	19880921	EP 1987-900928		19870112
R: BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
JP 01501470	T2	19890525	JP 1987-500801		19870112
PRIORITY APPLN. INFO.:			US 1986-821676	A	19860123
			WO 1987-US23	A	19870112

GI



I

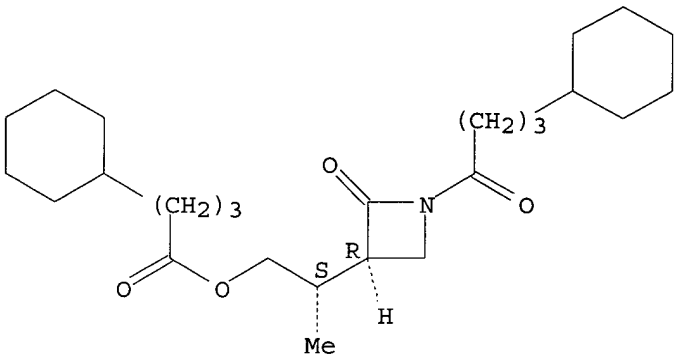
AB The title compds. I [Q = H, CR4R5R6 wherein R4, R5 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, etc.; R6 = H or R6R1 = bond; R1 = H; R2 = H, C1-12 alkyl, alkenyl, alkynyl, CH2(C2-12)alkenyl, Ph, or R1R2 form C4-8 cycloalkyl, cycloalkenyl, substituted cyclobutyl, cyclobutenyl, cyclopentyl, cyclopentenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, etc.], useful as antibiotics, were

prepared via cyclocondensation of R7R8C:CBrCH2NH2 in the presence of Pd(PPh3)4, Ph3P, and an amine under CO at 80-135°. A mixture of Me2C:CBrCH2NH2 4.71, Pd(PPh3)4 1.68, and Ph3P 1.53 g in 470 mL DMF containing 7.62 mL Bu3N was heated under CO at 125-130° to give 1.32 g 3-(1-methylethylidene)-2-azetidinone (II), which was successively acylated with (PrCO)2O and hydrogenated over Pd-C to yield isopropylazetidinone derivative I (R1 = R2 = H, Q = Me2CH, R3 = Pr) (III). When III was tested in vitro against Staphylococcus aureus UC 3665 at 1 mg/mL, the averaged dimensions of an oblong zone of inhibition are 24 mm.

IT **111464-23-4P 111464-43-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antibiotic)

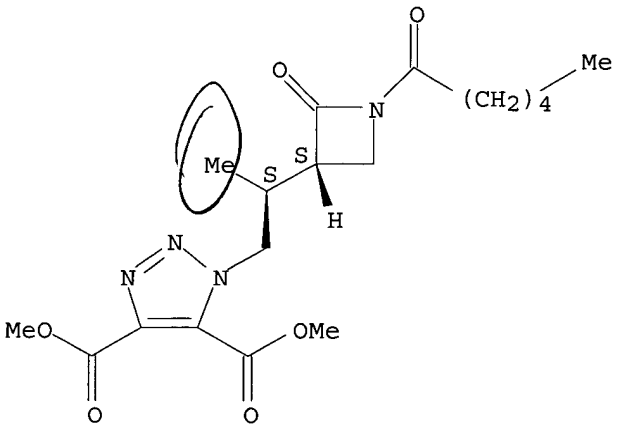
RN 111464-23-4 HCAPLUS
CN Cyclohexanebutanoic acid, 2-[1-(4-cyclohexyl-1-oxobutyl)-2-oxo-3-azetidinyl]propyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 111464-43-8 HCAPLUS
CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-[2-[2-oxo-1-(1-oxohexyl)-3-azetidinyl]propyl]-, dimethyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

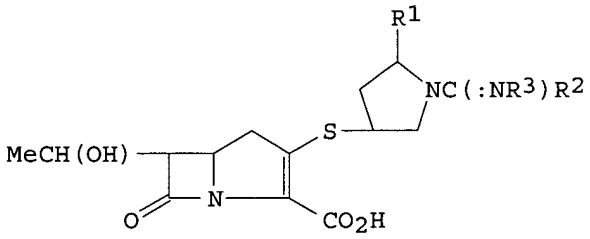


L6 ANSWER 32 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:590781 HCAPLUS
DOCUMENT NUMBER: 105:190781
TITLE: Carbapenem compounds and their use
INVENTOR(S): Sugimura, Yukio; Hashimoto, Toshihiko; Tanaka, Teruo; Sugawara, Shinichi; Iino, Kimio; Shibata, Tomoyuki; Miyadera, Tetsuo
PATENT ASSIGNEE(S): Sankyo Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 93 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 186525	A1	19860702	EP 1985-309537	19851230
EP 186525	B1	19900404		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FI 8505128	A	19860626	FI 1985-5128	19851220
FI 81576	B	19900731		
FI 81576	C	19901112		
JP 61275279	A2	19861205	JP 1985-285663	19851220
DK 8506044	A	19860626	DK 1985-6044	19851223
DK 169384	B1	19941017		
NO 8505241	A	19860626	NO 1985-5241	19851223
NO 163957	B	19900507		
NO 163957	C	19900815		
CN 85109699	A	19860813	CN 1985-109699	19851223
CN 1014246	B	19911009		
HU 39182	A2	19860828	HU 1985-4957	19851223
HU 196071	B	19880928		
ZA 8509797	A	19860924	ZA 1985-9797	19851223
US 4740507	A	19880426	US 1985-812344	19851223
AU 8551699	A1	19860703	AU 1985-51699	19851224
AU 575232	B2	19880721		
ES 550403	A1	19870601	ES 1985-550403	19851224
CA 1254562	A1	19890523	CA 1985-498605	19851224
AT 51624	E	19900415	AT 1985-309537	19851230
ES 557035	A1	19870801	ES 1986-557035	19860822

PRIORITY APPLN. INFO.: JP 1984-281700 A 19841225
EP 1985-309537 A 19851230

GI



I

AB The title compds. I [R1 = CO2H, CONR4R5, CN, HOCH2, R4, R5 = H, etc.; R2,

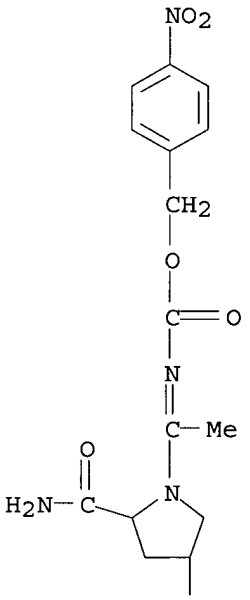
R3 = H, (un)substituted alkyl] useful as antibiotics, were prepared Thus, p-nitrobenzyl (5R,6S)-6-[1(R)-hydroxyethyl]-2-[(2S,4S)-2-carbamoyl-1-(N-p-nitrobenzyloxycarbonylacetimidoyl)pyrrolidin-4-ylthio]-2-carbapenem-3-carboxylate, prepared from p-nitrobenzyl (5R,6S)-6-[1(R)-hydroxyethyl]-2-oxocarbapenam-3-carboxylate and the appropriate pyrrolidine derivative, was deprotected to give (5R,6S)-6-[1(R)-hydroxyethyl]-2-[(2S,4S)-2-carbamoyl-1-acetimidoylpyrrolidin-4-ylthio]-2-carbapenem-3-carboxylic acid (II). Against Staphylococcus aureus II showed a min. inhibitory concentration at 0.02 μg/mL.

IT **104789-37-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with triisopropyl phosphite)

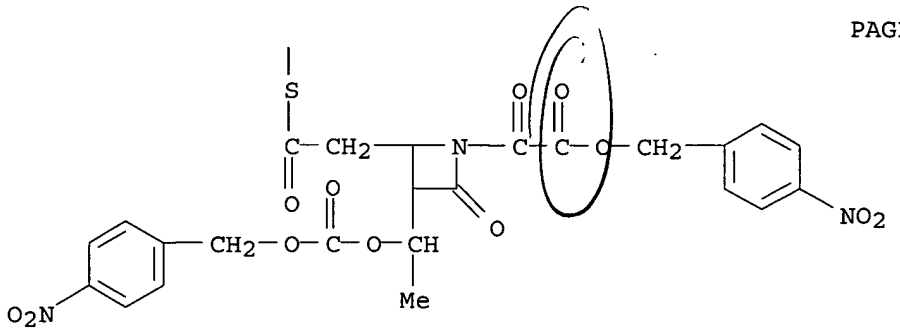
RN 104789-37-9 HCAPLUS

CN 1-Azetidineacetic acid, 2-[2-[[5-(aminocarbonyl)-1-[1-[[[(4-nitrophenyl)methoxy]carbonyl]imino]ethyl]-3-pyrrolidinyl]thio]-2-oxoethyl]-3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-α,4-dioxo-, (4-nitrophenyl)methyl ester, [3S-[3α[2S*,3R*(S*)],5α]]- (9CI) (CA INDEX NAME)

PAGE 1-A



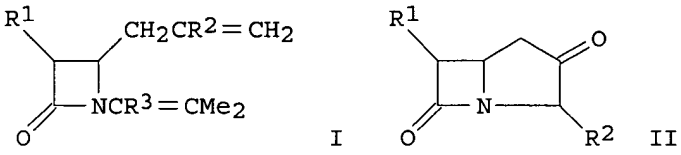
PAGE 2-A



L6 ANSWER 33 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:460467 HCAPLUS
DOCUMENT NUMBER: 105:60467
TITLE: 4-Substituted-2-oxoazetidine compounds
INVENTOR(S): Hashimoto, Masashi; Aratani, Matsuhiko; Sawada, Kozo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan
SOURCE: U.S., 71 pp. Cont.-in-part of U.S. Ser. No. 237,936, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 4539152	A	19850903	US 1982-412263		19820827
US 4383945	A	19830517	US 1981-296840		19810827
PRIORITY APPLN. INFO.:			GB 1980-6842	A	19800228
			US 1981-237936	A2	19810225
			US 1981-296840	A2	19810827
			EP 1981-101322	A	19810224

GI



I II

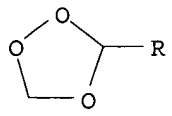
AB The title compds. I [R1 = H, halo, amino, acylamino, isocyano, etc.; R2 = H, (un)substituted organic moiety; R3 = (un)protected CO2H] and their salts, useful as intermediates for preparing antibiotics having the basic skeleton of thienamycin and carbapenam II [R1 = (un)protected MeC(OH)Me; R2 = (un)protected CO2H] were prepared Thus, Pt oxide, K2HPO4 and EtOH under H atmospheric at ambient temperature were added to 4-nitrobenzyl (5R,6R)-6-[1-methyl-1-(4-nitrobenzyloxycarbonyloxy)ethyl]-3-[2-(4-nitrobenzyloxycarbonylamino)ethyl thio]-7-oxo-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylate, prepared in 7 steps from (6R,7R)-2,2-dimethyl-7-(1-hydroxy-1-methylethyl)-1-aza-3-oxabicyclo[4.2.0]octan-8-one, to give K (5R,6R)-3-(2-aminoethylthio)-6-(1-hydroxy-1-methylethyl)-7-oxo-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylate

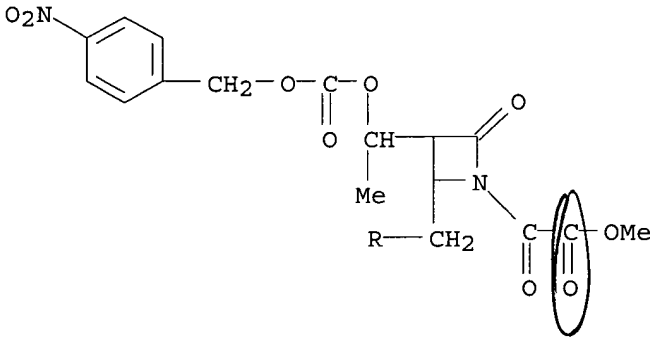
(III). III showed antimicrobial activity in vitro against Staphylococcus aureus and Pseudomonas aeruginosa with a min. inhibitory concentration of 1.56 and 12.50 μg/mL, resp.

IT **86778-31-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and deprotection-cleavage of)

RN 86778-31-6 HCAPLUS

CN 1-Azetidineacetic acid, 3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-α,2-dioxo-4-(1,2,4-trioxolan-3-ylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

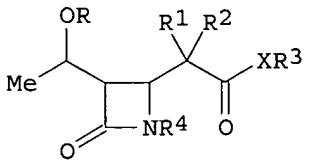




L6 ANSWER 34 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:68671 HCAPLUS
DOCUMENT NUMBER: 104:68671
TITLE: [[(Heterocyclylthio)carbonyl]methyl]azetidinone analogs
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 51 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60019764	A2	19850131	JP 1983-127144	19830713
JP 03000383	B4	19910107		

PRIORITY APPLN. INFO.: JP 1983-127144 19830713
OTHER SOURCE(S): CASREACT 104:68671
GI



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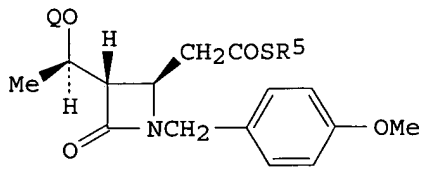
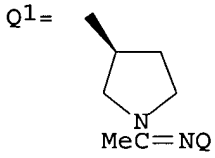


II



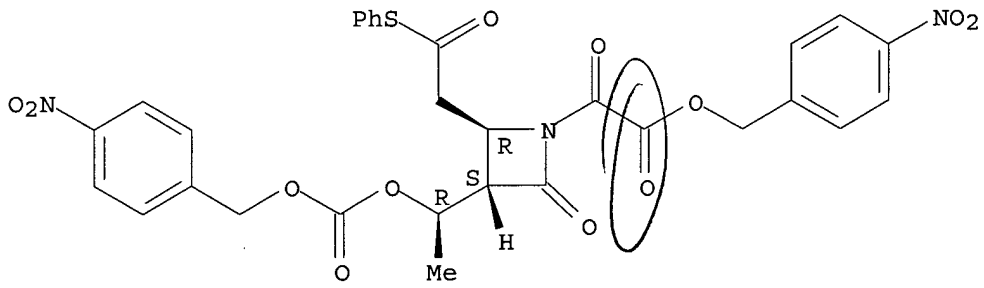
AB The title compds. (R = H, OH-protecting group; R1, R2 = H, alkyl; X = O, S, N, Se; R3 = substituted heterocyclyl; R4 = H, NH2-protecting group) were prepared Thus, 219 mg the thioester Ii (R5 = Ph) in CH2Cl2 was treated with 250 mg HSQ1 at room temperature overnight to give 276 mg II (R5 = Q1).

IT **90629-11-1P 90629-18-8P 98076-70-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 90629-11-1 HCAPLUS

CN 1-Azetidineacetic acid, 3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-α,2-dioxo-4-[2-oxo-2-(phenylthio)ethyl]-, (4-nitrophenyl)methyl ester, [3S-[3α(S*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

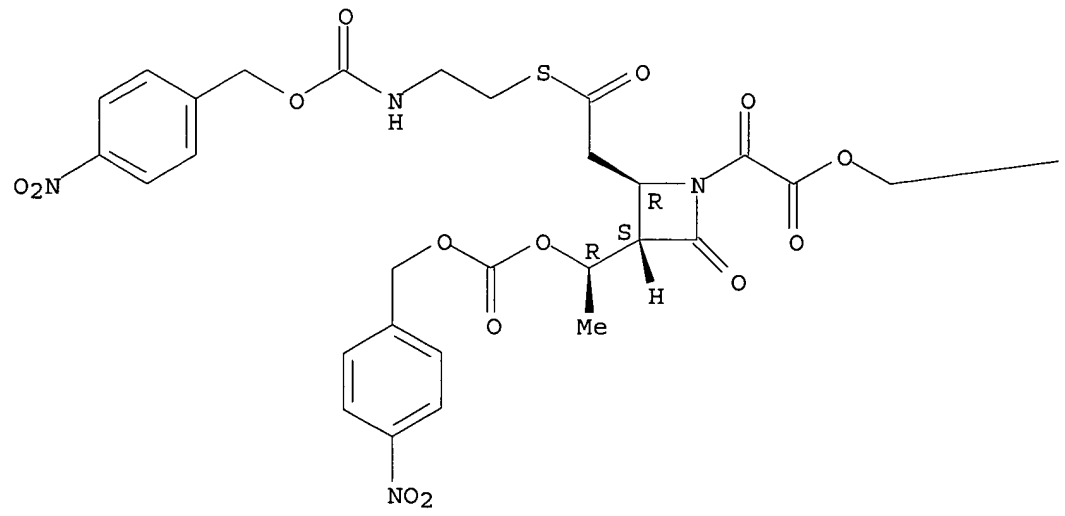


RN 90629-18-8 HCAPLUS

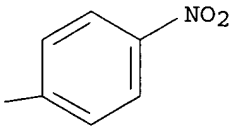
CN 1-Azetidineacetic acid, 2-[2-[[2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]thio]-2-oxoethyl]-3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-α,4-dioxo-, (4-nitrophenyl)methyl ester, [2R-[2α,3β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



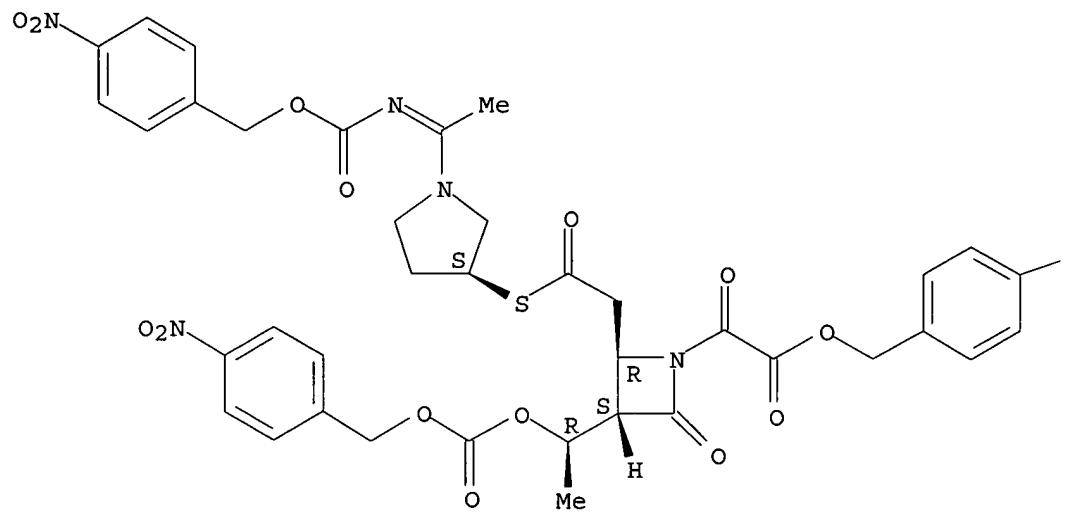
PAGE 1-B



RN 98076-70-1 HCAPLUS
CN 1-Azetidineacetic acid, 2-[2-[[1-[1-[[[(4-nitrophenyl)methoxy]carbonyl]imino]ethyl]-3-pyrrolidinyl]thio]-2-oxoethyl]-3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-α,4-dioxo-, (4-nitrophenyl)methyl ester, [2R-[2α(S*),3β(R*)]]- (9CI) (CA INDEX NAME)

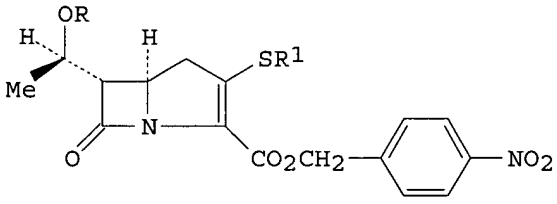
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

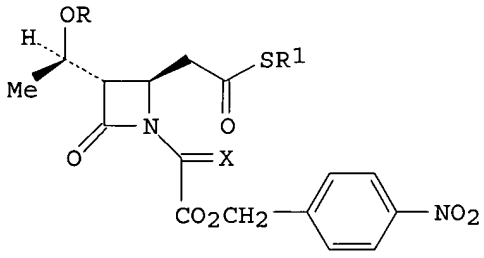


PAGE 1-B

L6 ANSWER 35 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:551631 HCAPLUS
DOCUMENT NUMBER: 101:151631
TITLE: An efficient carbapenem synthesis via an intramolecular Wittig reaction of new trialkoxyphosphorane-thiolesters
AUTHOR(S): Yoshida, Akira; Tejima, Yawara; Takeda, Noriko; Oida, Sadao
CORPORATE SOURCE: Chem. Res. Lab., Sankyo Co., Ltd., Tokyo, 140, Japan
SOURCE: Tetrahedron Letters (1984), 25(26), 2793-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 101:151631
GI



I



II

AB Carbapenems I [R = SiMe2CMe3, CO2CH2C6H4NO2-4, SiMe3, H; R1 = CHMe2, CH2CH2NHCO2CH2C6H4NO2-4, Ph, (S)-1-(p-nitrobenzyloxycarbonyl)pyrrolidin-3-yl, (S)-1-[N-(p-nitrobenzyloxycarbonyl)acetimidoyl]pyrrolidin-3-yl] were prepared by intramol. Wittig reaction of the phosphoranes II [X = P(OR2)3, R2 = Et, CHMe2] which were prepared by treating II (X = O) with P(OR2)3. II (X = O) were prepared from 4-acetoxyazetidinones in 6 steps.

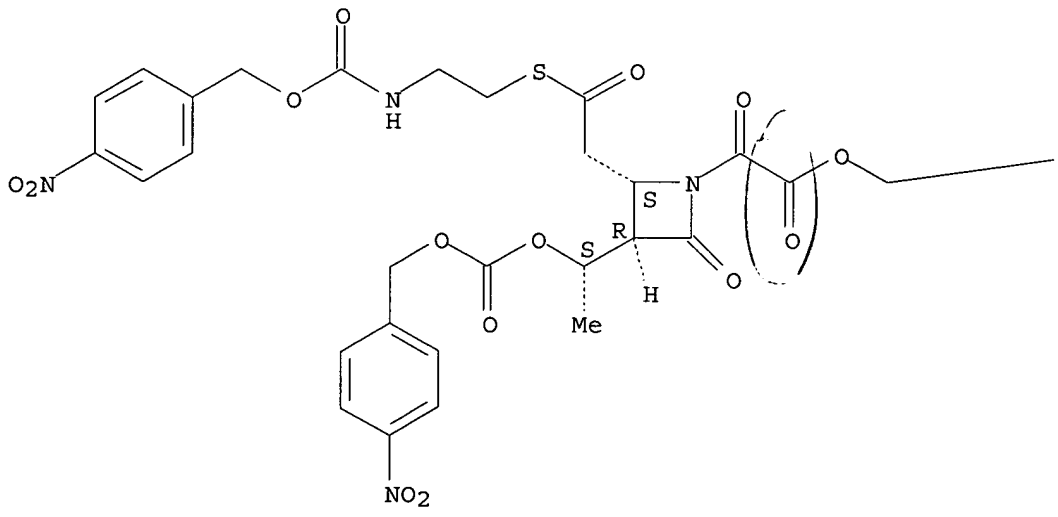
IT **92217-87-3P 92217-89-5P 92217-91-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with phosphite)

RN 92217-87-3 HCAPLUS

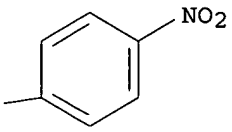
CN 1-Azetidineacetic acid, 2-[2-[[2-[[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]thio]-2-oxoethyl]-3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-α,4-dioxo-, (4-nitrophenyl)methyl ester, [2α,3β(R*)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

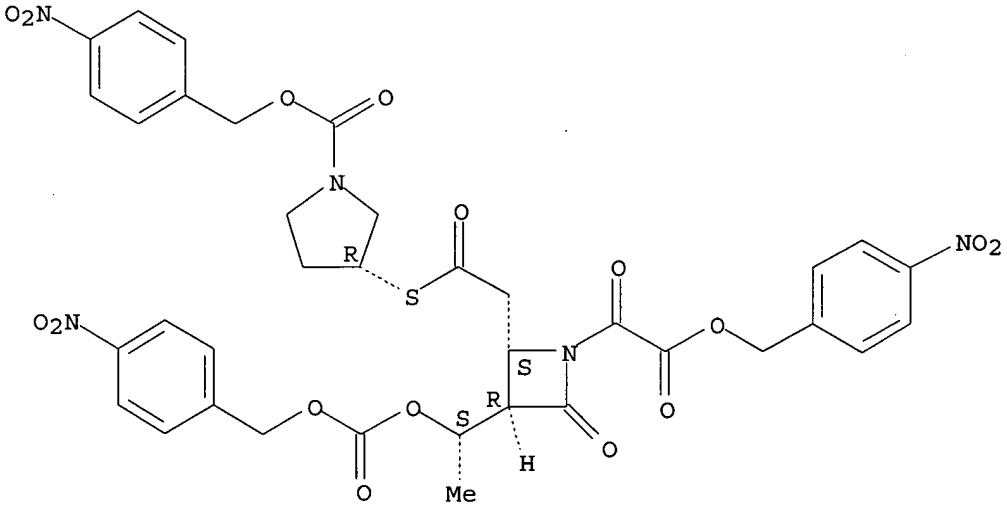


PAGE 1-B



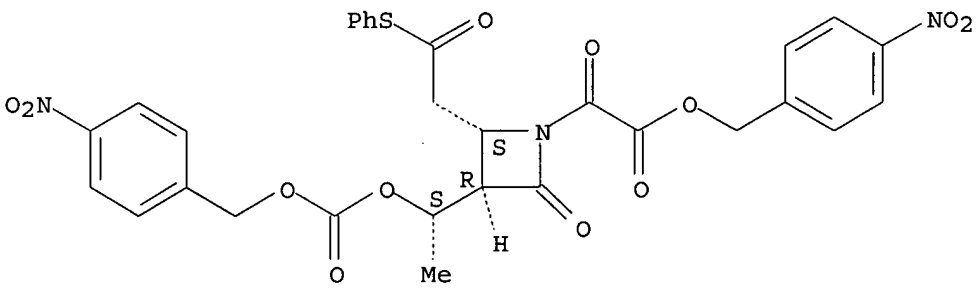
RN 92217-89-5 HCAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[[3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-1-[[(4-nitrophenyl)methoxy]oxoacetyl]-4-oxo-2-azetidinyl]acetyl]thio]-, (4-nitrophenyl)methyl ester, [2α(S*),3β(R*)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 92217-91-9 HCAPLUS
CN 1-Azetidineacetic acid, 3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-α,2-dioxo-4-[2-oxo-2-(phenylthio)ethyl]-, (4-nitrophenyl)methyl ester, [3α(S*),4β]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



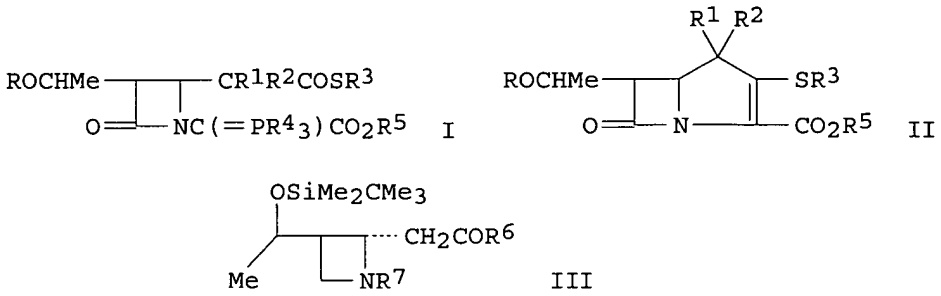
L6 ANSWER 36 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:423224 HCAPLUS
DOCUMENT NUMBER: 101:23224
TITLE: Azetidinone derivatives and their use as intermediates in the preparation of carbapenem antibiotics
INVENTOR(S): Oida, Sadao; Yoshida, Akira; Tajima, Yawara; Takeda, Noriko
PATENT ASSIGNEE(S): Sankyo Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 192 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 102239	A1	19840307	EP 1983-304904	19830824
EP 102239	B1	19871014		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 59046265	A2	19840315	JP 1982-145574	19820824
JP 01029185	B4	19890608		
JP 59051286	A2	19840324	JP 1982-158604	19820910
JP 62054427	B4	19871114		
FI 8303034	A	19840225	FI 1983-3034	19830824
FI 80887	B	19900430		
FI 80887	C	19900810		
HU 31124	O	19840428	HU 1983-2975	19830824
HU 201761	B	19901228		
ES 525138	A1	19850116	ES 1983-525138	19830824
AT 30236	E	19871015	AT 1983-304904	19830824
CA 1232903	A1	19880216	CA 1983-435304	19830824
ES 531562	A1	19850901	ES 1984-531562	19840412
ES 531561	A1	19851201	ES 1984-531561	19840412
JP 63022065	A2	19880129	JP 1986-289698	19861204
JP 63034147	B4	19880708		
JP 63264453	A2	19881101	JP 1988-80973	19880401
JP 2503247	B2	19960605		
US 5856556	A	19990105	US 1993-35915	19930323

PRIORITY APPLN. INFO.:

JP 1982-145574	A	19820824
JP 1982-158604	A	19820910
US 1983-525616	B1	19830822
EP 1983-304904	A	19830824
US 1985-742132	B1	19850606
US 1986-873856	B1	19860611
US 1987-18794	B1	19870219
US 1990-481717	B1	19900215
US 1991-697532	B1	19910430
US 1991-810304	B1	19911219
US 1992-935642	B1	19920825

GI



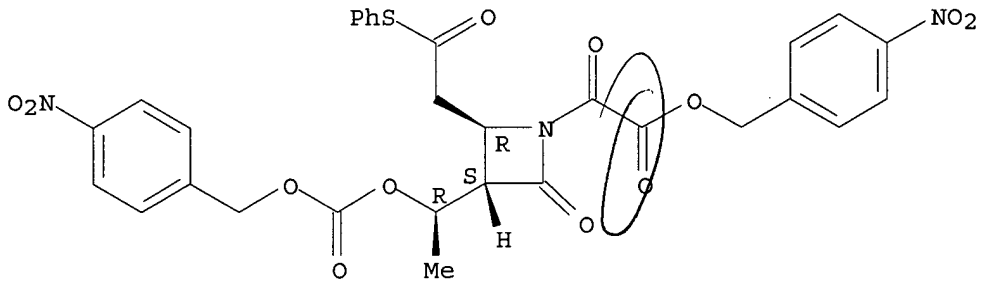
AB Azetidinones I [R, R5 = H, protective group; R1,R2 = H, alkyl, aryl; R3 = (un)substituted alkyl, heterocyclic, aryl; R4 = alkoxy, aryloxy, dialkylamine, diarylamino; R42 = o-OC6H4O; R43 = (OCH2)3CMe] were prepared as intermediates for carbapenems II. Thus, III (R6 = OH, R7 = H) was treated with BuSH and ClCOCO2CH2C6H4NO2-4 to give III (R6 = SBu, R7 = COCO2CH2C6H4NO2-4) which was treated with P(OMe)3 to give III (R6 = SBu, R7 = C[:P(OMe)3]CO2CH2C6H4NO2-4). Cyclization of the latter compds. with hydroquinone gave II (R = SiMe2CMe3, R1 = R2 = H, R3 = Bu, R5 = CH2C6H4NO2-4).

IT **90629-11-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with phenylthioethylene derivative and phosphite)

RN 90629-11-1 HCAPLUS

CN 1-Azetidineacetic acid, 3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-α,2-dioxo-4-[2-oxo-2-(phenylthio)ethyl]-, (4-nitrophenyl)methyl ester, [3S-[3α(S*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

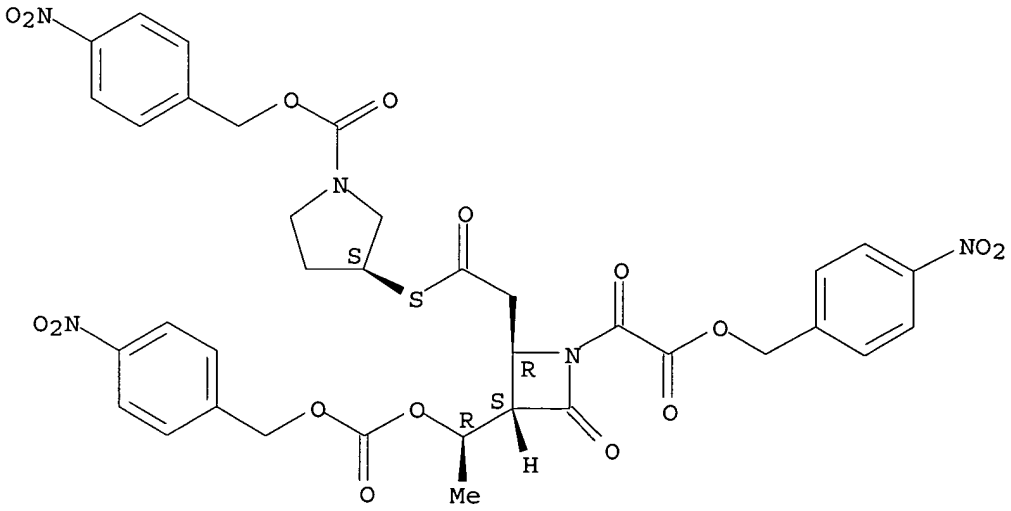


IT **90629-08-6P 90629-18-8P 90629-23-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with phosphite)

RN 90629-08-6 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[[3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-1-[[(4-nitrophenyl)methoxy]oxoacetyl]-4-oxo-2-azetidinyl]acetyl]thio]-, (4-nitrophenyl)methyl ester, [2R-[2α(S*),3β(R*)]]- (9CI) (CA INDEX NAME)

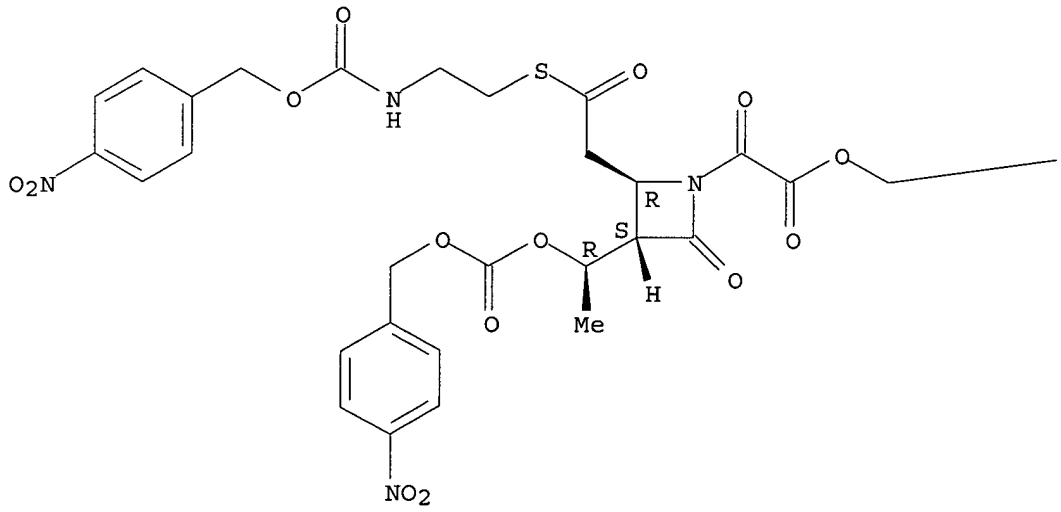
Absolute stereochemistry.



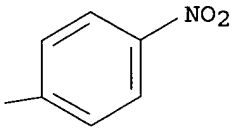
RN 90629-18-8 HCAPLUS
CN 1-Azetidineacetic acid, 2-[2-[[2-[[[(4-nitrophenyl)methoxy]carbonyl]amino]ethyl]thio]-2-oxoethyl]-3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-α,4-dioxo-, (4-nitrophenyl)methyl ester, [2R-[2α,3β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



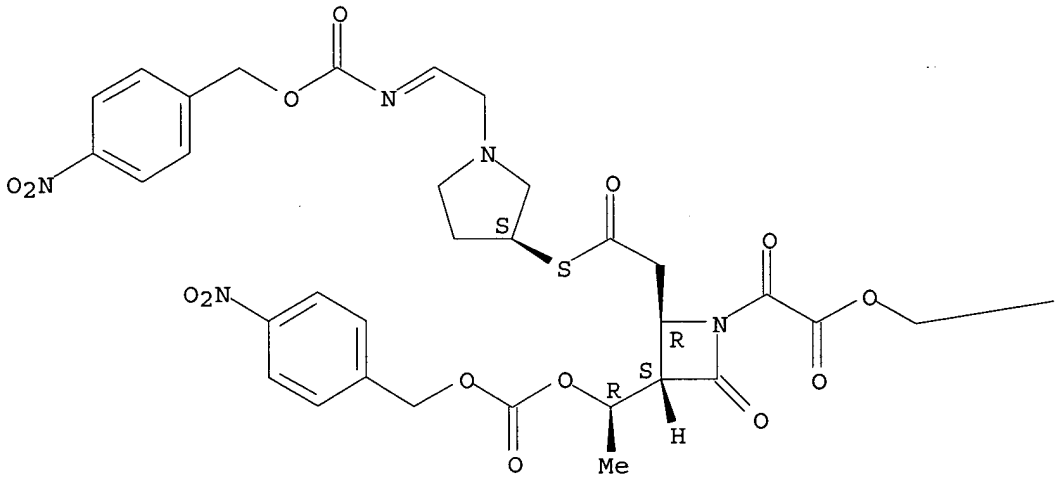
PAGE 1-B



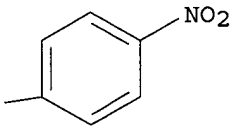
RN 90629-23-5 HCAPLUS
CN 1-Azetidineacetic acid, 2-[2-[[1-[2-[[[(4-nitrophenyl)methoxy]carbonyl]imino]ethyl]-3-pyrrolidinyl]thio]-2-oxoethyl]-3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-α,4-dioxo-, (4-nitrophenyl)methyl ester, [2R-[2α(S*),3β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



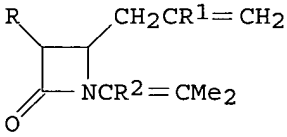
L6 ANSWER 37 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:505053 HCAPLUS
DOCUMENT NUMBER: 99:105053
TITLE: 4-Substituted-2-oxoazetidine compounds
INVENTOR(S): Hashimoto, Masashi; Aratani, Matsuhiko; Sawada, Kozo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan
SOURCE: U.S., 58 pp. Cont.-in-part of U.S. Ser. No. 237,936.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4383945	A	19830517	US 1981-296840	19810827
EP 35689	A1	19810916	EP 1981-101322	19810224
EP 35689	B1	19841219		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 73451	A2	19830309	EP 1982-107732	19820824
EP 73451	A3	19830615		
EP 73451	B1	19870513		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 27159	E	19870515	AT 1982-107732	19820824
JP 58041883	A2	19830311	JP 1982-148604	19820826
JP 03028437	B4	19910419		
US 4539152	A	19850903	US 1982-412263	19820827

PRIORITY APPLN. INFO.:

GB 1980-6842	A	19800228
EP 1981-101322	A	19810224
US 1981-237936	A2	19810225
US 1981-296840	A	19810827
EP 1982-107732	A	19820824

GI



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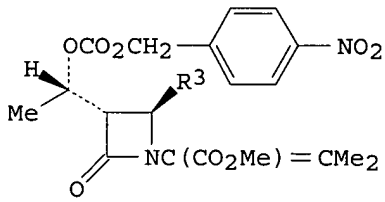


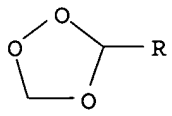
II

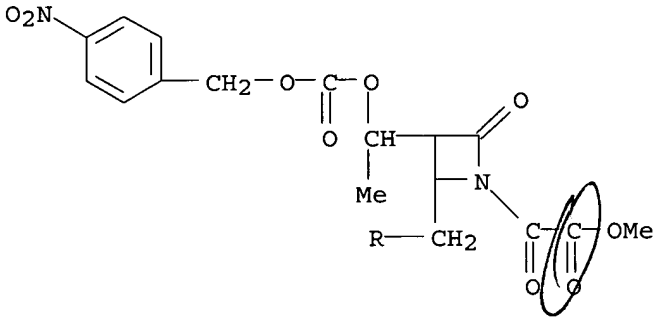
AB Azetidinones with I [R = halogen, isocyano, (un)protected hydroxyalkyl; R1 = H, alkyl, (un)protected carboxyalkyl; R2 = (un)protected CO2H] were prepared Thus II (R3 = SMe) was prepared from the alc. and was treated with Cl to give II (R3 = Cl) which was treated with CH2:CHCHSiMe3 to give II (R3 = allyl).

IT **86778-31-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methanolysis of)

RN 86778-31-6 HCAPLUS

CN 1-Azetidineacetic acid, 3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-α,2-dioxo-4-(1,2,4-trioxolan-3-ylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

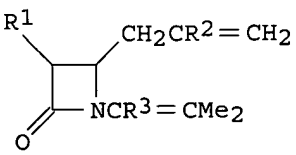




L6 ANSWER 38 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:68708 HCAPLUS
DOCUMENT NUMBER: 96:68708
TITLE: 4-Substituted-2-oxoazetidine compounds and their use for preparing antibiotics
INVENTOR(S): Hashimoto, Masashi; Aratani, Matsuhiko; Sawada, Kozo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 128 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 35689	A1	19810916	EP 1981-101322		19810224
EP 35689	B1	19841219			
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
AT 10838	E	19850115	AT 1981-101322		19810224
JP 56131565	A2	19811015	JP 1981-29136		19810227
JP 02003781	B4	19900124			
US 4383945	A	19830517	US 1981-296840		19810827
PRIORITY APPLN. INFO.:			GB 1980-6842	A	19800228
			EP 1981-101322	A	19810224
			US 1981-237936	A2	19810225

GI



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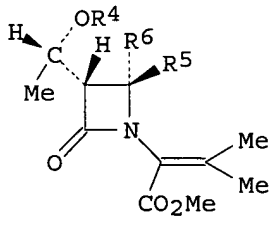


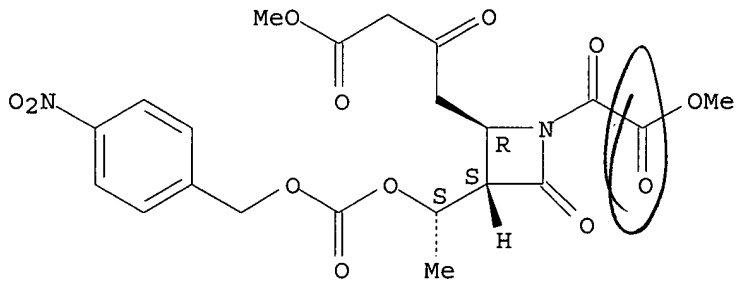
II, R^6=H
III, R^6=Cl

AB Azetidinones I (R1 = H, halo, NH2, acylamino, isocyano, protected hydroxyalkyl; R2 = H, optionally substituted organic group; R3 = CO2H or protected CO2H), useful as intermediates for thienamycin type antibiotics, were prepared Thus, acylating azetidinone II (R4 = H, R5 = SMe) with 4-O2NC6H4CH2O2CCl at -30° gave the ester II (R4 = CO2CH2C6H4NO2-4, R5 = SMe), chlorodesulfurization of which gave II (R4 = the same, R5 = Cl) and III (R4 the same, R5 = H). Alkylating III (R4 the same, R5 = H) with H2C:C(CH2SiMe3)CH2CO2Me and AgBF4 in CH2Cl2 at -72° gave II [R4 the same, R5 = CH2C(:CH2)CH2CO2Me].

IT **80528-29-6P 80528-34-3P 80559-35-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)

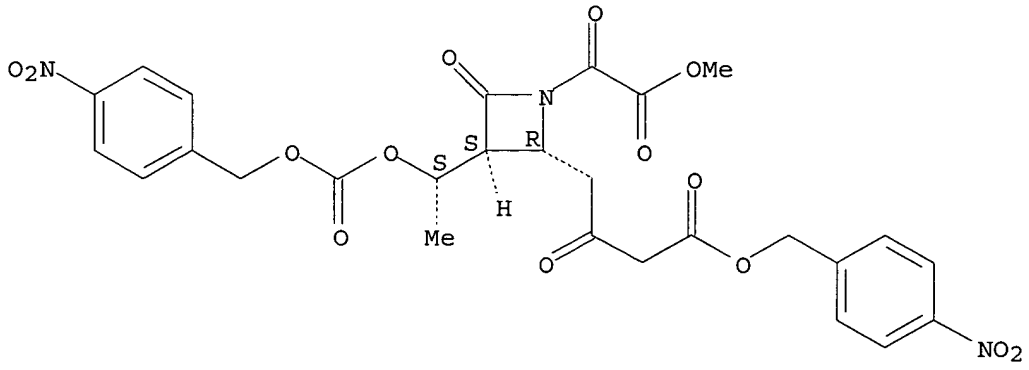
RN 80528-29-6 HCAPLUS
CN 2-Azetidinebutanoic acid, 1-(methoxyoxoacetyl)-3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-β,4-dioxo-, methyl ester, [2R-[2α,3β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 80528-34-3 HCAPLUS
CN 2-Azetidinebutanoic acid, 1-(methoxyoxoacetyl)-3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-β,4-dioxo-, (4-nitrophenyl)methyl ester, [2R-[2α,3β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 80559-35-9 HCAPLUS
CN 2-Azetidinebutanoic acid, 1-(methoxyoxoacetyl)-3-[1-[[[(4-nitrophenyl)methoxy]carbonyl]oxy]ethyl]-β,4-dioxo-,

(4-nitrophenyl)methyl ester, [2R-[2α,3β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.